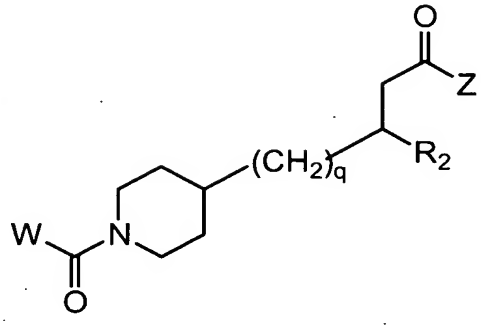
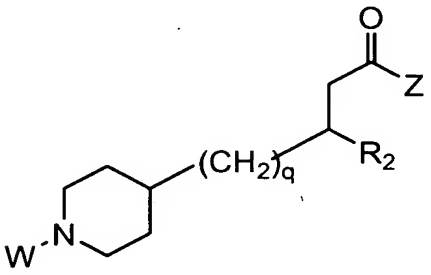
WHAT IS CLAIMED IS:

1. A targeting ligand having a formula selected from the group consisting of Formula (I) :



Formula (I)

and Formula (II):



Formula (II)

wherein

W is selected from the group consisting of -C_{0-6}alkyl(R_1), -C_{1-6}alkyl(R_{1a}), -C_{0-6}alkyl-aryl(R_1,R_8), -C_{0-6}alkyl-heterocyclyl(R_1,R_8), -C_{0-6}alkoxy(R_1), -C_{0-6}alkoxy-aryl(R_1,R_8), and -C_{0-6}alkoxy-heterocyclyl(R_1,R_8);

R_1 is selected from the group consisting of hydrogen, -$N(R_4)_2$, -$N(R_4)(R_5)$, -$N(R_4)(R_6)$, -heterocyclyl(R_8) and -heteroaryl(R_8);

R_{1a} is selected from the group consisting of -$C(R_4)$(=N-R_4), -C(=N-R_4)-$N(R_4)_2$, -C(=N-R_4)-$N(R_4)(R_6)$, -C(=N-R_4)-$N(R_4)$-C(=O)-R_4, -C(=N-R_4)-$N(R_4)$-C(=O)-$N(R_4)_2$, -C(=N-R_4)-$N(R_4)$-CO_2-R_4,

-C(=N-R_4)-N(R_4)-SO_2-C_{1-8}alkyl(R_7) and -C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$;

R_4 is selected from the group consisting of hydrogen and -C_{1-8}alkyl(R_7);

R_5 is selected from the group consisting of -C(=O)-R_4, -C(=O)-N(R_4)$_2$, -C(=O)-cycloalkyl(R_8), -C(=O)-heterocyclyl(R_8), -C(=O)-aryl(R_8), -C(=O)-heteroaryl(R_8), -C(=O)-N(R_4)-cycloalkyl(R_8), -C(=O)-N(R_4)-aryl(R_8), -CO_2-R_4, -CO_2-cycloalkyl(R_8), -CO_2-aryl(R_8), -C(R_4)(=N-R_4), -C(=N-R_4)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)(R_6), -C(=N-R_4)-N(R_4)-C(=O)-R_4, -C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)-CO_2-R_4, -C(=N-R_4)-N(R_4)-SO_2-C_{1-8}alkyl(R_7), -C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$, -N(R_4)-C(R_4)(=N-R_4), -N(R_4)-C(=N-R_4)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)(R_6), -N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-R_4, -N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)-CO_2-R_4, -N(R_4)-C(=N-R_4)-N(R_4)-SO_2-C_{1-8}alkyl(R_7), -N(R_4)-C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$, -SO_2-C_{1-8}alkyl(R_7), -SO_2-N(R_4)$_2$, -SO_2-cycloalkyl(R_8) and -SO_2-aryl(R_8);

R_6 is selected from the group consisting of -cycloalkyl(R_8), -heterocyclyl(R_8), -aryl(R_8) and -heteroaryl(R_8);

R_7 is one to two substituents independently selected from the group consisting of hydrogen, -C_{1-8}alkoxy(R_9), -NH_2, -NH-C_{1-8}alkyl(R_9), -N(C_{1-8}alkyl(R_9))$_2$, -C(=O)H, -C(=O)-C_{1-8}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl(R_9), -C(=O)-N(C_{1-8}alkyl(R_9))$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-8}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SH, -S-C_{1-8}alkyl(R_9), -S-C_{1-8}alkyl-S-C_{1-8}alkyl(R_9), -S-C_{1-8}alkyl-C_{1-8}alkoxy(R_9), -S-C_{1-8}alkyl-NH-C_{1-8}alkyl(R_9), -SO_2-C_{1-8}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-8}alkyl(R_9), -SO_2-N(C_{1-8}alkyl(R_9))$_2$, -SO_2-aryl(R_{10}), cyano, (halo)$_{1-3}$, hydroxy, nitro, oxo, -cycloalkyl(R_{10}), -heterocyclyl(R_{10}), -aryl(R_{10}) and -heteroaryl(R_{10});

R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-8}alkyl(R_9), -C(=O)H, -C(=O)-C_{1-8}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl(R_9), -C(=O)-$N(C_{1-8}alkyl(R_9))_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-8}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SO_2-C_{1-8}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-8}alkyl(R_9), -SO_2-$N(C_{1-8}alkyl(R_9))_2$, -SO_2-aryl(R_{10}), -cycloalkyl(R_{10}) and -aryl(R_{10}) when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-8}alkyl(R_9), -C_{1-8}alkoxy(R_9), -O-cycloalkyl(R_{10}), -O-aryl(R_{10}), -C(=O)H, -C(=O)-C_{1-8}alkyl(R_9), -NHC(=O)-C_{1-8}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl(R_9), -C(=O)-$N(C_{1-8}alkyl(R_9))_2$, -C(=O)-NH-aryl(R_{10}), -NHC(=O)-NH_2, -NHC(=O)-NH-C_{1-8}alkyl(R_9), -NHC(=O)-$N(C_{1-8}alkyl(R_9))_2$, -NHC(=O)-NH-aryl(R_{10}), -NHC(=O)-O-C_{1-8}alkyl(R_9), -NHC(=O)-O-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -NHC(=O)-cycloalkyl(R_{10}), -NHC(=O)-heterocyclyl(R_{10}), -NHC(=O)-aryl(R_{10}), -NHC(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-8}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SO_2-C_{1-8}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-8}alkyl(R_9), -SO_2-$N(C_{1-8}alkyl(R_9))_2$, -SO_2-aryl(R_{10}), -$NHSO_2$-C_{1-8}alkyl(R_9), -$NHSO_2$-aryl(R_{10}), -SH, -S-C_{1-8}alkyl(R_9), -S-C_{1-8}alkyl-S-C_{1-8}alkyl(R_9), -S-C_{1-8}alkyl-C_{1-8}alkoxy(R_9), -S-C_{1-8}alkyl-NH-C_{1-8}alkyl(R_9), -NH_2, -NH-C_{1-8}alkyl(R_9), -$N(C_{1-8}alkyl(R_9))_2$, cyano, halo, hydroxy, nitro, oxo, -cycloalkyl(R_{10}), -heterocyclyl(R_{10}), -aryl(R_{10}), and -heteroaryl(R_{10}) when attached to a carbon atom;

R_9 is selected from the group consisting of hydrogen, -C_{1-8}alkoxy, -NH_2, -NH-C_{1-8}alkyl, -$N(C_{1-8}alkyl)_2$, -C(=O)H, -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl, -C(=O)-$N(C_{1-8}alkyl)_2$, -CO_2H, -CO_2-C_{1-8}alkyl, -SO_2-C_{1-8}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-8}alkyl, -SO_2-$N(C_{1-8}alkyl)_2$, cyano, $(halo)_{1-3}$, hydroxy, nitro and oxo;

R_{10} is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-8}alkyl, -C(=O)H, -C(=O)-C_{1-8}alkyl, -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl, -C(=O)-$N(C_{1-8}alkyl)_2$, -CO_2H, -CO_2- C_{1-4}alkyl,

$-SO_2-C_{1-8}$alkyl, $-SO_2-NH_2$, $-SO_2-NH-C_{1-8}$alkyl and $-SO_2-N(C_{1-8}alkyl)_2$ when attached to a nitrogen atom; and, wherein R_{10} is one to four substituents independently selected from the group consisting of hydrogen, $-C_{1-8}$alkyl, $-C_{1-8}$alkoxy, -C(=O)H, $-C(=O)-C_{1-8}$alkyl, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-8}$alkyl, $-C(=O)-N(C_{1-8}alkyl)_2$, $-CO_2H$, $-CO_2-C_{1-4}$alkyl, $-SO_2-C_{1-8}$alkyl, $-SO_2-NH_2$, $-SO_2-NH-C_{1-8}$alkyl, $-SO_2-N(C_{1-8}alkyl)_2$, $-NH_2$, $-NH-C_{1-8}$alkyl, $-N(C_{1-8}alkyl)_2$, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

R_{2a} is selected from the group consisting of $-C_{1-8}alkyl(R_7)(R_{11})$, $-C_{2-8}alkenyl(R_7)(R_{11})$, $-C_{2-8}alkynyl(R_7)(R_{11})$, -cycloalkyl($R_7$)($R_{11}$), -heterocyclyl($R_8$)($R_{12}$), -aryl($R_8$)($R_{12}$) and -heteroaryl($R_8$)($R_{12}$);

R_{11} is selected from the group consisting of $-C_{1-8}alkyl(R_{14})$, $-O-C_{1-8}alkyl(R_{14})$, $-NH-C_{1-8}alkyl(R_{14})$, $-S-C_{1-8}alkyl(R_{14})$, $-C(=O)C_{1-8}alkyl(R_{14})$, $-O-C(=O)C_{1-8}alkyl(R_{14})$, $-NH-C(=O)C_{1-8}alkyl(R_{14})$, $-C(=O)OC_{1-8}alkyl(R_{14})$, $-C(=O)NHC_{1-8}alkyl(R_{14})$, $-O-C(=O)OC_{1-8}alkyl(R_{14})$, $-O-C(=O)NHC_{1-8}alkyl(R_{14})$, $-NH-C(=O)OC_{1-8}alkyl(R_{14})$, $-NH-C(=O)NHC_{1-8}alkyl(R_{14})$, $-C(=O)C_{1-8}alkylC(=O)(R_{14})$, $-O-C(=O)C_{1-8}alkylC(=O)(R_{14})$, $-NH-C(=O)C_{1-8}alkylC(=O)(R_{14})$, $-C(=O)OC_{1-8}alkylC(=O)(R_{14})$, $-O-C(=O)OC_{1-8}alkylC(=O)(R_{14})$, $-NH-C(=O)OC_{1-8}alkylC(=O)(R_{14})$, $-C(=O)NHC_{1-8}alkylC(=O)(R_{14})$, $-O-C(=O)NHC_{1-8}alkylC(=O)(R_{14})$, $-NH-C(=O)NHC_{1-8}alkylC(=O)(R_{14})$, $-OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, $-NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, $-SCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, $-OC(=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,

$-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,

$-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,

$-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,

$-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,

$-SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,

$-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,

$-C(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-OC(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, and

$-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$;

R_{12} is selected from the group consisting of $-C_{1-8}alkyl(R_{14})$, $-O-C_{1-8}alkyl(R_{14})$, $-NH-C_{1-8}alkyl(R_{14})$, $-S-C_{1-8}alkyl(R_{14})$, $-CH_2O-C_{1-8}alkyl(R_{14})$, $-CH_2NH-C_{1-8}alkyl(R_{14})$, $-CH_2S-C_{1-8}alkyl(R_{14})$, $-C(=O)C_{1-8}alkyl(R_{14})$, $-O-C(=O)C_{1-8}alkyl(R_{14})$, $-NH-C(=O)C_{1-8}alkyl(R_{14})$, $-CH_2O-C(=O)C_{1-8}alkyl(R_{14})$, $-CH_2NH-C(=O)C_{1-8}alkyl(R_{14})$, $-C(=O)OC_{1-8}alkyl(R_{14})$, $-C(=O)NHC_{1-8}alkyl(R_{14})$, $-O-C(=O)OC_{1-8}alkyl(R_{14})$, $-O-C(=O)NHC_{1-8}alkyl(R_{14})$, $-NH-C(=O)OC_{1-8}alkyl(R_{14})$, $-NH-C(=O)NHC_{1-8}alkyl(R_{14})$, $-CH_2O-C(=O)OC_{1-8}alkyl(R_{14})$, $-CH_2O-C(=O)NHC_{1-8}alkyl(R_{14})$, $-CH_2NH-C(=O)OC_{1-8}alkyl(R_{14})$, $-CH_2NH-C(=O)NHC_{1-8}alkyl(R_{14})$, $-C(=O)C_{1-8}alkylC(=O)(R_{14})$, $-O-C(=O)C_{1-8}alkylC(=O)(R_{14})$, $-NH-C(=O)C_{1-8}alkylC(=O)(R_{14})$, $-CH_2O-C(=O)C_{1-8}alkylC(=O)(R_{14})$, $-CH_2NH-C(=O)C_{1-8}alkylC(=O)(R_{14})$, $-C(=O)OC_{1-8}alkylC(=O)(R_{14})$, $-O-C(=O)OC_{1-8}alkylC(=O)(R_{14})$, $-NH-C(=O)OC_{1-8}alkylC(=O)(R_{14})$, $-CH_2O-C(=O)OC_{1-8}alkylC(=O)(R_{14})$, $-CH_2NH-C(=O)OC_{1-8}alkylC(=O)(R_{14})$, $-C(=O)NHC_{1-8}alkylC(=O)(R_{14})$, $-O-C(=O)NHC_{1-8}alkylC(=O)(R_{14})$,

-NH-C(=O)NHC$_{1\text{-}8}$alkylC(=O)(R_{14}), -CH_2O-C(=O)NHC$_{1\text{-}8}$alkylC(=O)(R_{14}),
-CH_2NH-C(=O)NHC$_{1\text{-}8}$alkylC(=O)(R_{14}),
-$OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,
-$NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,
-$SCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,
-$OC(=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$NH(C=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,
-$CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,
-$CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,
-$CH_2OC(=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$CH_2OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$CH_2OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$CH_2NH(C=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$CH_2NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$CH_2NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$,
-$C(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,
-$OC(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,
-$OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,
-$OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-CH_2OC(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-CH_2OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-CH_2OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-CH_2NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-CH_2NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, and

$-CH_2NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$;

$R_{14}$when R_{11} and R_{12} terminates with a C(=O)is selected from the group consisting of hydrogen, OH, , $-OC_{1-4}$alkyl and NH_2; otherwise $R_{14}$is selected from the group consisting of -OH, -SH, COOH, and $-COOC_{1-4}$alkyl;

Z is selected from the group consisting of hydroxy, $-NH_2$, $-NH-C_{1-8}$alkyl, $-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-OH, $-O-C_{1-8}alkylC_{1-8}$alkoxy, $-O-C_{1-8}alkylcarbonylC_{1-8}$alkyl, $-O-C_{1-8}alkyl-CO_2H$, $-O-C_{1-8}alkyl-C(O)O-C_{1-8}$alkyl, $-O-C_{1-8}alkyl-O-C(O)C_{1-8}$alkyl, $-O-C_{1-8}alkyl-NH_2$, $-O-C_{1-8}alkyl-NH-C_{1-8}$alkyl, $-O-C_{1-8}alkyl-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}$alkylamide, $-O-C_{1-8}alkyl-C(O)-NH-C_{1-8}$alkyl, $-O-C_{1-8}alkyl-C(O)-N(C_{1-8}alkyl)_2$ and $-NHC(O)C_{1-8}$alkyl;

and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

2. The targeting ligand of claim 1 wherein W is selected from the group consisting of $-C_{0-4}alkyl(R_1)$ and $-C_{0-4}alkyl-aryl(R_1,R_8)$.

3. The targeting ligand of claim 1 wherein W is $-C_{0-4}alkyl(R_1)$ or $-C_{0-4}alkyl-phenyl(R_1,R_8)$.

4. The targeting ligand of claim 1 wherein R_1 is selected from the group consisting

of -N(R_4)(R_6), -heterocyclyl(R_8) and -heteroaryl(R_8).

5. The targeting ligand of claim 1 wherein R_1 is selected from the group consisting of -N(R_4)(R_6), -dihydro-1*H*-pyrrolo[2,3-*b*]pyridinyl(R_8), -tetrahydropyrimidinyl(R_8), -tetrahydro-1,8-naphthyridinyl(R_8), -tetrahydro-1*H*-azepino[2,3-*b*]pyridinyl(R_8) and -pyridinyl(R_8).

6. The targeting ligand of claim 1 wherein R_1 is selected from the group consisting of -N(R_4)(R_6), -tetrahydropyrimidinyl(R_8) and -tetrahydro-1,8-naphthyridinyl(R_8).

7. The targeting ligand of claim 1 wherein R_{1a} is selected from the group consisting of -C(R_4)(=N-R_4), -C(=N-R_4)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)(R_6), -C(=N-R_4)-N(R_4)-C(=O)-R_4, -C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)-CO_2-R_4, -C(=N-R_4)-N(R_4)-SO_2-C_{1-4}alkyl(R_7) and -C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$.

8. The targeting ligand of claim 1 wherein R_4 is selected from the group consisting of hydrogen and -C_{1-4}alkyl(R_7).

9. The targeting ligand of claim 1 wherein R_4 is hydrogen.

10. The targeting ligand of claim 1 wherein R_5 is selected from the group consisting of -C(=O)-R_4, -C(=O)-N(R_4)$_2$, -C(=O)-cycloalkyl(R_8), -C(=O)-heterocyclyl(R_8), -C(=O)-aryl(R_8), -C(=O)-heteroaryl(R_8), -C(=O)-N(R_4)-cycloalkyl(R_8), -C(=O)-N(R_4)-aryl(R_8), -CO_2-R_4, -CO_2-cycloalkyl(R_8), -CO_2-aryl(R_8), -C(R_4)(=N-R_4), -C(=N-R_4)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)(R_6), -C(=N-R_4)-N(R_4)-C(=O)-R_4, -C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)-CO_2-R_4, -C(=N-R_4)-N(R_4)-SO_2-C_{1-4}alkyl(R_7), -C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$, -N(R_4)-C(R_4)(=N-R_4), -N(R_4)-C(=N-R_4)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)(R_6), -N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-R_4, -N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)-CO_2-R_4,

-N(R_4)-C(=N-R_4)-N(R_4)-SO_2-C_{1-4}alkyl(R_7),
-N(R_4)-C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$, -SO_2-C_{1-4}alkyl(R_7), -SO_2-N(R_4)$_2$,
-SO_2-cycloalkyl(R_8) and -SO_2-aryl(R_8).

11. The targeting ligand of claim 1 wherein R_5 is selected from the group consisting of -C(=O)-R_4, -C(=O)-N(R_4)$_2$, -CO_2-R_4, -C(R_4)(=N-R_4), -C(=N-R_4)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)(R_6), -N(R_4)-C(R_4)(=N-R_4), -N(R_4)-C(=N-R_4)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)(R_6), -SO_2-C_{1-4}alkyl(R_7) and -SO_2-N(R_4)$_2$.

12. The targeting ligand of claim 1 wherein R_6 is selected from the group consisting of -heterocyclyl(R_8) and -heteroaryl(R_8).

13. The targeting ligand of claim 1 wherein R_6 is selected from the group consisting of -dihydroimidazolyl(R_8), -tetrahydropyridinyl(R_8), -tetrahydropyrimidinyl(R_8) and -pyridinyl(R_8).

14. The targeting ligand of claim 1 wherein R_7 is one to two substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkoxy(R_9), -NH_2, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, -C(=O)H, -C(=O)-C_{1-4}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl(R_9))$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-4}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SH, -S-C_{1-4}alkyl(R_9), -S-C_{1-4}alkyl-S-C_{1-4}alkyl(R_9), -S-C_{1-4}alkyl-C_{1-4}alkoxy(R_9), -S-C_{1-4}alkyl-NH-C_{1-4}alkyl(R_9), -SO_2-C_{1-4}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl(R_9), -SO_2-N(C_{1-4}alkyl(R_9))$_2$, -SO_2-aryl(R_{10}), cyano, (halo)$_{1-3}$, hydroxy, nitro, oxo, -cycloalkyl(R_{10}), -heterocyclyl(R_{10}), -aryl(R_{10}) and -heteroaryl(R_{10}).

15. The targeting ligand of claim 1 wherein R_7 is one to two substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkoxy(R_9), -NH_2, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, (halo)$_{1-3}$, hydroxy and oxo.

16. The targeting ligand of claim 1 wherein R_7 is hydrogen.

17. The targeting ligand of claim 1 wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C(=O)H, -C(=O)-C_{1-4}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl(R_9))$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-4}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SO_2-C_{1-4}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl(R_9), -SO_2-N(C_{1-4}alkyl(R_9))$_2$, -SO_2-aryl(R_{10}), -cycloalkyl(R_{10}) and -aryl(R_{10}) when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C_{1-4}alkoxy(R_9), -O-cycloalkyl(R_{10}), -O-aryl(R_{10}), -C(=O)H, -C(=O)-C_{1-4}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl-R_{11})$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-4}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SO_2-C_{1-4}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl(R_9), -SO_2-N(C_{1-4}alkyl(R_9))$_2$, -SO_2-aryl(R_{10}), -SH, -S-C_{1-4}alkyl(R_9), -S-C_{1-4}alkyl-S-C_{1-4}alkyl(R_9), -S-C_{1-4}alkyl-C_{1-4}alkoxy(R_9), -S-C_{1-4}alkyl-NH-C_{1-4}alkyl(R_9), -NH_2, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, cyano, halo, hydroxy, nitro, oxo, -cycloalkyl(R_{10}), -heterocyclyl(R_{10}), -aryl(R_{10}) and -heteroaryl(R_{10}) when attached to a carbon atom.

18. The targeting ligand of claim 1 wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C(=O)H, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl(R_9))$_2$, -CO_2H, -CO_2-C_{1-4}alkyl(R_9) and -SO_2-NH_2 when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C_{1-4}alkoxy(R_9), -O-aryl(R_{10}), -C(=O)H, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl(R_9))$_2$, -CO_2H, -CO_2-C_{1-4}alkyl(R_9), -SO_2-NH_2, -NH_2, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, cyano, halo, hydroxy, nitro and oxo when attached to a

carbon atom.

19. The targeting ligand of claim 1 wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen and -C_{1-4}alkyl(R_9) when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C_{1-4}alkoxy(R_9), -O-aryl(R_{10}), -NH_2, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, halo, hydroxy and oxo when attached to a carbon atom.

20. The targeting ligand of claim 1 wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen and -C_{1-4}alkyl(R_9) when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C_{1-4}alkoxy(R_9), -O-aryl(R_{10}) and hydroxy when attached to a carbon atom.

21. The targeting ligand of claim 1 wherein R_9 is selected from the group consisting of hydrogen, -C_{1-4}alkoxy, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, -C(=O)H, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl, -C(=O)-N(C_{1-4}alkyl)$_2$, -CO_2H, -CO_2-C_{1-4}alkyl, -SO_2-C_{1-4}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl, -SO_2-N(C_{1-4}alkyl)$_2$, cyano, (halo)$_{1-3}$, hydroxy, nitro and oxo.

22. The targeting ligand of claim 1 wherein R_9 is selected from the group consisting of hydrogen, -C_{1-4}alkoxy, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, -C(=O)H, -CO_2H, -C(=O)-C_{1-4}alkoxy, (halo)$_{1-3}$, hydroxy and oxo.

23. The targeting ligand of claim 1 wherein R_9 is selected from the group consisting of hydrogen, -C_{1-4}alkoxy, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, (halo)$_{1-3}$ and hydroxy.

24. The targeting ligand claim 1 wherein R_{10} is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl,

-C(=O)H, -C(=O)-C_{1-4}alkyl, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl, -C(=O)-N(C_{1-4}alkyl)$_2$, -CO_2H, -CO_2-C_{1-4}alkyl, -SO_2-C_{1-4}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl and -SO_2-N(C_{1-4}alkyl)$_2$ when attached to a nitrogen atom; and, wherein R_{10} is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl, -C_{1-4}alkoxy, -C(=O)H, -C(=O)-C_{1-4}alkyl, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl, -C(=O)-N(C_{1-4}alkyl)$_2$, -CO_2H, -CO_2-C_{1-4}alkyl, -SO_2-C_{1-4}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl, -SO_2-N(C_{1-4}alkyl)$_2$, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom.

25. The targeting ligand of claim 1 wherein $(R_{10})_{1-4}$ is selected from the group consisting of hydrogen, -C_{1-4}alkyl, -C_{1-4}alkoxy, -C(=O)H, -C(=O)-C_{1-4}alkyl, -CO_2H, -CO_2-C_{1-4}alkyl, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, halo, hydroxy, nitro and oxo when attached to a carbon atom.

26. The targeting ligand of claim 1 wherein R_{10} is hydrogen.

27. The targeting ligand of claim 1 wherein R_{2a} is selected from the group consisting of -C_{1-4}alkyl (R_7)(R_{11}), -C_{2-4}alkenyl(R_7)(R_{11}), -C_{2-4}alkynyl(R_7)(R_{11}), -cycloalkyl(R_7)(R_{11}), -heterocyclyl(R_8)(R_{12}), -aryl(R_8)(R_{12}), and -heteroaryl(R_8)(R_{12}).

28. The targeting ligand of claim 1 wherein R_{2a} is selected from the group consisting of -cycloalkyl(R_7)(R_{11}), -heterocyclyl(R_8)(R_{12}), -aryl(R_8)(R_{12}), and -heteroaryl(R_8)(R_{11}).

29. The targeting ligand of claim 1 wherein R_{2a} is selected from the group consisting of -cycloalkyl(R_7)(R_{11}), -heterocyclyl(R_8)(R_{12}), -phenyl(R_8)(R_{12}), -naphthalenyl(R_8)(R_{12}), and -heteroaryl(R_8)(R_{11}).

30. The targeting ligand claim 1 wherein R_{2a} is selected from the group consisting of -tetrahydropyrimidinyl(R_8)(R_{12}), -1,3-benzodioxolyl(R_8)(R_{12}),

-dihydrobenzofuranyl(R_8)(R_{12}), -tetrahydroquinolinyl(R_8)(R_{12}), -phenyl(R_8)(R_{12}), -naphthalenyl(R_8)(R_{12}), -pyridinyl(R_8)(R_{12}), -pyrimidinyl(R_8)(R_{12}), and -quinolinyl(R_8)(R_{12}).

31. The targeting ligand of claim 1 wherein R_{11} is selected from the group consisting of -C_{1-8}alkyl(R_{14}), -O-C_{1-8}alkyl(R_{14}), -NH-C_{1-8}alkyl(R_{14}), -S-C_{1-8}alkyl(R_{14}), -C(=O)C_{1-8}alkyl(R_{14}), -O-C(=O)C_{1-8}alkyl(R_{14}), -NH-C(=O)C_{1-8}alkyl(R_{14}), -C(=O)OC_{1-8}alkyl(R_{14}), -C(=O)NHC_{1-8}alkyl(R_{14}), -O-C(=O)OC_{1-8}alkyl(R_{14}), -O-C(=O)NHC_{1-8}alkyl(R_{14}), -O-C(=O)C_{1-8}alkylC(=O)(R_{14}), -NH-C(=O)C_{1-8}alkylC(=O)(R_{14}), -C(=O)OC_{1-8}alkylC(=O)(R_{14}), -O-C(=O)OC_{1-8}alkylC(=O)(R_{14}), -NH-C(=O)OC_{1-8}alkylC(=O)(R_{14}), -C(=O)NHC_{1-8}alkylC(=O)(R_{14}), -O-C(=O)NHC_{1-8}alkylC(=O)(R_{14}), -NH-C(=O)NHC_{1-8}alkylC(=O)(R_{14}), -$SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -$NHCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$), -$SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -C(=O)$CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$), -OC(=O)$OCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$), -OC(=O)$NHCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$), -NHC(=O)$NHCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$), and -$SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$).

32. The targeting ligand of claim 1 wherein R_{11} is selected from the group consisting of -C_{1-8}alkyl(R_{14}), -O-C_{1-8}alkyl(R_{14}), -NH-C_{1-8}alkyl(R_{14}), -S-C_{1-8}alkyl(R_{14}), -C(=O)C_{1-8}alkyl(R_{14}), -O-C(=O)C_{1-8}alkyl(R_{14}), -NH-C(=O)C_{1-8}alkyl(R_{14}), -C(=O)OC_{1-8}alkyl(R_{14}), -C(=O)NHC_{1-8}alkyl(R_{14}), -O-C(=O)OC_{1-8}alkyl(R_{14}), -O-C(=O)NHC_{1-8}alkyl(R_{14}), -O-C(=O)C_{1-8}alkylC(=O)(R_{14}), -NH-C(=O)C_{1-8}alkylC(=O)(R_{14}), -C(=O)OC_{1-8}alkylC(=O)(R_{14}), -O-C(=O)OC_{1-8}alkylC(=O)(R_{14}), -NH-C(=O)OC_{1-8}alkylC(=O)(R_{14}), -C(=O)NHC_{1-8}alkylC(=O)(R_{14}), -O-C(=O)NHC_{1-8}alkylC(=O)(R_{14}), and -NH-C(=O)NHC_{1-8}alkylC(=O)(R_{14}).

33. The targeting ligand of claim 1 wherein R_{12} is selected from the group consisting of -C_{1-6}alkyl(R_{14}), -O-C_{1-6}alkyl(R_{14}), -NH-C_{1-4}alkyl(R_{14}), -S-C_{1-6}alkyl(R_{14}), -CH_2O-C_{1-6}alkyl(R_{14}), -CH_2NH-C_{1-6}alkyl(R_{14}), -CH_2S-C_{1-6}alkyl(R_{14}), -C(=O)C_{1-6}alkyl(R_{14}), -O-C(=O)C_{1-6}alkyl(R_{14}), -NH-C(=O)C_{1-8}alkyl(R_{14}), -CH_2O-C(=O)C_{1-8}alkyl(R_{14}), -CH_2NH-C(=O)C_{1-6}alkyl(R_{14}), -C(=O)OC_{1-6}alkyl(R_{14}), -C(=O)NHC_{1-6}alkyl(R_{14}), -O-C(=O)OC_{1-6}alkyl(R_{14}), -O-C(=O)NHC_{1-6}alkyl(R_{14}), -NH-C(=O)OC_{1-6}alkyl(R_{14}), -NH-C(=O)NHC_{1-6}alkyl(R_{14}), -NH-C(=O)C_{1-6}alkylC(=O)(R_{14}), -CH_2O-C(=O)C_{1-8}alkylC(=O)(R_{14}), -NH-C(=O)NHC_{1-8}alkylC(=O)(R_{14}), -CH_2O-C(=O)NHC_{1-8}alkylC(=O)(R_{14}), -CH_2NH-C(=O)NHC_{1-8}alkylC(=O)(R_{14}), -O$CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -NH$CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -S$CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -O$CH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$), -NH$CH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$), -OC(=O)NH$CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -NH(C=O)$CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -NHC(=O)O$CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -NHC(=O)NH$CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -$SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -$SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -$CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -$CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -$CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{14}$), -$CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$), -OC(=O)NH$CH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$), -NH(C=O)$CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$), -NHC(=O)O$CH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$), -NHC(=O)NH$CH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{14}$),

$-CH_2OC(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-CH_2NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

$-CH_2NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, and

$-CH_2NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$.

34. The targeting ligand of claim 1 wherein q is 1, 2 or 3.

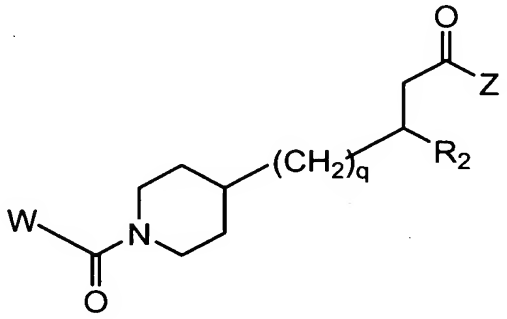
35. The targeting ligand claim 1 wherein Z is selected from the group consisting of hydroxy, $-NH_2$, $-NH-C_{1-8}alkyl$, $-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}alkyl$, $-O-C_{1-8}alkyl-OH$, $-O-C_{1-8}alkylC_{1-4}alkoxy$, $-O-C_{1-8}alkylcarbonylC_{1-4}alkyl$, $-O-C_{1-8}alkyl-CO_2H$, $-O-C_{1-8}alkyl-C(O)O-C_{1-6}alkyl$, $C_{1-8}alkyl-OC(O)-C_{1-6}alkyl$, $-O-C_{1-8}alkyl-NH_2$, $-O-C_{1-8}alkyl-NH-C_{1-8}alkyl$,$-O-C_{1-8}alkyl-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}alkylamide$, $C_{1-8}alkyl—C(O)-NH-C_{1-8}alkyl$,$-O-C_{1-8}alkyl-C(O)-N(C_{1-8}alkyl)_2$ and $-NHC(O)C_{1-8}alkyl$.

36. The targeting ligand of claim 1 wherein the targeting ligand is conjugated to an radioactive element.

37. The targeting ligand of claim 1 wherein the targeting ligand is conjugated to an imagining agent.

38. The targeting ligand of claim 37 wherein the imagining agent is selected from the group consisting of ^{99}Tc, ^{125}I, ^{18}F, ^{11}C, and ^{64}Cu.

39. A targeting ligand of Formula (I):



Formula (I)

wherein

W is selected from the group consisting of -C_{0-4}alkyl(R_1) and -C_{0-4}alkyl-phenyl(R_1,R_8);

R_1 is -NH(R_6);

R_{2a} is selected from the group consisting of -tetrahydropyrimidinyl(R_8)(R_{12}), -1,3-benzodioxolyl(R_8)(R_{12}), -dihydrobenzofuranyl(R_8)(R_{12}), -tetrahydroquinolinyl(R_8)(R_{12}), -phenyl(R_8)(R_{12}), -naphthalenyl(R_8)(R_{12}), -pyridinyl(R_8)(R_{12}), -pyrimidinyl(R_8)(R_{12}), and -quinolinyl(R_8)(R_{12}).

R_6 is selected from the group consisting of -dihydroimidazolyl(R_8), -tetrahydropyridinyl(R_8), -tetrahydropyrimidinyl(R_8) and -pyridinyl(R_8);

R_8 is one to four substituents independently selected from the group consisting of hydrogen and -C_{1-4}alkyl(R_9) when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C_{1-4}alkoxy(R_9), -O-aryl(R_{10}) and hydroxy when attached to a carbon atom;

R_9 is selected from the group consisting of hydrogen, -C_{1-4}alkoxy, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, (halo)$_{1-3}$ and hydroxy;

R_{10} is independently selected from the group consisting of hydrogen, -C_{1-4}alkyl, -C_{1-4}alkoxy, -C(=O)H, -C(=O)-C_{1-4}alkyl, -CO_2H, -CO_2-C_{1-4}alkyl, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 1, 2 or 3;

R_{12} is selected from the group consisting of -C_{1-6}alkyl(R_{14}), -O-C_{1-6}alkyl(R_{14}), -NH-C_{1-4}alkyl(R_{14}), -S-C_{1-6}alkyl(R_{14}), -CH_2O-C_{1-6}alkyl(R_{14}), -CH_2NH-C_{1-6}alkyl(R_{14}), -CH_2S-C_{1-6}alkyl(R_{14}), -C(=O)C_{1-6}alkyl(R_{14}),

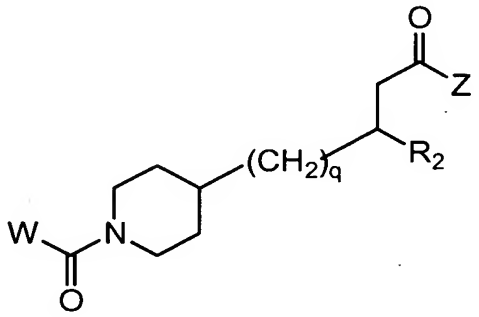
-O-C(=O)C_{1-6}alkyl(R_{14}), -NH-C(=O)C_{1-8}alkyl(R_{14}),
-CH_2O-C(=O)C_{1-8}alkyl(R_{14}), -CH_2NH-C(=O)C_{1-6}alkyl(R_{14}),
-C(=O)OC_{1-6}alkyl(R_{14}), -C(=O)NHC_{1-6}alkyl(R_{14}),
-O-C(=O)OC_{1-6}alkyl(R_{14}), -O-C(=O)NHC_{1-6}alkyl(R_{14}),
-NH-C(=O)OC_{1-6}alkyl(R_{14}), -NH-C(=O)NHC_{1-6}alkyl(R_{14}),
-NH-C(=O)C_{1-6}alkylC(=O)(R_{14}), -CH_2O-C(=O)C_{1-8}alkylC(=O)(R_{14}),
-NH-C(=O)NHC_{1-8}alkylC(=O)(R_{14}), -CH_2O-C(=O)NHC_{1-8}alkylC(=O)(R_{14}),
-CH_2NH-C(=O)NHC_{1-8}alkylC(=O)(R_{14}),
-OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-SCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{14}$),
-NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{14}$),
-OC(=O)NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-NH(C=O)CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-NHC(=O)OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-$SO_2CH_2CH_2$O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-SO_2NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-CH_2OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-CH_2NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-CH_2SCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{14}$),
-CH_2OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{14}$),
-OC(=O)NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{14}$),
-NH(C=O)CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{14}$),
-NHC(=O)OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{14}$),
-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{14}$),
-CH_2OC(=O)CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{14}$),
-CH_2NH(C=O)CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{14}$),
-CH_2NHC(=O)OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{14}$), and
-CH_2NHC(=O)NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{14}$);

$R_{14}$when R_{11} and R_{12} terminates with a C(=O)is selected from the group consisting of hydrogen, OH, , -OC_{1-4}alkyl and NH_2; otherwise $R_{14}$is selected from the group consisting of -OH, -SH, COOH, and -$COOC_{1-4}$alkyl;

Z is slected from the group consisting hydroxy, -NH_2, -NH-C_{1-8}alkyl, -N(C_{1-8}alkyl)$_2$, O-C_{1-8}alkyl-OH, -O-C_{1-8}alkylC_{1-8}alkoxy, -O-C_{1-8}alkylcarbonylC_{1-8}alkyl, -O-C_{1-8}alkyl-CO_2H, -O-C_{1-8}alkyl-C(O)O-C_{1-8}alkyl, -O-C_{1-8}alkyl-O-C(O)C_{1-8}alkyl, -O-C_{1-8}alkyl-NH_2, -O-C_{1-8}alkyl-NH-C_{1-8}alkyl, -O-C_{1-8}alkyl-N(C_{1-8}alkyl)$_2$, -O-C_{1-8}alkylamide, -O-C_{1-8}alkyl-C(O)-NH-C_{1-8}alkyl, -O-C_{1-8}alkyl-C(O)-N(C_{1-8}alkyl)$_2$ and –NHC(O)C_{1-8}alkyl;

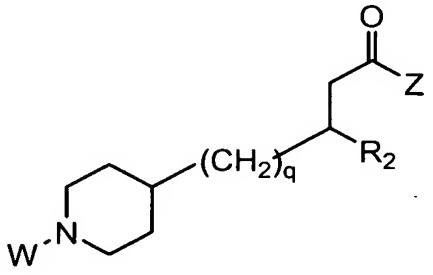
and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

40. A targeting conjugate having a formula selected from the group consisting of Formula (I):



Formula (I)

and Formula (II):



Formula (II)

wherein

W is selected from the group consisting of -C_{0-6}alkyl(R_1), -C_{1-6}alkyl(R_{1a}), -C_{0-6}alkyl-aryl(R_1,R_8), -C_{0-6}alkyl-heterocyclyl(R_1,R_8), -C_{0-6}alkoxy(R_1), -C_{0-6}alkoxy-aryl(R_1,R_8), and -C_{0-6}alkoxy-heterocyclyl(R_1,R_8);

R_1 is selected from the group consisting of hydrogen, -$N(R_4)_2$, -$N(R_4)(R_5)$, -$N(R_4)(R_6)$, -heterocyclyl(R_8) and -heteroaryl(R_8);

R_{1a} is selected from the group consisting of -$C(R_4)$(=N-R_4), -C(=N-R_4)-$N(R_4)_2$, -C(=N-R_4)-$N(R_4)(R_6)$, -C(=N-R_4)-$N(R_4)$-C(=O)-R_4, -C(=N-R_4)-$N(R_4)$-C(=O)-$N(R_4)_2$, -C(=N-R_4)-$N(R_4)$-CO_2-R_4, -C(=N-R_4)-$N(R_4)$-SO_2-C_{1-8}alkyl(R_7) and -C(=N-R_4)-$N(R_4)$-SO_2-$N(R_4)_2$;

R_4 is selected from the group consisting of hydrogen and -C_{1-8}alkyl(R_7);

R_5 is selected from the group consisting of -C(=O)-R_4, -C(=O)-$N(R_4)_2$, -C(=O)-cycloalkyl(R_8), -C(=O)-heterocyclyl(R_8), -C(=O)-aryl(R_8), -C(=O)-heteroaryl(R_8), -C(=O)-$N(R_4)$-cycloalkyl(R_8), -C(=O)-$N(R_4)$-aryl(R_8), -CO_2-R_4, -CO_2-cycloalkyl(R_8), -CO_2-aryl(R_8), -$C(R_4)$(=N-R_4), -C(=N-R_4)-$N(R_4)_2$, -C(=N-R_4)-$N(R_4)(R_6)$, -C(=N-R_4)-$N(R_4)$-C(=O)-R_4, -C(=N-R_4)-$N(R_4)$-C(=O)-$N(R_4)_2$, -C(=N-R_4)-$N(R_4)$-CO_2-R_4, -C(=N-R_4)-$N(R_4)$-SO_2-C_{1-8}alkyl(R_7), -C(=N-R_4)-$N(R_4)$-SO_2-$N(R_4)_2$, -$N(R_4)$-$C(R_4)$(=N-R_4), -$N(R_4)$-C(=N-R_4)-$N(R_4)_2$, -$N(R_4)$-C(=N-R_4)-$N(R_4)(R_6)$, -$N(R_4)$-C(=N-R_4)-$N(R_4)$-C(=O)-R_4, -$N(R_4)$-C(=N-R_4)-$N(R_4)$-C(=O)-$N(R_4)_2$, -$N(R_4)$-C(=N-R_4)-$N(R_4)$-CO_2-R_4, -$N(R_4)$-C(=N-R_4)-$N(R_4)$-SO_2-C_{1-8}alkyl(R_7), -$N(R_4)$-C(=N-R_4)-$N(R_4)$-SO_2-$N(R_4)_2$, -SO_2-C_{1-8}alkyl(R_7), -SO_2-$N(R_4)_2$, -SO_2-cycloalkyl(R_8) and -SO_2-aryl(R_8);

R_6 is selected from the group consisting of -cycloalkyl(R_8), -heterocyclyl(R_8), -aryl(R_8) and -heteroaryl(R_8);

R_7 is one to two substituents independently selected from the group consisting of hydrogen, -C_{1-8}alkoxy(R_9), -NH_2, -NH-C_{1-8}alkyl(R_9), -$N(C_{1-8}$alkyl(R_9))$_2$, -C(=O)H,

-C(=O)-C_{1-8}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl(R_9), -C(=O)-N(C_{1-8}alkyl(R_9))$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-8}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SH, -S-C_{1-8}alkyl(R_9), -S-C_{1-8}alkyl-S-C_{1-8}alkyl(R_9), -S-C_{1-8}alkyl-C_{1-8}alkoxy(R_9), -S-C_{1-8}alkyl-NH-C_{1-8}alkyl(R_9), -SO_2-C_{1-8}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-8}alkyl(R_9), -SO_2-N(C_{1-8}alkyl(R_9))$_2$, -SO_2-aryl(R_{10}), cyano, (halo)$_{1-3}$, hydroxy, nitro, oxo, -cycloalkyl(R_{10}), -heterocyclyl(R_{10}), -aryl(R_{10}) and -heteroaryl(R_{10});

R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-8}alkyl(R_9), -C(=O)H, -C(=O)-C_{1-8}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl(R_9), -C(=O)-N(C_{1-8}alkyl(R_9))$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-8}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SO_2-C_{1-8}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-8}alkyl(R_9), -SO_2-N(C_{1-8}alkyl(R_9))$_2$, -SO_2-aryl(R_{10}), -cycloalkyl(R_{10}) and -aryl(R_{10}) when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-8}alkyl(R_9), -C_{1-8}alkoxy(R_9), -O-cycloalkyl(R_{10}), -O-aryl(R_{10}), -C(=O)H, -C(=O)-C_{1-8}alkyl(R_9), -NHC(=O)-C_{1-8}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl(R_9), -C(=O)-N(C_{1-8}alkyl(R_9))$_2$, -C(=O)-NH-aryl(R_{10}), -NHC(=O)-NH_2, -NHC(=O)-NH-C_{1-8}alkyl(R_9), -NHC(=O)-N(C_{1-8}alkyl(R_9))$_2$, -NHC(=O)-NH-aryl(R_{10}), -NHC(=O)-O-C_{1-8}alkyl(R_9), -NHC(=O)-O-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -NHC(=O)-cycloalkyl(R_{10}), -NHC(=O)-heterocyclyl(R_{10}), -NHC(=O)-aryl(R_{10}), -NHC(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-8}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SO_2-C_{1-8}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-8}alkyl(R_9), -SO_2-N(C_{1-8}alkyl(R_9))$_2$, -SO_2-aryl(R_{10}), -$NHSO_2$-C_{1-8}alkyl(R_9), -$NHSO_2$-aryl(R_{10}), -SH, -S-C_{1-8}alkyl(R_9), -S-C_{1-8}alkyl-S-C_{1-8}alkyl(R_9), -S-C_{1-8}alkyl-C_{1-8}alkoxy(R_9), -S-C_{1-8}alkyl-NH-C_{1-8}alkyl(R_9), -NH_2, -NH-C_{1-8}alkyl(R_9), -N(C_{1-8}alkyl(R_9))$_2$, cyano, halo, hydroxy, nitro, oxo, -cycloalkyl(R_{10}), -heterocyclyl(R_{10}), -aryl(R_{10}), and

-heteroaryl(R_{10}) when attached to a carbon atom;

R_9 is selected from the group consisting of hydrogen, -C_{1-8}alkoxy, -NH_2, -NH-C_{1-8}alkyl, -N(C_{1-8}alkyl)$_2$, -C(=O)H, -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl, -C(=O)-N(C_{1-8}alkyl)$_2$, -CO_2H, -CO_2-C_{1-8}alkyl, -SO_2-C_{1-8}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-8}alkyl, -SO_2-N(C_{1-8}alkyl)$_2$, cyano, (halo)$_{1-3}$, hydroxy, nitro and oxo;

R_{10} is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-8}alkyl, -C(=O)H, -C(=O)-C_{1-8}alkyl, -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl, -C(=O)-N(C_{1-8}alkyl)$_2$, -CO_2H, -CO_2- C_{1-4}alkyl, -SO_2-C_{1-8}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-8}alkyl and -SO_2-N(C_{1-8}alkyl)$_2$ when attached to a nitrogen atom; and, wherein R_{10} is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-8}alkyl, -C_{1-8}alkoxy, -C(=O)H, -C(=O)-C_{1-8}alkyl, -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl, -C(=O)-N(C_{1-8}alkyl)$_2$, -CO_2H, -CO_2- C_{1-4}alkyl, -SO_2-C_{1-8}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-8}alkyl, -SO_2-N(C_{1-8}alkyl)$_2$, -NH_2, -NH-C_{1-8}alkyl, -N(C_{1-8}alkyl)$_2$, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

R_{2a} is selected from the group consisting of -C_{1-8}alkyl(R_7)(R_{11}), -C_{2-8}alkenyl(R_7)(R_{11}), -C_{2-8}alkynyl(R_7)(R_{11}), -cycloalkyl(R_7)(R_{11}), -heterocyclyl(R_8)(R_{12}), -aryl(R_8)(R_{12}) and -heteroaryl(R_8)(R_{12});

R_{11} is selected from the group consisting of -C_{1-8}alkyl(R_{13}), -O-C_{1-8}alkyl(R_{13}), -NH-C_{1-8}alkyl(R_{13}), -S-C_{1-8}alkyl(R_{13}), -C(=O)C_{1-8}alkyl(R_{13}), -O-C(=O)C_{1-8}alkyl(R_{13}), -NH-C(=O)C_{1-8}alkyl(R_{13}), -C(=O)OC_{1-8}alkyl(R_{13}), -C(=O)NHC_{1-8}alkyl(R_{13}), -O-C(=O)OC_{1-8}alkyl(R_{13}), -O-C(=O)NHC_{1-8}alkyl(R_{13}), -NH-C(=O)OC_{1-8}alkyl(R_{13}), -NH-C(=O)NHC_{1-8}alkyl(R_{13}), -C(=O)C_{1-8}alkylC(=O)(R_{13}), -O-C(=O)C_{1-8}alkylC(=O)(R_{13}), -NH-C(=O)C_{1-8}alkylC(=O)(R_{13}), -C(=O)OC_{1-8}alkylC(=O)(R_{13}), -O-C(=O)OC_{1-8}alkylC(=O)(R_{13}),

-NH-C(=O)OC$_{1-8}$alkylC(=O)(R_{13}), -C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}),
-O-C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}), -NH-C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}),
-OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-SCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-SCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-OC(=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-OC(=O)OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-OC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-NH(C=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-NHC(=O)OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-NHC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-SO$_2$CH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-SO$_2$NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-C(=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-OC(=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-OC(=O)OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-OC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-NH(C=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-NHC(=O)OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-NHC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-SO$_2$CH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}), and
-SO$_2$NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13});

R_{12} is selected from the group consisting of -C$_{1-8}$alkyl(R_{13}), -O-C$_{1-8}$alkyl(R_{13}),
-NH-C$_{1-8}$alkyl(R_{13}), -S-C$_{1-8}$alkyl(R_{13}), -CH$_2$O-C$_{1-8}$alkyl(R_{13}),
-CH$_2$NH-C$_{1-8}$alkyl(R_{13}), -CH$_2$S-C$_{1-8}$alkyl(R_{13}), -C(=O)C$_{1-8}$alkyl(R_{13}),
-O-C(=O)C$_{1-8}$alkyl(R_{13}), -NH-C(=O)C$_{1-8}$alkyl(R_{13}),
-CH$_2$O-C(=O)C$_{1-8}$alkyl(R_{13}), -CH$_2$NH-C(=O)C$_{1-8}$alkyl(R_{13}),
-C(=O)OC$_{1-8}$alkyl(R_{13}), -C(=O)NHC$_{1-8}$alkyl(R_{13}),

-O-C(=O)OC$_{1\text{-}8}$alkyl(R_{13}), -O-C(=O)NHC$_{1\text{-}8}$alkyl(R_{13}),
-NH-C(=O)OC$_{1\text{-}8}$alkyl(R_{13}), -NH-C(=O)NHC$_{1\text{-}8}$alkyl(R_{13}),
-CH_2O-C(=O)OC$_{1\text{-}8}$alkyl(R_{13}), -CH_2O-C(=O)NHC$_{1\text{-}8}$alkyl(R_{13}),
-CH_2NH-C(=O)OC$_{1\text{-}8}$alkyl(R_{13}), -CH_2NH-C(=O)NHC$_{1\text{-}8}$alkyl(R_{13}),
-C(=O)C$_{1\text{-}8}$alkylC(=O)(R_{13}), -O-C(=O)C$_{1\text{-}8}$alkylC(=O)(R_{13}),
-NH-C(=O)C$_{1\text{-}8}$alkylC(=O)(R_{13}), -CH_2O-C(=O)C$_{1\text{-}8}$alkylC(=O)(R_{13}),
-CH_2NH-C(=O)C$_{1\text{-}8}$alkylC(=O)(R_{13}), -C(=O)OC$_{1\text{-}8}$alkylC(=O)(R_{13}),
-O-C(=O)OC$_{1\text{-}8}$alkylC(=O)(R_{13}), -NH-C(=O)OC$_{1\text{-}8}$alkylC(=O)(R_{13}),
-CH_2O-C(=O)OC$_{1\text{-}8}$alkylC(=O)(R_{13}), -CH_2NH-C(=O)OC$_{1\text{-}8}$alkylC(=O)(R_{13}),
-C(=O)NHC$_{1\text{-}8}$alkylC(=O)(R_{13}), -O-C(=O)NHC$_{1\text{-}8}$alkylC(=O)(R_{13}),
-NH-C(=O)NHC$_{1\text{-}8}$alkylC(=O)(R_{13}), -CH_2O-C(=O)NHC$_{1\text{-}8}$alkylC(=O)(R_{13}),
-CH_2NH-C(=O)NHC$_{1\text{-}8}$alkylC(=O)(R_{13}),
-$OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
-$NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
-$SCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
-$OC(=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$NH(C=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
-$CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
-$CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
-$CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-CH_2OC(=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2NH(C=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-C(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-OC(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-CH_2OC(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-CH_2OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

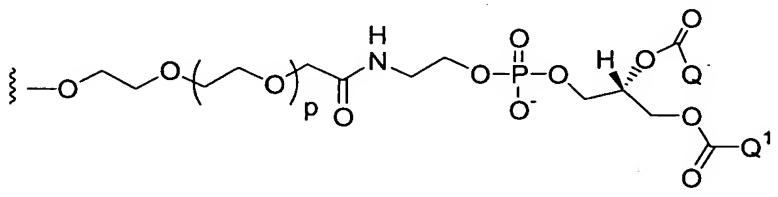
$-CH_2OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

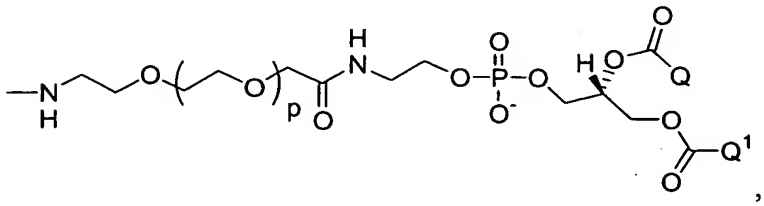
$-CH_2NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-CH_2NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, and

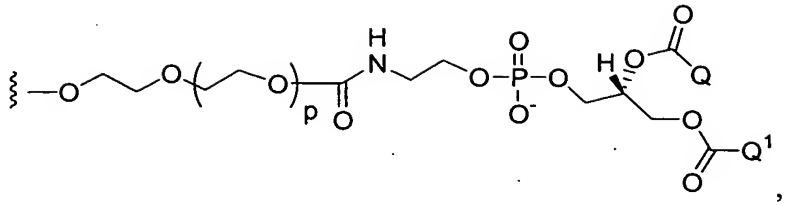
$-CH_2NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$;

wherein when R_{11} or R_{12} terminates with a $-C(=O)-$, R_{13} is selected from

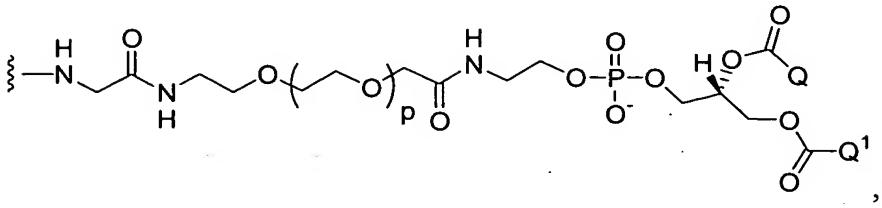




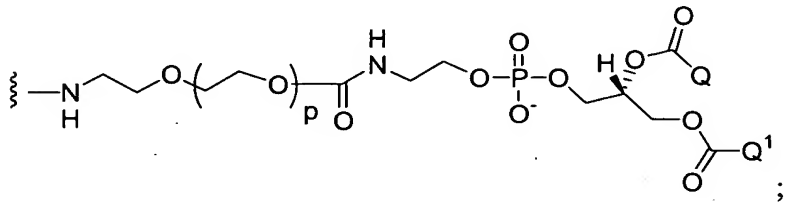
,



,

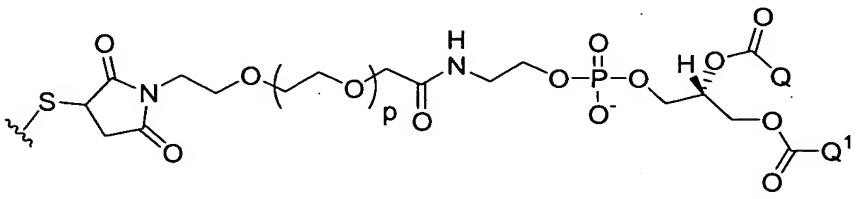


, or

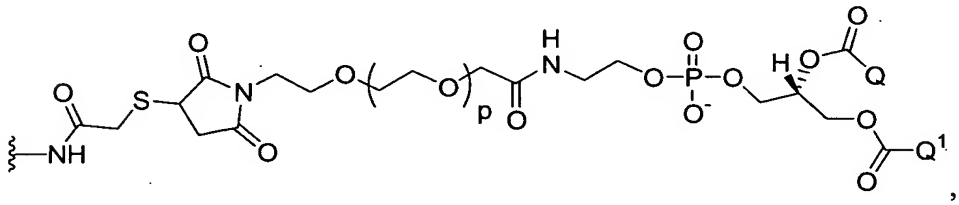


;

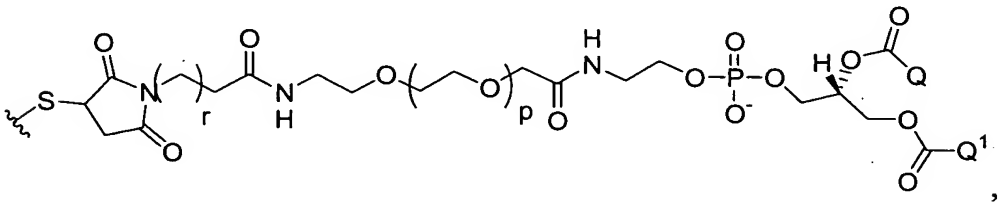
and when R_{11} or R_{12} does not terminate with a –C(=O)-, R_{13} is selected from the group consisting of



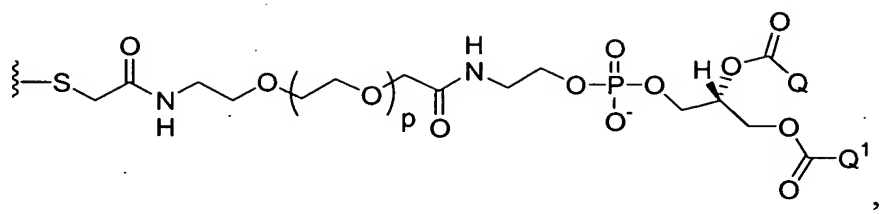
,



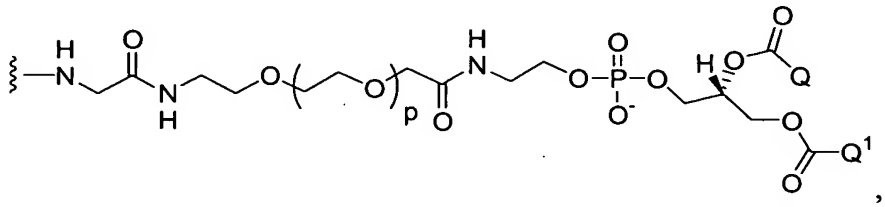
,



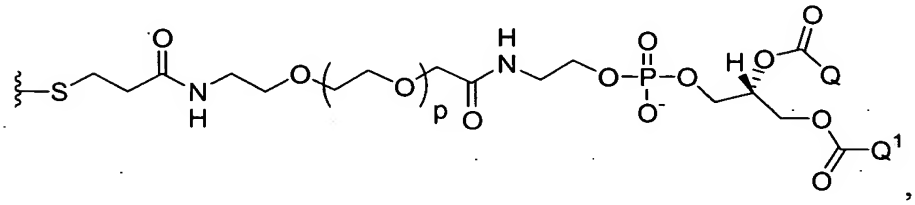
,



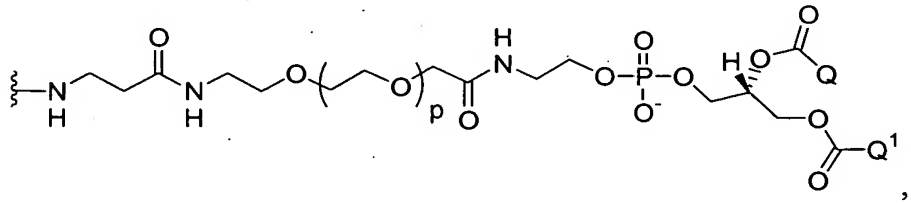
,



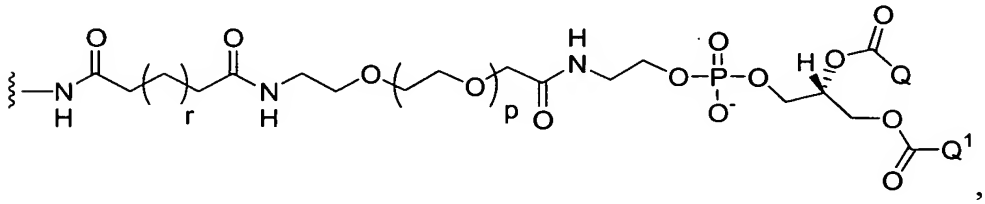
,



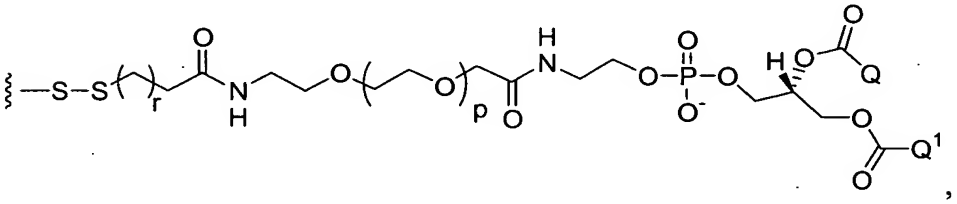
,



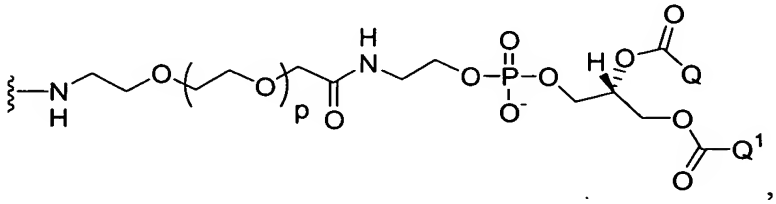
,



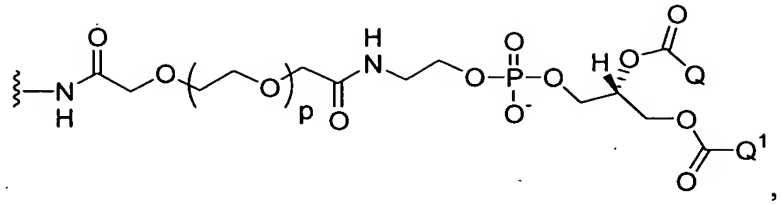
,



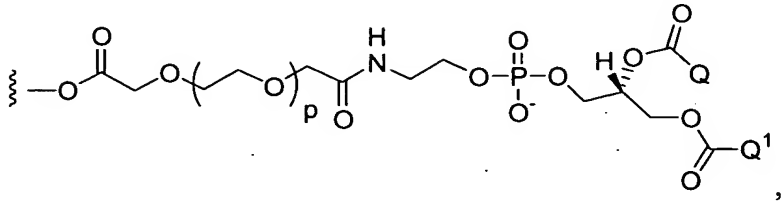
,



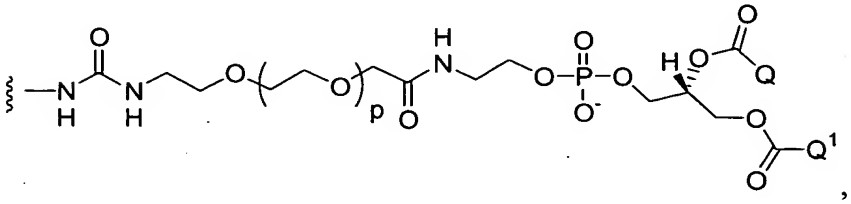
,



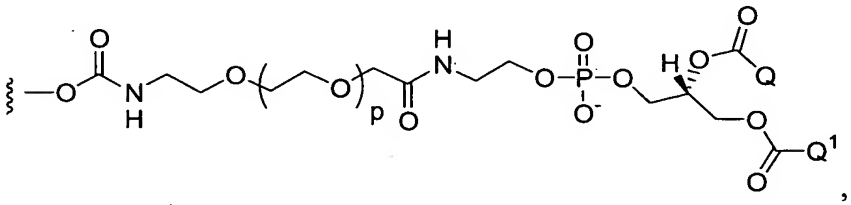
,



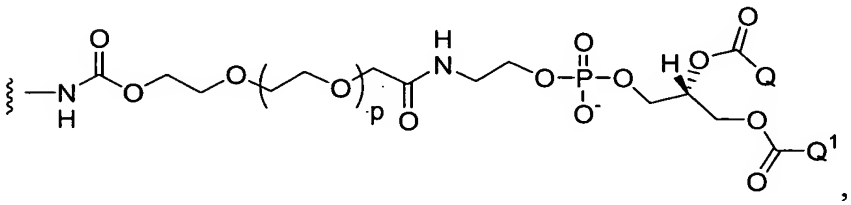
,



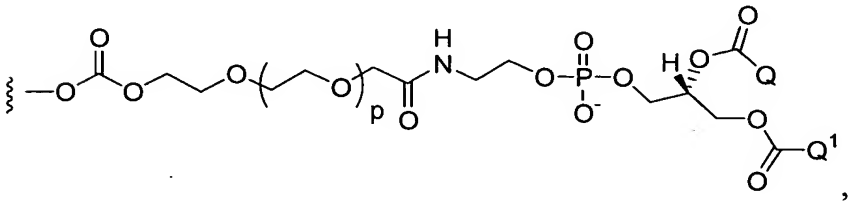
,



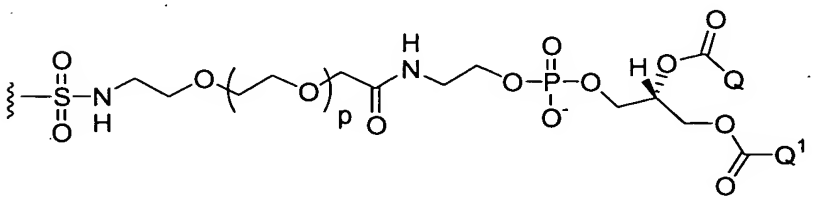
,

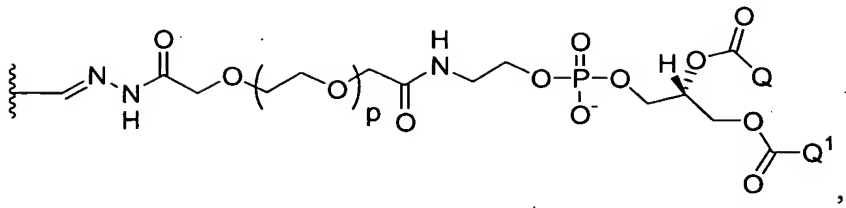


,

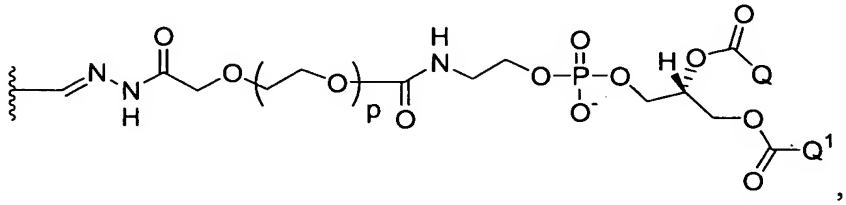


,

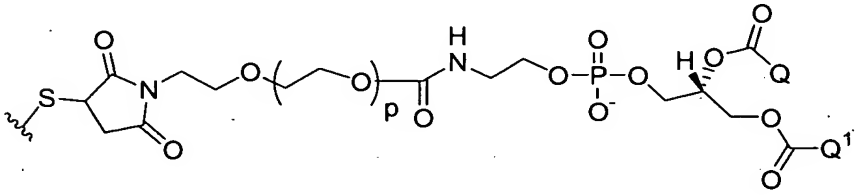




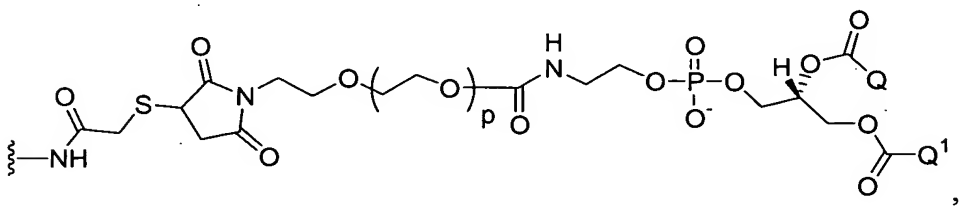
,



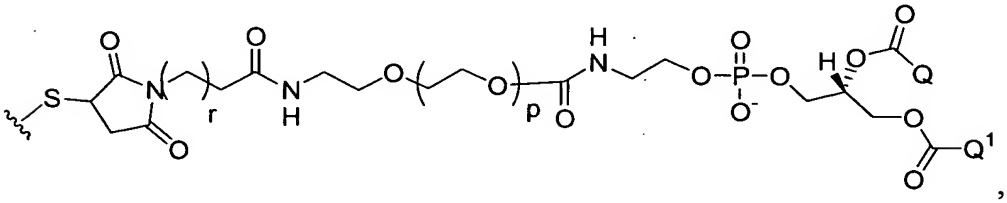
,



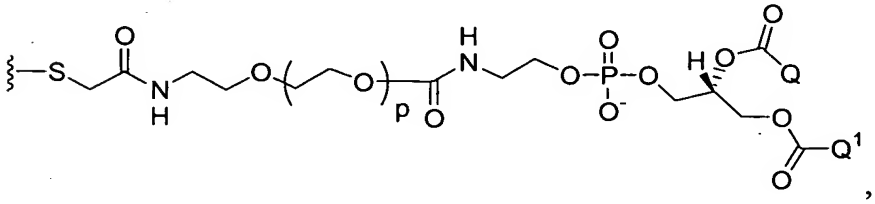
,



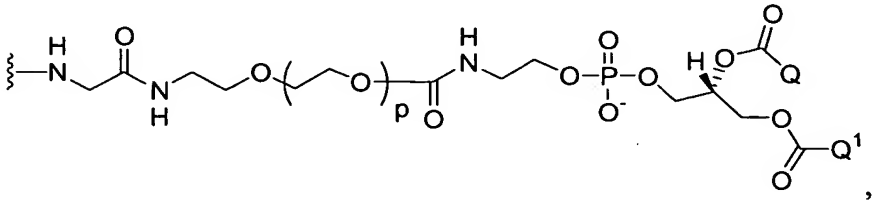
,



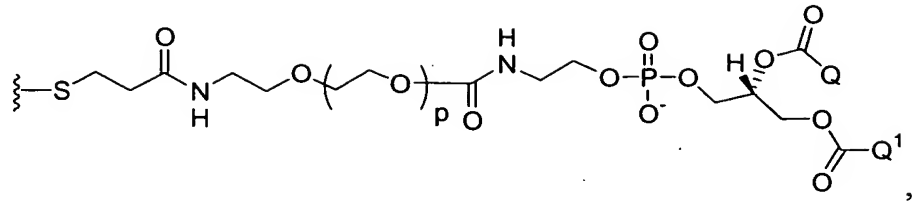
,



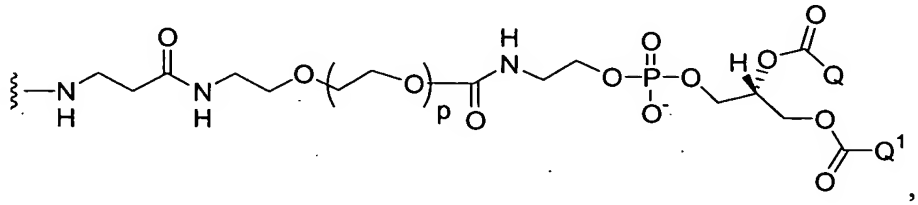
,



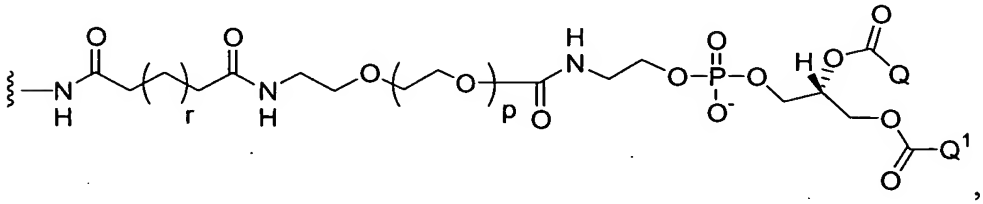
,



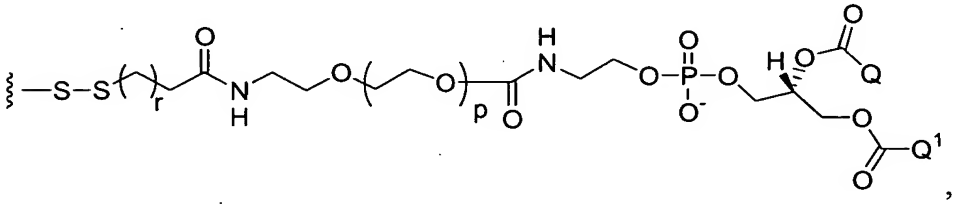
,



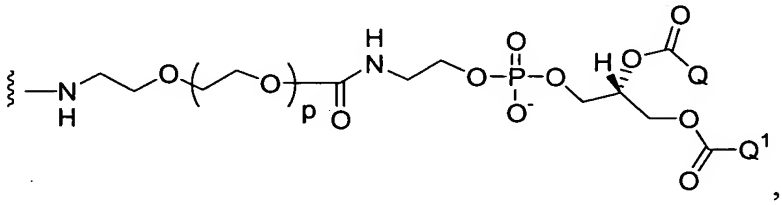
,



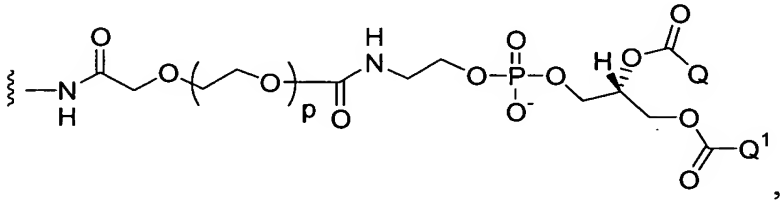
,



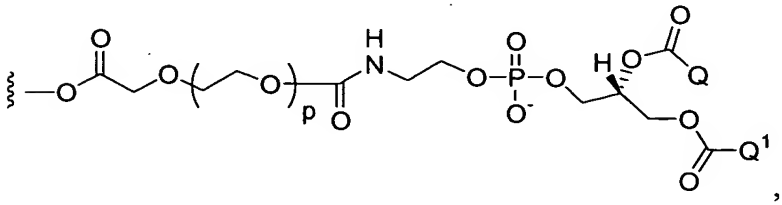
,



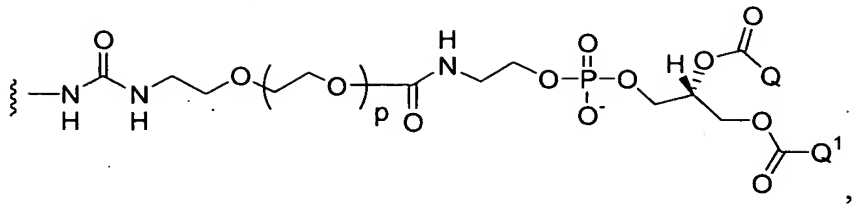
,

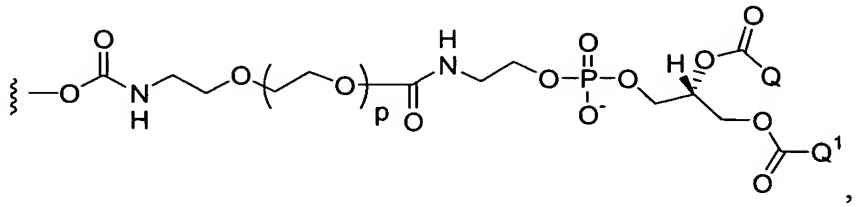


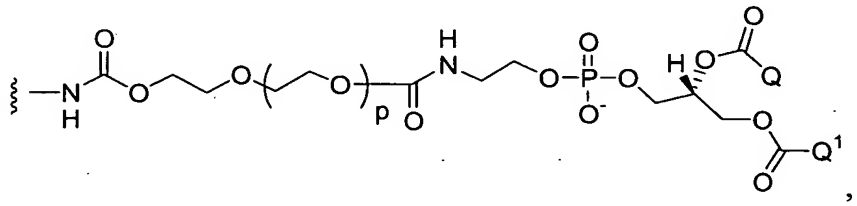
,

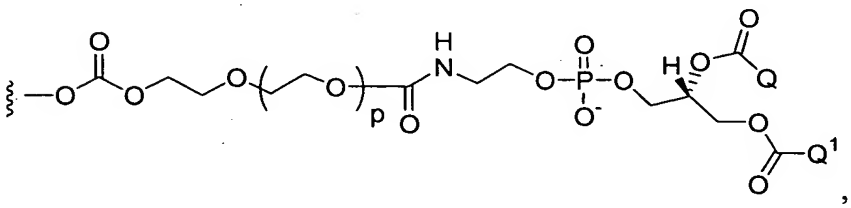


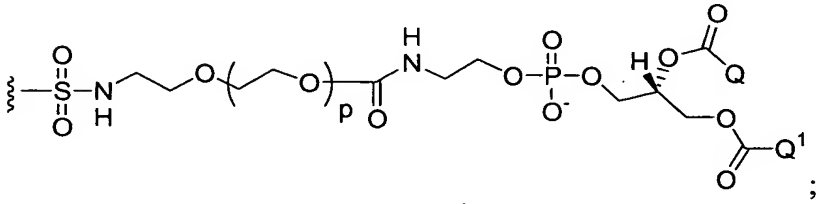
,


,


,


,


, and


;

wherein the unit $-O-(CH_2CH_2O-)_p-$ or  of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

r is an integer from 0 to 8;

Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and are selected from the group consisting of

the C_{11} saturated chain of lauric acid,

the C_{13} saturated chain of myristoic acid,

the C_{15} saturated chain of palmitoic acid,

the C_{17} saturated chain of stearoic acid,

the C_{17} mono-unsaturated chain of oleoic acid, and

the C_{17} di-unsaturated chain of linoleic acid;

Z is selected from the group consisting of hydroxy, $-NH_2$, $-NH-C_{1-8}$alkyl, $-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-OH, $-O-C_{1-8}$alkylC_{1-8}alkoxy, $-O-C_{1-8}$alkylcarbonylC_{1-8}alkyl, $-O-C_{1-8}$alkyl-CO_2H, $-O-C_{1-8}$alkyl-$C(O)O-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-$O-C(O)C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-NH_2, $-O-C_{1-8}$alkyl-$NH-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-$N(C_{1-8}alkyl)_2$, $-O-C_{1-8}$alkylamide, $-O-C_{1-8}$alkyl-$C(O)-NH-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-$C(O)-N(C_{1-8}alkyl)_2$, and $-NHC(O)C_{1-8}$alkyl;

and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

41. The targeting conjugate of claim 40 wherein W is selected from the group consisting of $-C_{0-4}alkyl(R_1)$ and $-C_{0-4}alkyl-aryl(R_1,R_8)$.

42. The targeting conjugate of claim 40 wherein W is $-C_{0-4}alkyl(R_1)$ or $-C_{0-4}alkyl-phenyl(R_1,R_8)$.

43. The targeting conjugate of claim 40 wherein R_1 is selected from the group consisting of $-N(R_4)(R_6)$, -heterocyclyl(R_8) and -heteroaryl(R_8).

44. The targeting conjugate of claim 40 wherein R_1 is selected from the group consisting of $-N(R_4)(R_6)$, -dihydro-1*H*-pyrrolo[2,3-*b*]pyridinyl(R_8), -tetrahydropyrimidinyl(R_8), -tetrahydro-1,8-naphthyridinyl(R_8), -tetrahydro-1*H*-azepino[2,3-*b*]pyridinyl(R_8) and -pyridinyl(R_8).

45. The targeting conjugate of claim 40 wherein R_1 is selected from the group consisting of $-N(R_4)(R_6)$, -tetrahydropyrimidinyl(R_8) and

-tetrahydro-1,8-naphthyridinyl(R_8).

46. The targeting conjugate of claim 40 wherein R_{1a} is selected from the group consisting of -C(R_4)(=N-R_4), -C(=N-R_4)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)(R_6), -C(=N-R_4)-N(R_4)-C(=O)-R_4, -C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)-CO_2-R_4, -C(=N-R_4)-N(R_4)-SO_2-C_{1-4}alkyl(R_7) and -C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$.

47. The targeting conjugate of claim 40 wherein R_4 is selected from the group consisting of hydrogen and -C_{1-4}alkyl(R_7).

48. The targeting conjugate of claim 40 wherein R_4 is hydrogen.

49. The targeting conjugate of claim 40 wherein R_5 is selected from the group consisting of -C(=O)-R_4, -C(=O)-N(R_4)$_2$, -C(=O)-cycloalkyl(R_8), -C(=O)-heterocyclyl(R_8), -C(=O)-aryl(R_8), -C(=O)-heteroaryl(R_8), -C(=O)-N(R_4)-cycloalkyl(R_8), -C(=O)-N(R_4)-aryl(R_8), -CO_2-R_4, -CO_2-cycloalkyl(R_8), -CO_2-aryl(R_8), -C(R_4)(=N-R_4), -C(=N-R_4)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)(R_6), -C(=N-R_4)-N(R_4)-C(=O)-R_4, -C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)-CO_2-R_4, -C(=N-R_4)-N(R_4)-SO_2-C_{1-4}alkyl(R_7), -C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$, -N(R_4)-C(R_4)(=N-R_4), -N(R_4)-C(=N-R_4)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)(R_6), -N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-R_4, -N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)-CO_2-R_4, -N(R_4)-C(=N-R_4)-N(R_4)-SO_2-C_{1-4}alkyl(R_7), -N(R_4)-C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$, -SO_2-C_{1-4}alkyl(R_7), -SO_2-N(R_4)$_2$, -SO_2-cycloalkyl(R_8) and -SO_2-aryl(R_8).

50. The targeting conjugate of claim 40 wherein R_5 is selected from the group consisting of -C(=O)-R_4, -C(=O)-N(R_4)$_2$, -CO_2-R_4, -C(R_4)(=N-R_4), -C(=N-R_4)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)(R_6), -N(R_4)-C(R_4)(=N-R_4), -N(R_4)-C(=N-R_4)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)(R_6), -SO_2-C_{1-4}alkyl(R_7) and -SO_2-N(R_4)$_2$.

51. The targeting conjugate of claim 40 wherein R_6 is selected from the group consisting of -heterocyclyl(R_8) and -heteroaryl(R_8).

52. The targeting conjugate of claim 40 wherein R_6 is selected from the group consisting of -dihydroimidazolyl(R_8), -tetrahydropyridinyl(R_8), -tetrahydropyrimidinyl(R_8) and -pyridinyl(R_8).

53. The targeting conjugate of claim 40 wherein R_7 is one to two substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkoxy(R_9), -NH_2, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, -C(=O)H, -C(=O)-C_{1-4}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl(R_9))$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-4}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SH, -S-C_{1-4}alkyl(R_9), -S-C_{1-4}alkyl-S-C_{1-4}alkyl(R_9), -S-C_{1-4}alkyl-C_{1-4}alkoxy(R_9), -S-C_{1-4}alkyl-NH-C_{1-4}alkyl(R_9), -SO_2-C_{1-4}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl(R_9), -SO_2-N(C_{1-4}alkyl(R_9))$_2$, -SO_2-aryl(R_{10}), cyano, (halo)$_{1-3}$, hydroxy, nitro, oxo, -cycloalkyl(R_{10}), -heterocyclyl(R_{10}), -aryl(R_{10}) and -heteroaryl(R_{10}).

54. The targeting conjugate of claim 40 wherein R_7 is one to two substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkoxy(R_9), -NH_2, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, (halo)$_{1-3}$, hydroxy and oxo.

55. The targeting conjugate of claim 40 wherein R_7 is hydrogen.

56. The targeting conjugate of claim 40 wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C(=O)H, -C(=O)-C_{1-4}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl(R_9))$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H,

-CO_2-C_{1-4}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SO_2-C_{1-4}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl(R_9), -SO_2-N(C_{1-4}alkyl(R_9))$_2$, -SO_2-aryl(R_{10}), -cycloalkyl(R_{10}) and -aryl(R_{10}) when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C_{1-4}alkoxy(R_9), -O-cycloalkyl(R_{10}), -O-aryl(R_{10}), -C(=O)H, -C(=O)-C_{1-4}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl-R_{11})$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-4}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SO_2-C_{1-4}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl(R_9), -SO_2-N(C_{1-4}alkyl(R_9))$_2$, -SO_2-aryl(R_{10}), -SH, -S-C_{1-4}alkyl(R_9), -S-C_{1-4}alkyl-S-C_{1-4}alkyl(R_9), -S-C_{1-4}alkyl-C_{1-4}alkoxy(R_9), -S-C_{1-4}alkyl-NH-C_{1-4}alkyl(R_9), -NH_2, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, cyano, halo, hydroxy, nitro, oxo, -cycloalkyl(R_{10}), -heterocyclyl(R_{10}), -aryl(R_{10}) and -heteroaryl(R_{10}) when attached to a carbon atom.

57. The targeting conjugate of claim 40 wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C(=O)H, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl(R_9))$_2$, -CO_2H, -CO_2-C_{1-4}alkyl(R_9) and -SO_2-NH_2 when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C_{1-4}alkoxy(R_9), -O-aryl(R_{10}), -C(=O)H, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl(R_9))$_2$, -CO_2H, -CO_2-C_{1-4}alkyl(R_9), -SO_2-NH_2, -NH_2, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom.

58. The targeting conjugate of claim 40 wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen and -C_{1-4}alkyl(R_9) when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C_{1-4}alkoxy(R_9), -O-aryl(R_{10}), -NH_2, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, halo, hydroxy and oxo when attached to a carbon atom.

59. The targeting conjugate of claim 40 wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen and -C_{1-4}alkyl(R_9) when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl(R_9), -C_{1-4}alkoxy(R_9), -O-aryl(R_{10}) and hydroxy when attached to a carbon atom.

60. The targeting conjugate of claim 40 wherein R_9 is selected from the group consisting of hydrogen, -C_{1-4}alkoxy, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, -C(=O)H, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl, -C(=O)-N(C_{1-4}alkyl)$_2$, -CO_2H, -CO_2-C_{1-4}alkyl, -SO_2-C_{1-4}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl, -SO_2-N(C_{1-4}alkyl)$_2$, cyano, (halo)$_{1-3}$, hydroxy, nitro and oxo.

61. The targeting conjugate of claim 40 wherein R_9 is selected from the group consisting of hydrogen, -C_{1-4}alkoxy, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, -C(=O)H, -CO_2H, -C(=O)-C_{1-4}alkoxy, (halo)$_{1-3}$, hydroxy and oxo.

62. The targeting conjugate of claim 40 wherein R_9 is selected from the group consisting of hydrogen, -C_{1-4}alkoxy, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, (halo)$_{1-3}$ and hydroxy.

63. The targeting conjugate claim 40 wherein R_{10} is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl, -C(=O)H, -C(=O)-C_{1-4}alkyl, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl, -C(=O)-N(C_{1-4}alkyl)$_2$, -CO_2H, -CO_2-C_{1-4}alkyl, -SO_2-C_{1-4}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl and -SO_2-N(C_{1-4}alkyl)$_2$ when attached to a nitrogen atom; and, wherein R_{10} is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-4}alkyl, -C_{1-4}alkoxy, -C(=O)H, -C(=O)-C_{1-4}alkyl, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl, -C(=O)-N(C_{1-4}alkyl)$_2$, -CO_2H, -CO_2-C_{1-4}alkyl, -SO_2-C_{1-4}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl, -SO_2-N(C_{1-4}alkyl)$_2$, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, cyano, halo, hydroxy,

nitro and oxo when attached to a carbon atom.

64. The targeting conjugate of claim 40 wherein $(R_{10})_{1-4}$ is selected from the group consisting of hydrogen, -C_{1-4}alkyl, -C_{1-4}alkoxy, -C(=O)H, -C(=O)-C_{1-4}alkyl, -CO_2H, -CO_2-C_{1-4}alkyl, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, halo, hydroxy, nitro and oxo when attached to a carbon atom.

65. The targeting conjugate of claim 40 wherein R_{10} is hydrogen.

66. The targeting conjugate of claim 40 wherein R_{2a} is selected from the group consisting of -C_{1-4}alkyl (R_7)(R_{11}), -C_{2-4}alkenyl(R_7)(R_{11}), -C_{2-4}alkynyl(R_7)(R_{11}), -cycloalkyl(R_7)(R_{11}), -heterocyclyl(R_8)(R_{12}), -aryl(R_8)(R_{12}), and -heteroaryl(R_8)(R_{12}).

67. The targeting conjugate of claim 40 wherein R_{2a} is selected from the group consisting of -cycloalkyl(R_7)(R_{11}), -heterocyclyl(R_8)(R_{12}), -aryl(R_8)(R_{12}), and -heteroaryl(R_8)(R_{11}).

68. The targeting conjugate of claim 40 wherein R_{2a} is selected from the group consisting of -cycloalkyl(R_7)(R_{11}), -heterocyclyl(R_8)(R_{12}), -phenyl(R_8)(R_{12}), -naphthalenyl(R_8)(R_{12}), and -heteroaryl(R_8)(R_{11}).

69. The targeting conjugate claim 40 wherein R_{2a} is selected from the group consisting of -tetrahydropyrimidinyl(R_8)(R_{12}), -1,3-benzodioxolyl(R_8)(R_{12}), -dihydrobenzofuranyl(R_8)(R_{12}), -tetrahydroquinolinyl(R_8)(R_{12}), -phenyl(R_8)(R_{12}), -naphthalenyl(R_8)(R_{12}), -pyridinyl(R_8)(R_{12}), -pyrimidinyl(R_8)(R_{12}), and -quinolinyl(R_8)(R_{12}).

70. The targeting conjugate of claim 40 wherein R_{11} is selected from the group consisting of -C_{1-8}alkyl(R_{13}), -O-C_{1-8}alkyl(R_{13}), -NH-C_{1-8}alkyl(R_{13}), -S-C_{1-8}alkyl(R_{13}), -C(=O)C_{1-8}alkyl(R_{13}), -O-C(=O)C_{1-8}alkyl(R_{13}), -NH-C(=O)C_{1-8}alkyl(R_{13}), -C(=O)OC_{1-8}alkyl(R_{13}),

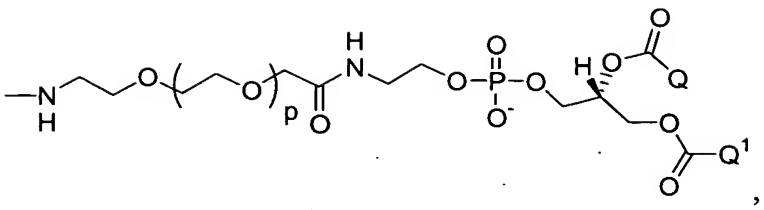
-C(=O)NHC$_{1-8}$alkyl(R_{13}), -O-C(=O)OC$_{1-8}$alkyl(R_{13}), -O-C(=O)NHC$_{1-8}$alkyl(R_{13}), -O-C(=O)C$_{1-8}$alkylC(=O)(R_{13}), -NH-C(=O)C$_{1-8}$alkylC(=O)(R_{13}), -C(=O)OC$_{1-8}$alkylC(=O)(R_{13}), -O-C(=O)OC$_{1-8}$alkylC(=O)(R_{13}), -NH-C(=O)OC$_{1-8}$alkylC(=O)(R_{13}), -C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}), -O-C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}), -NH-C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}), -SCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}), -NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}), -SO$_2$NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}), -C(=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}), -OC(=O)OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}), -OC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}), -NHC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}), and -SO$_2$NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}).

71. The targeting conjugate of claim 40 wherein R_{11} is selected from the group consisting of -C$_{1-8}$alkyl(R_{13}), -O-C$_{1-8}$alkyl(R_{13}), -NH-C$_{1-8}$alkyl(R_{13}), -S-C$_{1-8}$alkyl(R_{13}), -C(=O)C$_{1-8}$alkyl(R_{13}), -O-C(=O)C$_{1-8}$alkyl(R_{13}), -NH-C(=O)C$_{1-8}$alkyl(R_{13}), -C(=O)OC$_{1-8}$alkyl(R_{13}), -C(=O)NHC$_{1-8}$alkyl(R_{13}), -O-C(=O)OC$_{1-8}$alkyl(R_{13}), -O-C(=O)NHC$_{1-8}$alkyl(R_{13}), -O-C(=O)C$_{1-8}$alkylC(=O)(R_{13}), -NH-C(=O)C$_{1-8}$alkylC(=O)(R_{13}), -C(=O)OC$_{1-8}$alkylC(=O)(R_{13}), -O-C(=O)OC$_{1-8}$alkylC(=O)(R_{13}), -NH-C(=O)OC$_{1-8}$alkylC(=O)(R_{13}), -C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}), -O-C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}), and -NH-C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}).

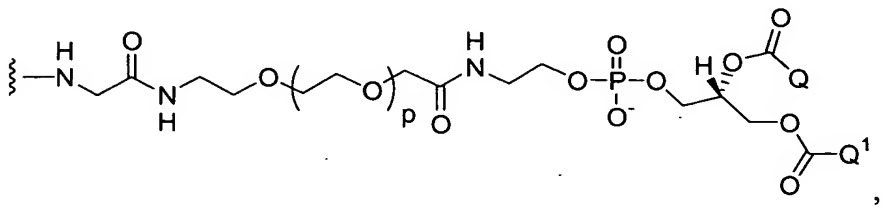
72. The targeting conjugate of claim 40 wherein R_{12} is selected from the group consisting of -C$_{1-6}$alkyl(R_{13}), -O-C$_{1-6}$alkyl(R_{13}), -NH-C$_{1-4}$alkyl(R_{13}), -S-C$_{1-6}$alkyl(R_{13}), -CH$_2$O-C$_{1-6}$alkyl(R_{13}), -CH$_2$NH-C$_{1-6}$alkyl(R_{13}), -CH$_2$S-C$_{1-6}$alkyl(R_{13}), -C(=O)C$_{1-6}$alkyl(R_{13}), -O-C(=O)C$_{1-6}$alkyl(R_{13}), -NH-C(=O)C$_{1-8}$alkyl(R_{13}), -CH$_2$O-C(=O)C$_{1-8}$alkyl(R_{13}), -CH$_2$NH-C(=O)C$_{1-6}$alkyl(R_{13}), -C(=O)OC$_{1-6}$alkyl(R_{13}), -C(=O)NHC$_{1-6}$alkyl(R_{13}), -O-C(=O)OC$_{1-6}$alkyl(R_{13}), -O-C(=O)NHC$_{1-6}$alkyl(R_{13}),

-NH-C(=O)OC$_{1-6}$alkyl(R_{13}), -NH-C(=O)NHC$_{1-6}$alkyl(R_{13}),
-NH-C(=O)C$_{1-6}$alkylC(=O)(R_{13}), -CH$_2$O-C(=O)C$_{1-8}$alkylC(=O)(R_{13}),
-NH-C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}), -CH$_2$O-C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}),
-CH$_2$NH-C(=O)NHC$_{1-8}$alkylC(=O)(R_{13}),
-OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-SCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-OC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-NH(C=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-NHC(=O)OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-NHC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-SO$_2$CH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-SO$_2$NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-CH$_2$OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-CH$_2$NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-CH$_2$SCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R_{13}),
-CH$_2$OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-OC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-NH(C=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-NHC(=O)OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-NHC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-CH$_2$OC(=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-CH$_2$NH(C=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}),
-CH$_2$NHC(=O)OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13}), and
-CH$_2$NHC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R_{13});

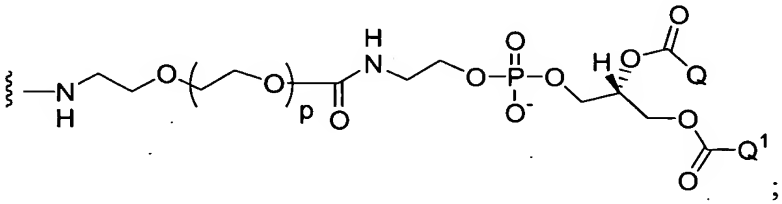
wherein when R_{11} or R_{12} terminates with a –C(=O)-, R_{13} is selected from the group consisting of



,

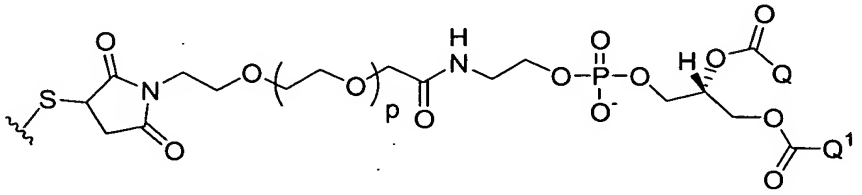


, and

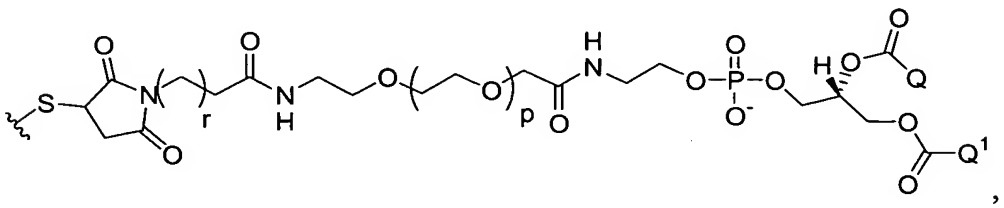


;

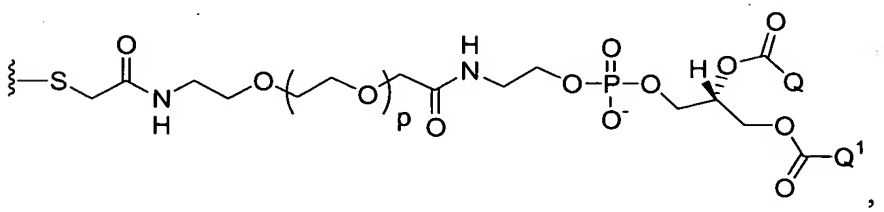
and when R_{11} or R_{12} does not terminate with a –C(=O)-, R_{13} is selected from the group consisting of



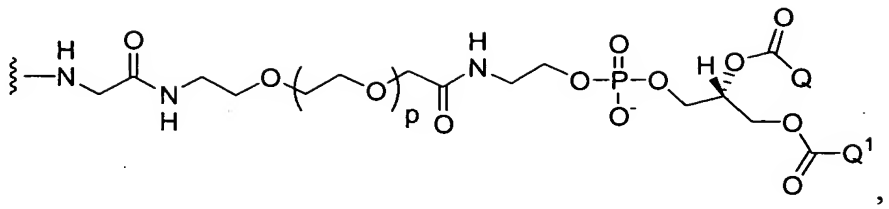
,



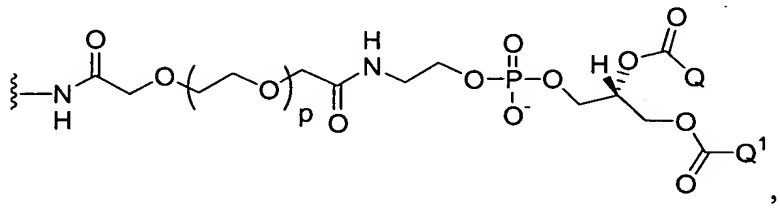
,



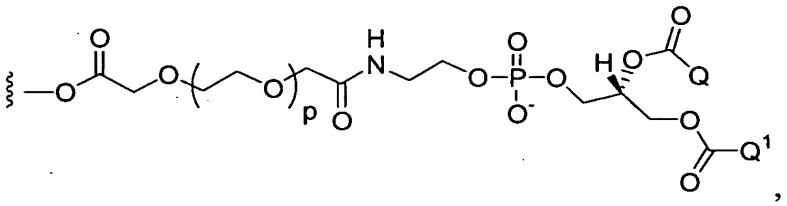
,



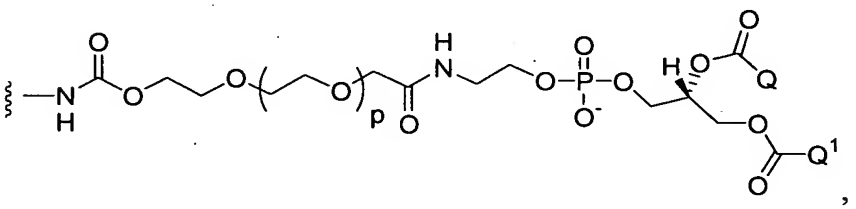
,



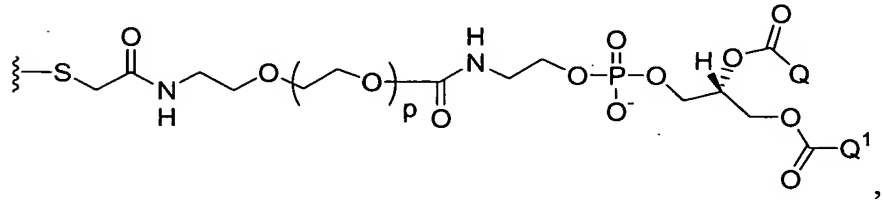
,



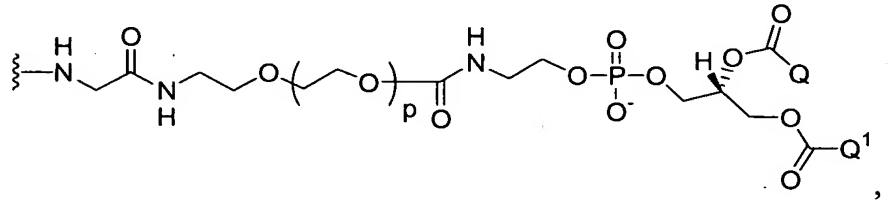
,



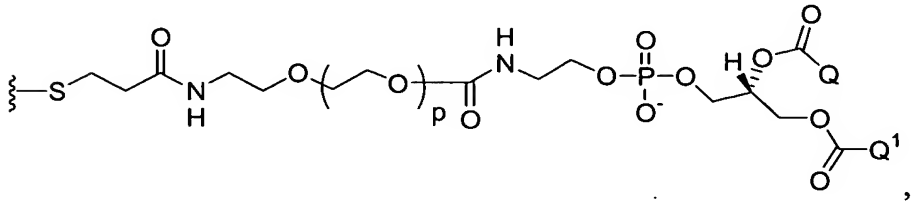
,



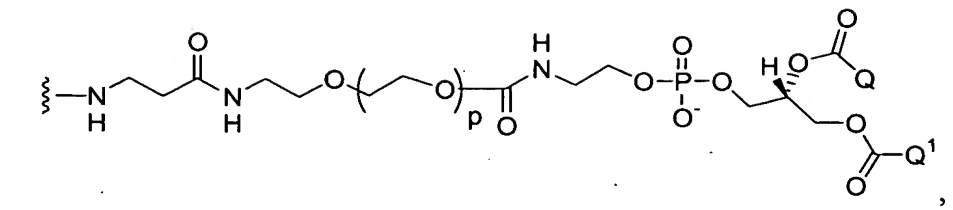
,



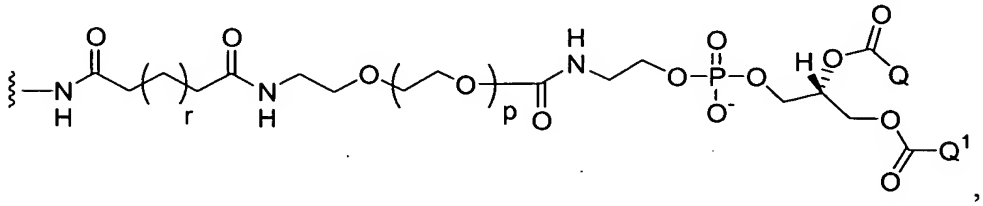
,



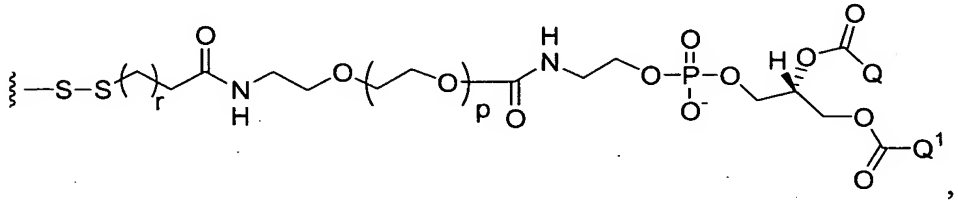
,



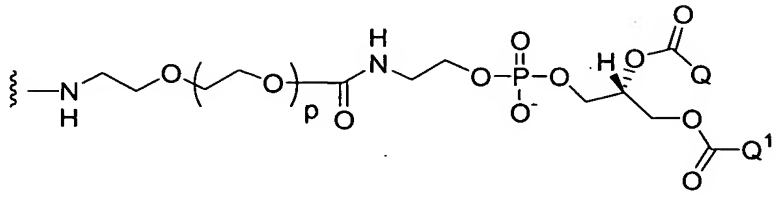
,



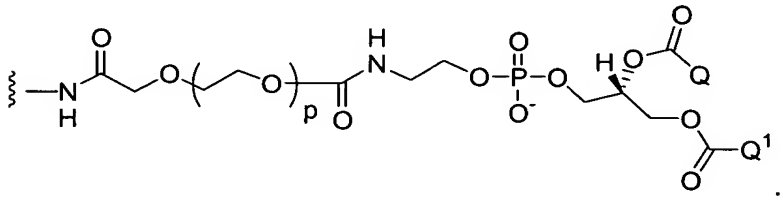
,



,



, and



.

73. The targeting conjugate of claim 40 wherein R_{12} is selected from the group consisting of -CH_2O-C_{1-6}alkyl(R_{13}), -CH_2NH-C_{1-6}alkyl(R_{13}), -CH_2S-C_{1-6}alkyl(R_{13}), -NH-C(=O)C_{1-8}alkyl(R_{13}), -CH_2NH-C(=O)C_{1-6}alkyl(R_{13}), -NH-C(=O)NHC_{1-6}alkyl(R_{13}), -NH-C(=O)C_{1-6}alkylC(=O)(R_{13}), -$OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -$NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -$OCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{13}$), -NH(C=O)$CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$),

$-CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

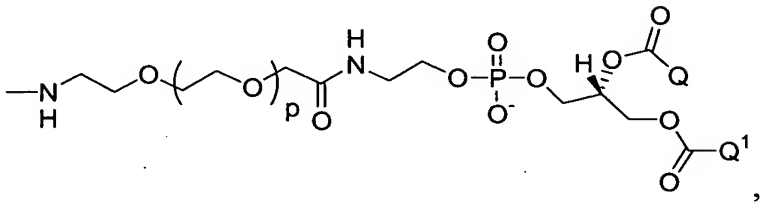
$-CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

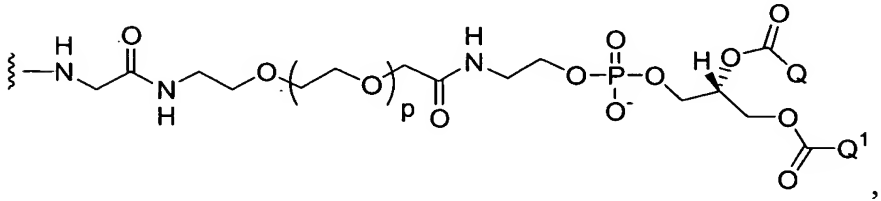
$-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, and

$-CH_2NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$.

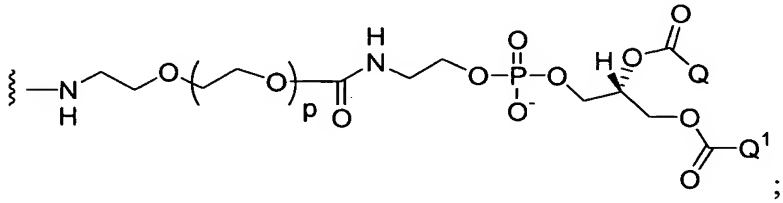
wherein when R_{11} or R_{12} terminates with a –C(=O)-, R_{13} is selected from the group consisting of



,

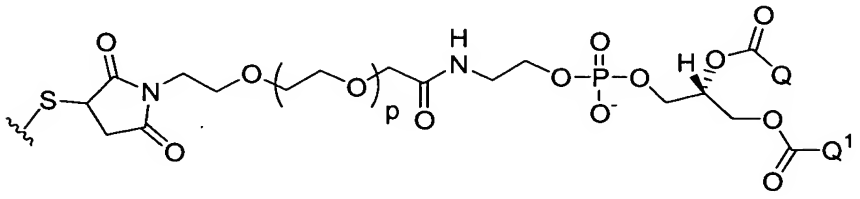


, and

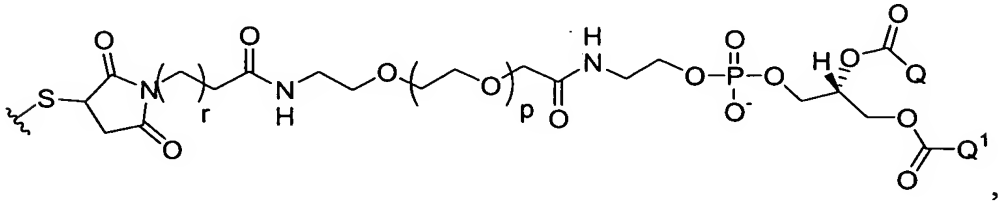


;

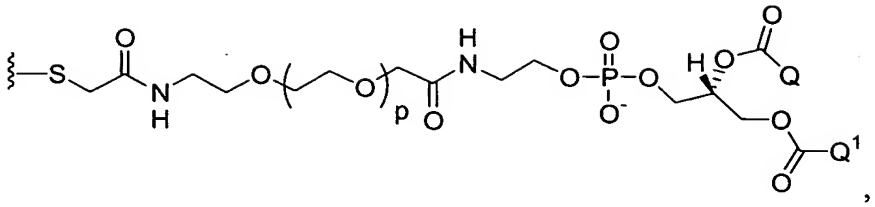
and when R_{11} or R_{12} does not terminate with a –C(=O)-, R_{13} is selected from the group consisting of

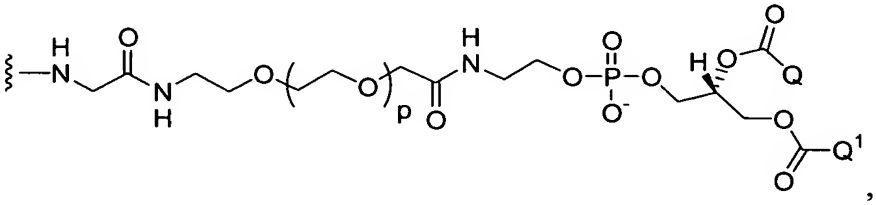


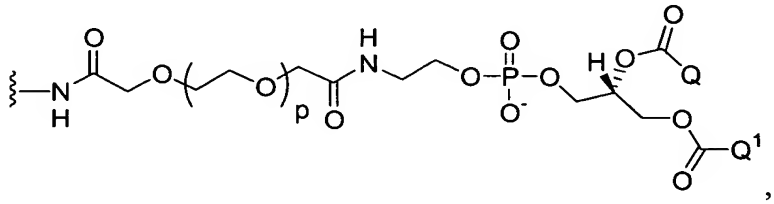
,

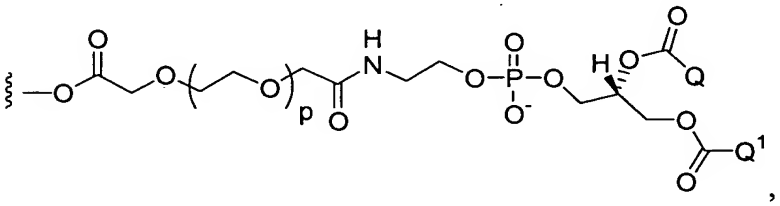


,

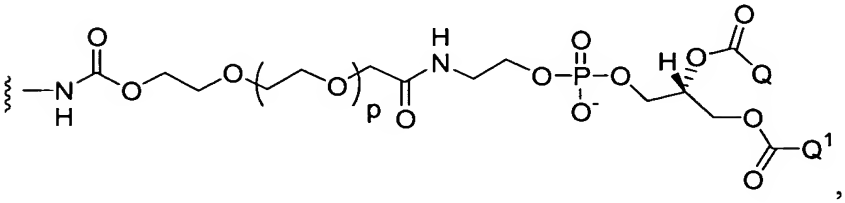

,

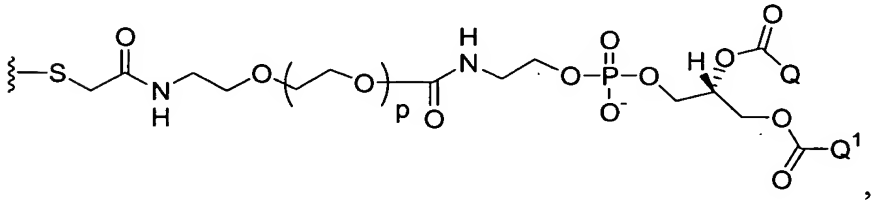

,

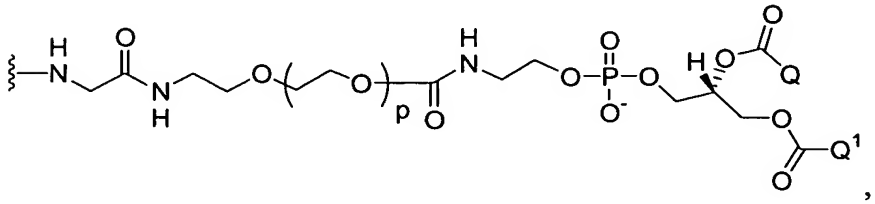

,

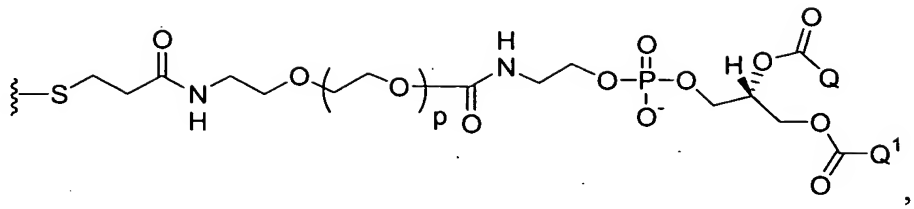

,

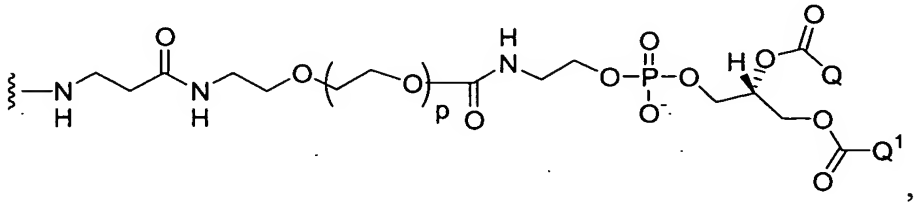
5

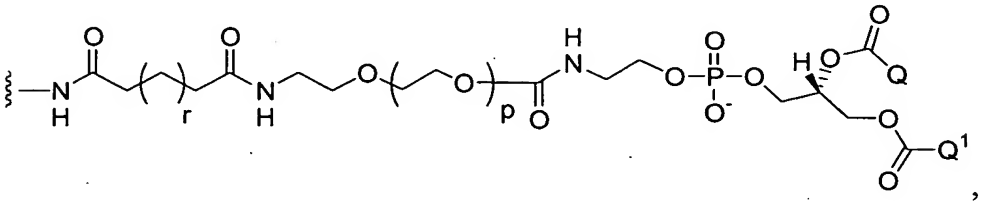

,

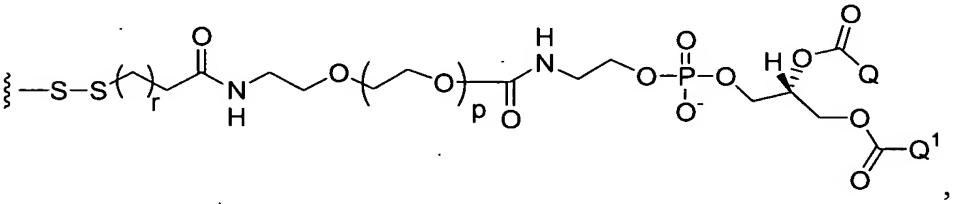

,

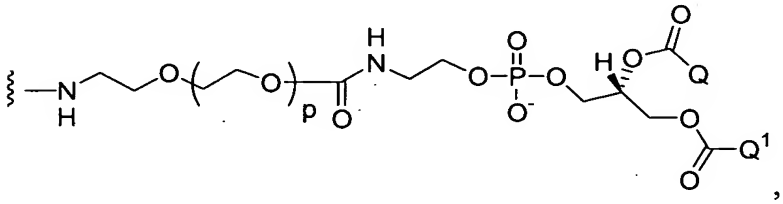

,



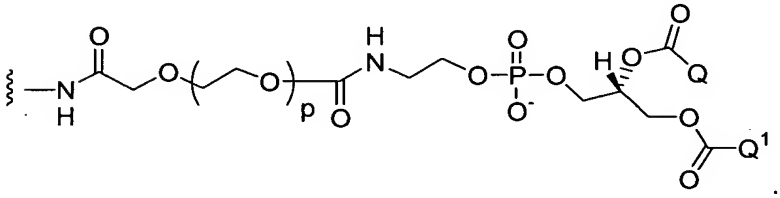




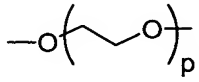




, and



74. The targeting conjugate of claim 40 wherein said $-O-(CH_2CH_2O-)_p-$ or



of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer ranging in molecular weight from 2000 to 5000 daltons.

75. The targeting conjugate of claim 40 wherein wherein Q and Q^1 of substituents

R_{12} and R_{13} are the same within a given compound and are selected from the group consisting of the C_{15} saturated chain of palmitoic acid, the C_{17} saturated chain of stearoic acid, and the C_{17} mono-unsaturated chain of oleoic acid.

76. The targeting conjugate of claim 40 wherein

W is preferably is selected from the group consisting of -C_{0-4}alkyl(R_1), -C_{1-4}alkyl(R_{1a}), -C_{0-4}alkyl-aryl(R_1,R_8), -C_{0-4}alkyl-heterocyclyl(R_1,R_8), -C_{0-4}alkoxy(R_1), -C_{0-4}alkoxy-aryl(R_1,R_8), and -C_{0-4}alkoxy-heterocyclyl(R_1,R_8);

R_1 is -N(R_4)(R_6), -heterocyclyl(R_8) or -heteroaryl(R_8);

R_{1a} is -C(R_4)(=N-R_4), -C(=N-R_4)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)(R_6), -C(=N-R_4)-N(R_4)-C(=O)-R_4, -C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)-CO_2-R_4, -C(=N-R_4)-N(R_4)-SO_2-C_{1-4}alkyl(R_7) or -C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$;

R_4 is hydrogen or -C_{1-4}alkyl(R_7);

R_5 is -C(=O)-R_4, -C(=O)-N(R_4)$_2$, -C(=O)-cycloalkyl(R_8), -C(=O)-heterocyclyl(R_8), -C(=O)-aryl(R_8), -C(=O)-heteroaryl(R_8), -C(=O)-N(R_4)-cycloalkyl(R_8), -C(=O)-N(R_4)-aryl(R_8), -CO_2-R_4, -CO_2-cycloalkyl(R_8), -CO_2-aryl(R_8), -C(R_4)(=N-R_4), -C(=N-R_4)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)(R_6), -C(=N-R_4)-N(R_4)-C(=O)-R_4, -C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)-CO_2-R_4, -C(=N-R_4)-N(R_4)-SO_2-C_{1-4}alkyl(R_7), -C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$, -N(R_4)-C(R_4)(=N-R_4), -N(R_4)-C(=N-R_4)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)(R_6), -N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-R_4, -N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)-CO_2-R_4, -N(R_4)-C(=N-R_4)-N(R_4)-SO_2-C_{1-4}alkyl(R_7), -N(R_4)-C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$, -SO_2-C_{1-4}alkyl(R_7), -SO_2-N(R_4)$_2$, -SO_2-cycloalkyl(R_8) or -SO_2-aryl(R_8);

R_6 is -heterocyclyl(R_8) or -heteroaryl(R_8);

R_7 is one to two substituents independently selected from hydrogen, -C_{1-4}alkoxy(R_9), $-NH_2$, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, -C(=O)H, -C(=O)-C_{1-4}alkyl(R_9), $-C(=O)-NH_2$, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl(R_9))$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), $-CO_2H$, $-CO_2$-C_{1-4}alkyl(R_9), $-CO_2$-aryl(R_{10}), $-C(=NH)-NH_2$, -SH, -S-C_{1-4}alkyl(R_9), -S-C_{1-4}alkyl-S-C_{1-4}alkyl(R_9), -S-C_{1-4}alkyl-C_{1-4}alkoxy(R_9), -S-C_{1-4}alkyl-NH-C_{1-4}alkyl(R_9), $-SO_2$-C_{1-4}alkyl(R_9), $-SO_2-NH_2$, $-SO_2$-NH-C_{1-4}alkyl(R_9), $-SO_2$-N(C_{1-4}alkyl(R_9))$_2$, $-SO_2$-aryl(R_{10}), cyano, (halo)$_{1-3}$, hydroxy, nitro, oxo, -cycloalkyl(R_{10}), -heterocyclyl(R_{10}), -aryl(R_{10}) or -heteroaryl(R_{10});

R_8 is one to four substituents independently selected from hydrogen, -C_{1-4}alkyl(R_9), -C(=O)H, $-C(=O)-NH_2$, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl(R_9))$_2$, $-CO_2H$, $-CO_2$-C_{1-4}alkyl(R_9) or $-SO_2-NH_2$ when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from hydrogen, -C_{1-4}alkyl(R_9), -C_{1-4}alkoxy(R_9), -O-aryl(R_{10}), -C(=O)H, $-C(=O)-NH_2$, -C(=O)-NH-C_{1-4}alkyl(R_9), -C(=O)-N(C_{1-4}alkyl(R_9))$_2$, $-CO_2H$, $-CO_2$-C_{1-4}alkyl(R_9), $-SO_2-NH_2$, $-NH_2$, -NH-C_{1-4}alkyl(R_9), -N(C_{1-4}alkyl(R_9))$_2$, cyano, halo, hydroxy, nitro or oxo when attached to a carbon atom;

R_9 is hydrogen, -C_{1-4}alkoxy, $-NH_2$, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, -C(=O)H, $-C(=O)-NH_2$, -C(=O)-NH-C_{1-4}alkyl, -C(=O)-N(C_{1-4}alkyl)$_2$, $-CO_2H$, $-CO_2$-C_{1-4}alkyl, $-SO_2$-C_{1-4}alkyl, $-SO_2-NH_2$, $-SO_2$-NH-C_{1-4}alkyl, $-SO_2$-N(C_{1-4}alkyl)$_2$, cyano, (halo)$_{1-3}$, hydroxy, nitro or oxo;

R_{10} is one to four substituents independently selected from hydrogen, -C_{1-4}alkyl, -C(=O)H, -C(=O)-C_{1-4}alkyl, $-C(=O)-NH_2$, -C(=O)-NH-C_{1-4}alkyl, -C(=O)-N(C_{1-4}alkyl)$_2$, $-CO_2H$, $-CO_2$-C_{1-4}alkyl, $-SO_2$-C_{1-4}alkyl, $-SO_2-NH_2$,

$-SO_2-NH-C_{1-4}alkyl$ or $-SO_2-N(C_{1-4}alkyl)_2$ when attached to a nitrogen atom; and,

wherein R_{10} is one to four substituents independently selected from hydrogen, $-C_{1-4}alkyl$, $-C_{1-4}alkoxy$, $-C(=O)H$, $-C(=O)-C_{1-4}alkyl$, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-4}alkyl$, $-C(=O)-N(C_{1-4}alkyl)_2$, $-CO_2H$, $-CO_2-C_{1-4}alkyl$, $-SO_2-C_{1-4}alkyl$, $-SO_2-NH_2$, $-SO_2-NH-C_{1-4}alkyl$, $-SO_2-N(C_{1-4}alkyl)_2$, $-NH_2$, $-NH-C_{1-4}alkyl$, $-N(C_{1-4}alkyl)_2$, cyano, halo, hydroxy, nitro or oxo when attached to a carbon atom;

R_{2a} is -cycloalkyl(R_8)(R_{11}), -heterocyclyl(R_8)(R_{12}), -aryl(R_8)(R_{12}) or -heteroaryl(R_8)(R_{12});

q is 1, 2 or 3.

R_{11} is selected from the group consisting of $-C_{1-8}alkyl(R_{13})$, $-O-C_{1-8}alkyl(R_{13})$, $-NH-C_{1-8}alkyl(R_{13})$, $-S-C_{1-8}alkyl(R_{13})$, $-C(=O)C_{1-8}alkyl(R_{13})$, $-O-C(=O)C_{1-8}alkyl(R_{13})$, $-NH-C(=O)C_{1-8}alkyl(R_{13})$, $-C(=O)OC_{1-8}alkyl(R_{13})$, $-C(=O)NHC_{1-8}alkyl(R_{13})$, $-O-C(=O)OC_{1-8}alkyl(R_{13})$, $-O-C(=O)NHC_{1-8}alkyl(R_{13})$, $-O-C(=O)C_{1-8}alkylC(=O)(R_{13})$, $-NH-C(=O)C_{1-8}alkylC(=O)(R_{13})$, $-C(=O)OC_{1-8}alkylC(=O)(R_{13})$, $-O-C(=O)OC_{1-8}alkylC(=O)(R_{13})$, $-NH-C(=O)OC_{1-8}alkylC(=O)(R_{13})$, $-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$, $-O-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$, $-NH-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$, $-SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$, $-NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, $-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$, $-C(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, $-OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, $-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, $-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, and $-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$;

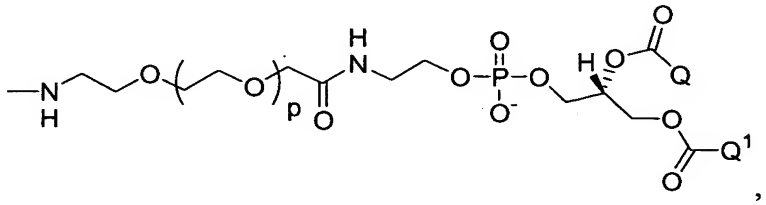
R_{12} is selected from the group consisting of

-$C_{1\text{-}6}$alkyl(R_{13}), -O-$C_{1\text{-}6}$alkyl(R_{13}),
-NH-$C_{1\text{-}4}$alkyl(R_{13}), -S-$C_{1\text{-}6}$alkyl(R_{13}), -CH_2O-$C_{1\text{-}6}$alkyl(R_{13}),
-CH_2NH-$C_{1\text{-}6}$alkyl(R_{13}), -CH_2S-$C_{1\text{-}6}$alkyl(R_{13}), -C(=O)$C_{1\text{-}6}$alkyl(R_{13}),
-O-C(=O)$C_{1\text{-}6}$alkyl(R_{13}), -NH-C(=O)$C_{1\text{-}8}$alkyl(R_{13}),
-CH_2O-C(=O)$C_{1\text{-}8}$alkyl(R_{13}), -CH_2NH-C(=O)$C_{1\text{-}6}$alkyl(R_{13}),
-C(=O)O$C_{1\text{-}6}$alkyl(R_{13}), -C(=O)NH$C_{1\text{-}6}$alkyl(R_{13}),
-O-C(=O)O$C_{1\text{-}6}$alkyl(R_{13}), -O-C(=O)NH$C_{1\text{-}6}$alkyl(R_{13}),
-NH-C(=O)O$C_{1\text{-}6}$alkyl(R_{13}), -NH-C(=O)NH$C_{1\text{-}6}$alkyl(R_{13}),
-NH-C(=O)$C_{1\text{-}6}$alkylC(=O)(R_{13}), -CH_2O-C(=O)$C_{1\text{-}8}$alkylC(=O)(R_{13}),
-NH-C(=O)NH$C_{1\text{-}8}$alkylC(=O)(R_{13}), -CH_2O-C(=O)NH$C_{1\text{-}8}$alkylC(=O)(R_{13}),
-CH_2NH-C(=O)NH$C_{1\text{-}8}$alkylC(=O)(R_{13}),
-OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-SCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{13}$),
-NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{13}$),
-OC(=O)NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-NH(C=O)CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-NHC(=O)OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-$SO_2CH_2CH_2$O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-SO_2NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-CH_2OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-CH_2NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-CH_2SCH_2CH_2O(CH_2CH_2O)$_r$$CH_2CH_2$($R_{13}$),
-CH_2OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{13}$),
-OC(=O)NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{13}$),
-NH(C=O)CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{13}$),
-NHC(=O)OCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{13}$),
-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{13}$),
-CH_2OC(=O)CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{13}$),
-CH_2NH(C=O)CH_2O(CH_2CH_2O)$_r$$CH_2$C(=O)($R_{13}$),

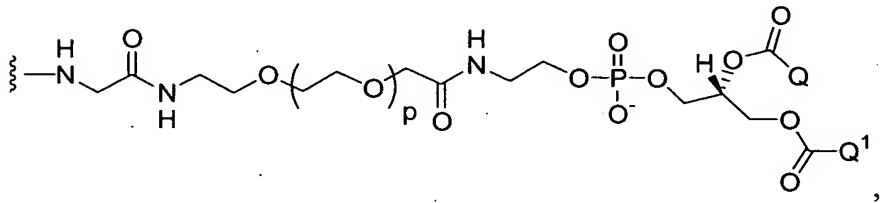
$-CH_2NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, and

$-CH_2NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$;

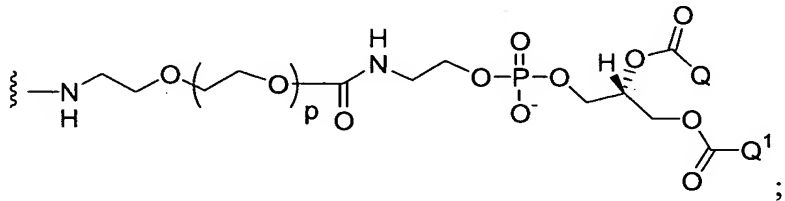
wherein when R_{11} or R_{12} terminates with a –C(=O)-, R_{13} is selected from the group consisting of



,

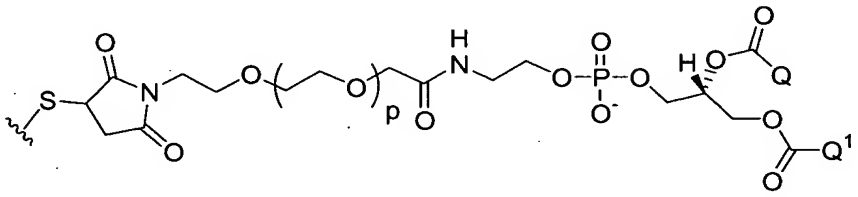


, and

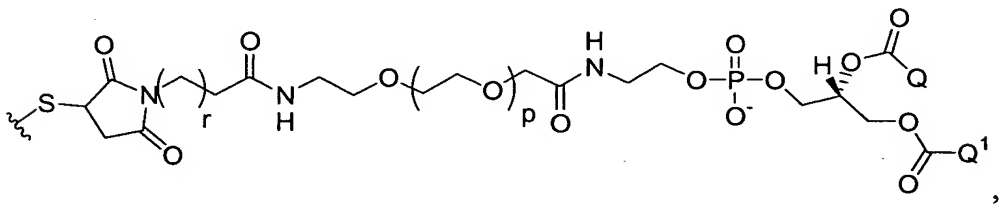


;

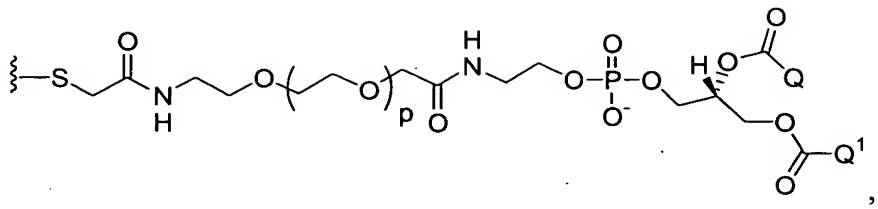
and when R_{11} or R_{12} does not terminate with a –C(=O)-, R_{13} is selected from the group consisting of



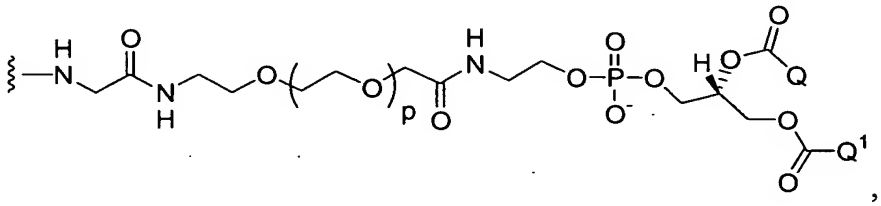
,



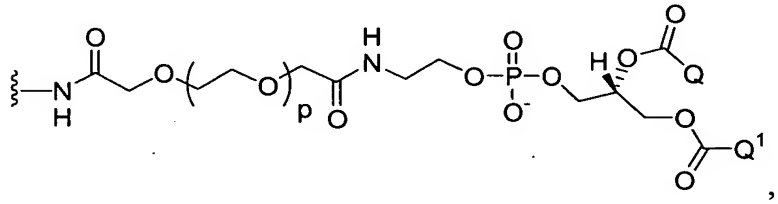
,



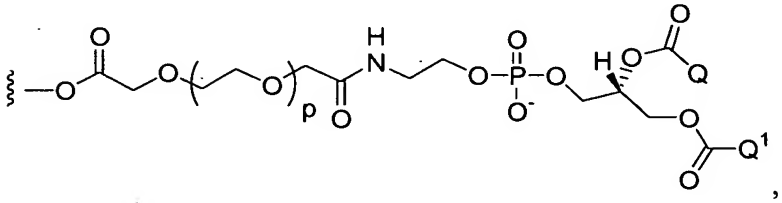
,



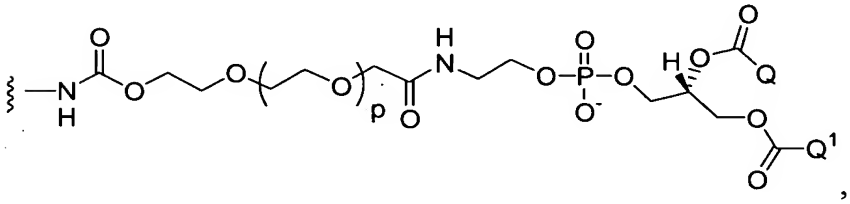
,



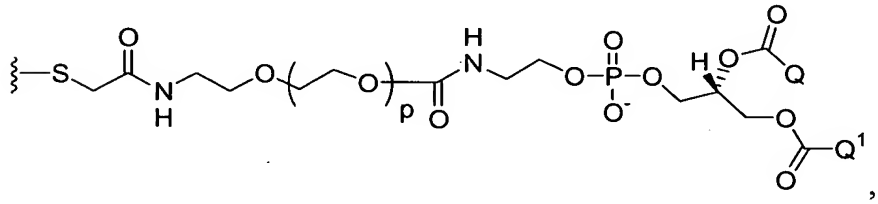
,



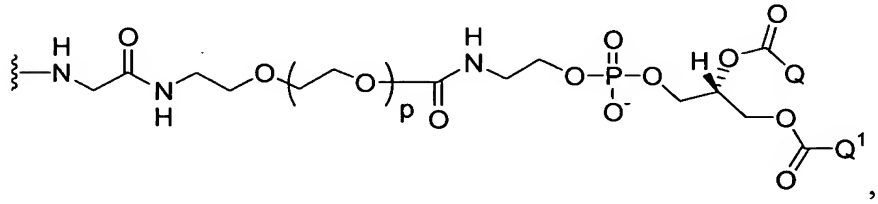
,



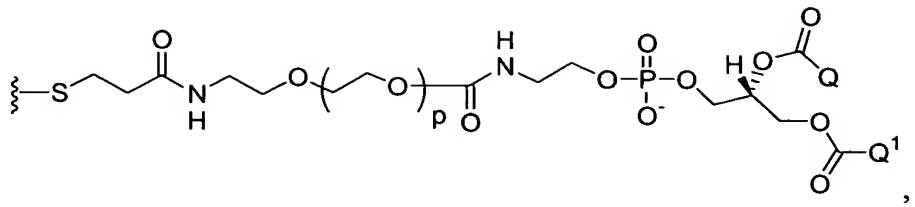
,



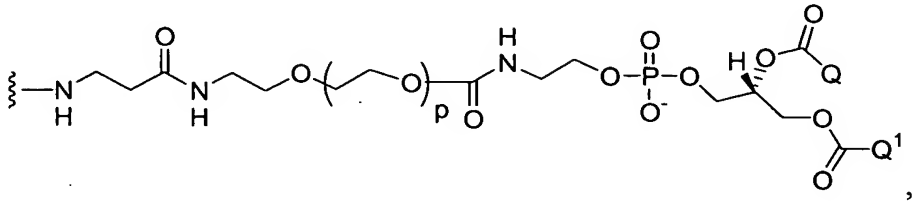
,



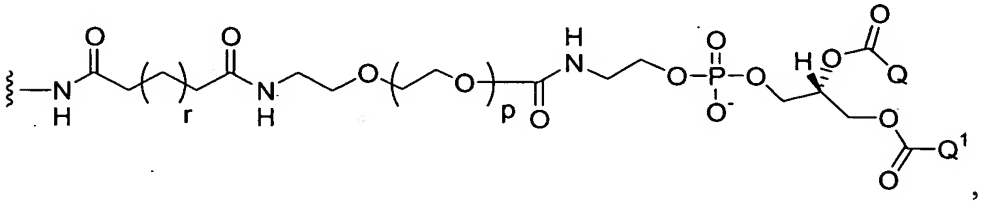
,



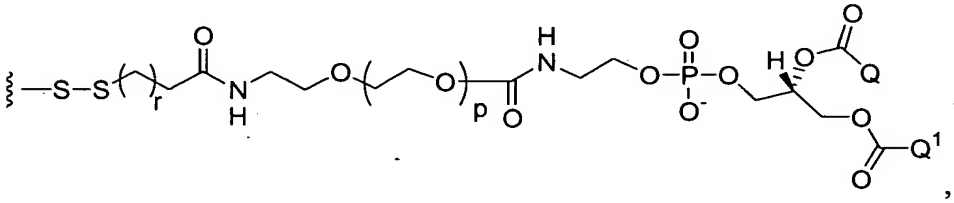
,



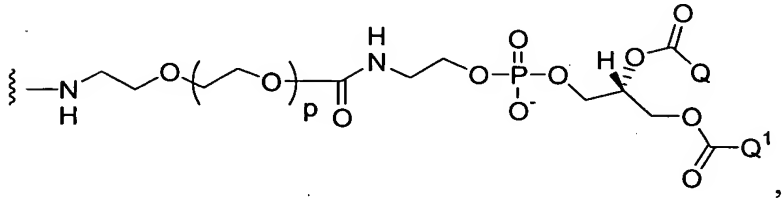
,



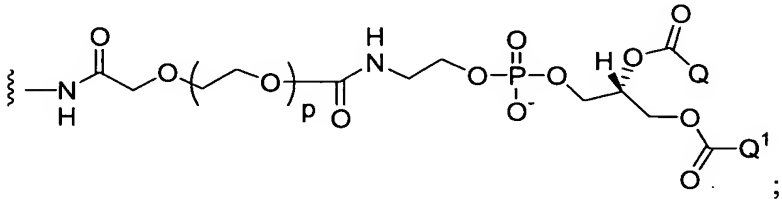
,



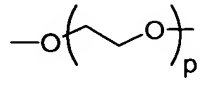
,



, and



;

said $-O-(CH_2CH_2O-)_p-$ or  of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

r is an integer from 0 to 8;

Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and are selected from the group consisting of
the C_{11} saturated chain of lauric acid,
the C_{15} saturated chain of palmitoic acid,
the C_{17} saturated chain of stearoic acid,
the C_{17} mono-unsaturated chain of oleoic acid, and
the C_{17} di-unsaturated chain of linoleic acid;

Z is selected from the group consisting of hydroxy, $-NH_2$, $-NH-C_{1-8}$alkyl, $-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-OH, $-O-C_{1-8}alkylC_{1-4}$alkoxy, $-O-C_{1-8}alkylcarbonylC_{1-4}$alkyl, $-O-C_{1-8}alkyl-CO_2H$, $-O-C_{1-8}alkyl-C(O)O-C_{1-6}$alkyl, $-O-C_{1-8}alkyl-O-C(O)C_{1-8}$alkyl, $-O-C_{1-8}alkyl-NH_2$, $-O-C_{1-8}alkyl-NH-C_{1-8}$alkyl, $-O-C_{1-8}alkyl-N(C_{1-8}alkyl)_2$, –O-C_{1-8}alkylamide $-O-C_{1-8}alkyl-C(O)-NH-C_{1-8}$alkyl, $-O-C_{1-8}alkyl-C(O)-N(C_{1-8}alkyl)_2$ and
–NHC(O)C_{1-8}alkyl.

77. The targeting conjugate of claim 40 wherein

W is preferably $-C_{0-4}$alkyl(R_1) or $-C_{0-4}$alkyl-phenyl(R_1,R_8);

R_1 is $-N(R_4)(R_6)$, -tetrahydropyrimidinyl(R_8) or
-tetrahydro-1,8-naphthyridinyl(R_8);

R_{1a} is $-C(R_4)(=N-R_4)$, $-C(=N-R_4)-N(R_4)_2$, $-C(=N-R_4)-N(R_4)(R_6)$, $-C(=N-R_4)-N(R_4)-C(=O)-R_4$, $-C(=N-R_4)-N(R_4)-C(=O)-N(R_4)_2$, $-C(=N-R_4)-N(R_4)-CO_2-R_4$, $-C(=N-R_4)-N(R_4)-SO_2-C_{1-4}$alkyl($R_7$) or $-C(=N-R_4)-N(R_4)-SO_2-N(R_4)_2$;

R_4 is hydrogen;

R_5 is $-C(=O)-R_4$, $-C(=O)-N(R_4)_2$, $-CO_2-R_4$, $-C(R_4)(=N-R_4)$, $-C(=N-R_4)-N(R_4)_2$, $-C(=N-R_4)-N(R_4)(R_6)$, $-N(R_4)-C(R_4)(=N-R_4)$, $-N(R_4)-C(=N-R_4)-N(R_4)_2$,

-$N(R_4)$-$C(=N\text{-}R_4)$-$N(R_4)(R_6)$, -SO_2-$C_{1\text{-}4}$alkyl(R_7) or -SO_2-$N(R_4)_2$;

R_6 is -dihydroimidazolyl(R_8), -tetrahydropyridinyl(R_8), -tetrahydropyrimidinyl(R_8) or -pyridinyl(R_8);

R_7 is hydrogen;

R_8 is one to four substituents independently selected from hydrogen or -$C_{1\text{-}4}$alkyl(R_9) when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from hydrogen, -$C_{1\text{-}4}$alkyl(R_9), -$C_{1\text{-}4}$alkoxy(R_9) -O-aryl(R_{10}) or hydroxy when attached to a carbon atom;

R_9 is hydrogen, -$C_{1\text{-}4}$alkoxy, -NH_2, -NH-$C_{1\text{-}4}$alkyl, -$N(C_{1\text{-}4}alkyl)_2$, $(halo)_{1\text{-}3}$ or hydroxy;

R_{10} is hydrogen;

R_{2a} is -tetrahydropyrimidinyl(R_8)(R_{12}) , -1,3-benzodioxolyl(R_8)(R_{12}), -dihydrobenzofuranyl(R_8)(R_{12}), -tetrahydroquinolinyl(R_8)(R_{12}), -phenyl(R_8)(R_{12}), -naphthalenyl(R_8)(R_{12}), -pyridinyl(R_8)(R_{12}), -pyrimidinyl(R_8)(R_{12}) or -quinolinyl(R_8)(R_{12});

q is 1 or 2;

R_{12} is selected from the group consisting of
-CH_2-O-$(CH_2)_4$(R_{13})-,
-CH_2-NH-$(CH_2)_4$(R_{13})-,
-CH_2-S-$(CH_2)_4$(R_{13})-,
-CH_2-O-$(CH_2)_6$(R_{13})-,
-CH_2-NH-$(CH_2)_6$(R_{13})-,
-CH_2-S-$(CH_2)_6$(R_{13})-,

-NH-C(=O)-$(CH_2)_4(R_{13})$-,

-NH-C(=O)-$(CH_2)_7(R_{13})$-,

-NH-C(=O)NH-$(CH_2)_3(R_{13})$-,

-NH-C(=O)NH-$(CH_2)_6(R_{13})$-,

-CH_2NH-C(=O)NH-$(CH_2)_2(R_{13})$-,

-CH_2NH-C(=O)NH-$(CH_2)_5(R_{13})$-,

-NHC(=O)-$(CH_2)_2$-C(=O)(R_{13})-,

-NHC(=O)-$(CH_2)_3$-C(=O)(R_{13})-,

-NHC(=O)-$(CH_2)_4$-C(=O)(R_{13})-,

-$OCH_2CH_2OCH_2CH_2(R_{13})$-,

-$NHCH_2CH_2OCH_2CH_2(R_{13})$-,

-$OCH_2CH_2OCH_2CH_2OCH_2CH_2(R_{13})$-,

-$NHCH_2CH_2OCH_2CH_2OCH_2CH_2(R_{13})$-,

-$OCH_2CH_2OCH_2C(=O)(R_{13})$-,

-$OCH_2CH_2OCH_2CH_2OCH_2C(=O)(R_{13})$-,

-NHC(=O)$CH_2OCH_2CH_2(R_{13})$-,

-NHC(=O)$CH_2OCH_2CH_2OCH_2CH_2(R_{13})$-,

-$CH_2OCH_2CH_2OCH_2CH_2(R_{13})$-,

-$CH_2NHCH_2CH_2OCH_2CH_2(R_{13})$-,

-$CH_2SCH_2CH_2OCH_2CH_2(R_{13})$-,

-$CH_2OCH_2CH_2OCH_2CH_2OCH_2CH_2(R_{13})$-,

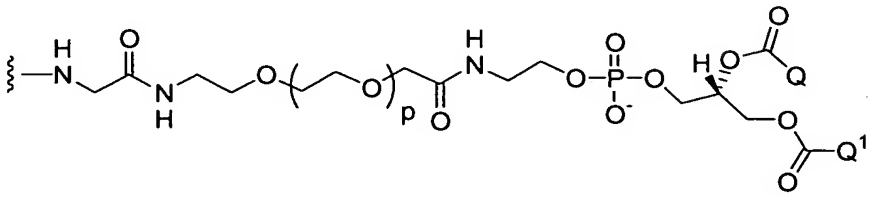
-$CH_2NHCH_2CH_2OCH_2CH_2OCH_2CH_2(R_{13})$-,

-$CH_2SCH_2CH_2OCH_2CH_2OCH_2CH_2(R_{13})$-,

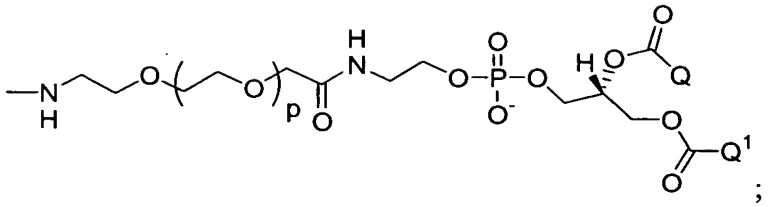
-$CH_2NHC(=O)CH_2OCH_2C(=O)(R_{13})$-, and

-NHC(=O)$CH_2OCH_2C(=O)(R_{13})$-;

wherein when R_{11} or R_{12} terminates with a –C(=O)-, R_{13} is selected from the group consisting of

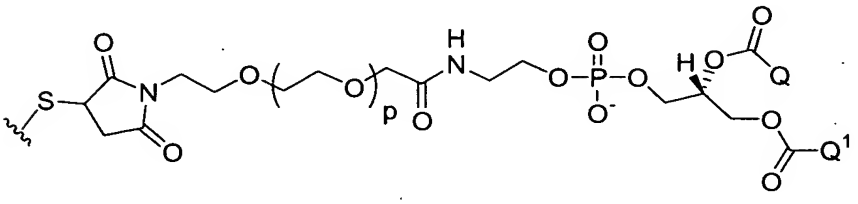


and

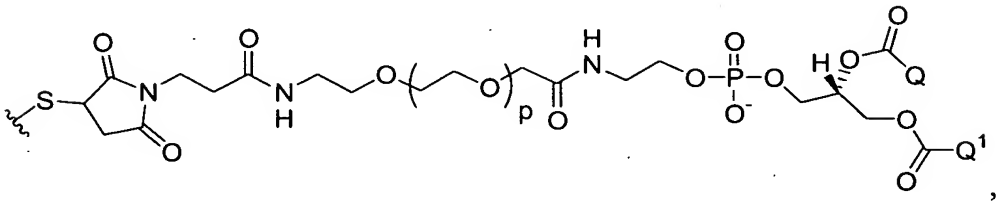


;

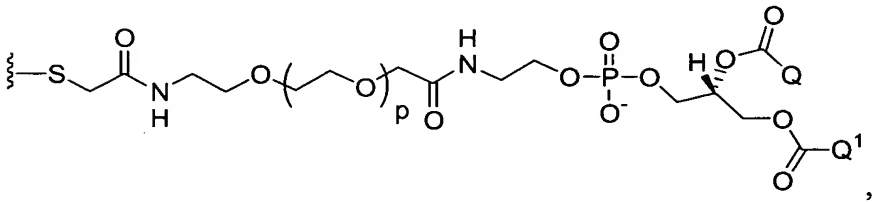
and when R_{11} or R_{12} does not terminate with a –C(=O)-, R_{13} is selected from the group consisting of



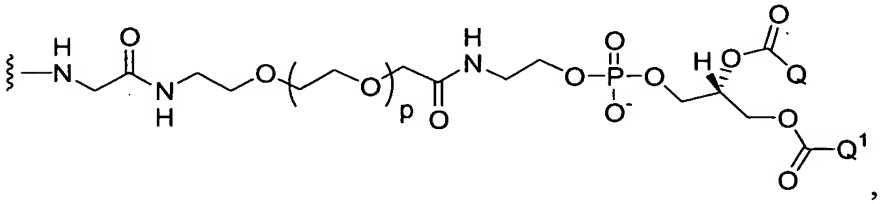
,



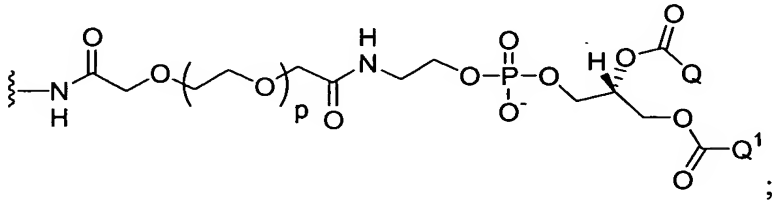
,



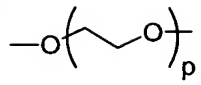
,



, and



;

wherein said $-O-(CH_2CH_2O-)_p-$ or  of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer selected from 2000 (PEG 2000), 3400 (PEG 3400), or 5000 (PEG 5000) Daltons;

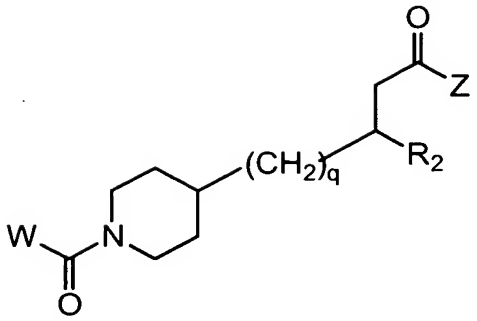
r is an integer from 0 to 8;

Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and is the C_{17} saturated chain of stearoic acid;

Z is selected from the group consisting of hydroxy, $-NH_2$, $-NH-C_{1-8}$alkyl, $-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-OH, $-O-C_{1-8}$alkylC_{1-4}alkoxy, $-O-C_{1-8}$alkylcarbonylC_{1-4}alkyl, $-O-C_{1-8}$alkyl-CO_2H, $-O-C_{1-8}$alkyl-$C(O)O-C_{1-6}$alkyl, $-O-C_{1-8}$alkyl-$O-C(O)C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-NH_2, $-O-C_{1-8}$alkyl-$NH-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-$N(C_{1-8}alkyl)_2$, $-O-C_{1-8}$alkylamide $-O-C_{1-8}$alkyl-$C(O)-NH-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-$C(O)-N(C_{1-8}alkyl)_2$ and $-NHC(O)C_{1-8}$alkyl.

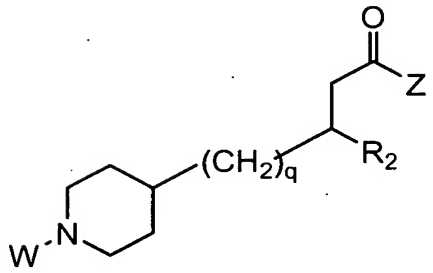
78. A therapeutic liposome composition sensitized to a target cell, comprising
(i) a liposomal composition composed of pre-formed liposomes having an entrapped therapeutic agent; and
(ii) a plurality of targeting conjugates, each conjugate composed of
(a) a lipid having a polar head group and a hydrophobic tail, (b) a hydrophilic polymer having a proximal end and a distal end, where the polymer is attached at its proximal end to the head group of the lipid, and
(c) a targeting ligand attached to the distal end of the polymer.

79. The liposome of claim 78 wherein the targeting conjugate has a formula selected from the group consisting of
Formula (I):



Formula (I)

and Formula (II):



Formula (II)

wherein

W is selected from the group consisting of -C_{0-6}alkyl(R_1), -C_{1-6}alkyl(R_{1a}), -C_{0-6}alkyl-aryl(R_1,R_8), -C_{0-6}alkyl-heterocyclyl(R_1,R_8), -C_{0-6}alkoxy(R_1), -C_{0-6}alkoxy-aryl(R_1,R_8), and -C_{0-6}alkoxy-heterocyclyl(R_1,R_8);

R_1 is selected from the group consisting of hydrogen, -$N(R_4)_2$, -$N(R_4)(R_5)$, -$N(R_4)(R_6)$, -heterocyclyl(R_8) and -heteroaryl(R_8);

R_{1a} is selected from the group consisting of -$C(R_4)$(=N-R_4), -C(=N-R_4)-$N(R_4)_2$, -C(=N-R_4)-$N(R_4)(R_6)$, -C(=N-R_4)-$N(R_4)$-C(=O)-R_4, -C(=N-R_4)-$N(R_4)$-C(=O)-$N(R_4)_2$, -C(=N-R_4)-$N(R_4)$-CO_2-R_4, -C(=N-R_4)-$N(R_4)$-SO_2-C_{1-8}alkyl(R_7) and -C(=N-R_4)-$N(R_4)$-SO_2-$N(R_4)_2$;

R_4 is selected from the group consisting of hydrogen and -C_{1-8}alkyl(R_7);

R_5 is selected from the group consisting of -C(=O)-R_4, -C(=O)-$N(R_4)_2$,

-C(=O)-cycloalkyl(R_8), -C(=O)-heterocyclyl(R_8), -C(=O)-aryl(R_8), -C(=O)-heteroaryl(R_8), -C(=O)-N(R_4)-cycloalkyl(R_8), -C(=O)-N(R_4)-aryl(R_8), -CO_2-R_4, -CO_2-cycloalkyl(R_8), -CO_2-aryl(R_8), -C(R_4)(=N-R_4), -C(=N-R_4)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)(R_6), -C(=N-R_4)-N(R_4)-C(=O)-R_4, -C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -C(=N-R_4)-N(R_4)-CO_2-R_4, -C(=N-R_4)-N(R_4)-SO_2-C_{1-8}alkyl(R_7), -C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$, -N(R_4)-C(R_4)(=N-R_4), -N(R_4)-C(=N-R_4)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)(R_6), -N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-R_4, -N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-N(R_4)$_2$, -N(R_4)-C(=N-R_4)-N(R_4)-CO_2-R_4, -N(R_4)-C(=N-R_4)-N(R_4)-SO_2-C_{1-8}alkyl(R_7), -N(R_4)-C(=N-R_4)-N(R_4)-SO_2-N(R_4)$_2$, -SO_2-C_{1-8}alkyl(R_7), -SO_2-N(R_4)$_2$, -SO_2-cycloalkyl(R_8) and -SO_2-aryl(R_8);

R_6 is selected from the group consisting of -cycloalkyl(R_8), -heterocyclyl(R_8), -aryl(R_8) and -heteroaryl(R_8);

R_7 is one to two substituents independently selected from the group consisting of hydrogen, -C_{1-8}alkoxy(R_9), -NH_2, -NH-C_{1-8}alkyl(R_9), -N(C_{1-8}alkyl(R_9))$_2$, -C(=O)H, -C(=O)-C_{1-8}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl(R_9), -C(=O)-N(C_{1-8}alkyl(R_9))$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-8}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2, -SH, -S-C_{1-8}alkyl(R_9), -S-C_{1-8}alkyl-S-C_{1-8}alkyl(R_9), -S-C_{1-8}alkyl-C_{1-8}alkoxy(R_9), -S-C_{1-8}alkyl-NH-C_{1-8}alkyl(R_9), -SO_2-C_{1-8}alkyl(R_9), -SO_2-NH_2, -SO_2-NH-C_{1-8}alkyl(R_9), -SO_2-N(C_{1-8}alkyl(R_9))$_2$, -SO_2-aryl(R_{10}), cyano, (halo)$_{1-3}$, hydroxy, nitro, oxo, -cycloalkyl(R_{10}), -heterocyclyl(R_{10}), -aryl(R_{10}) and -heteroaryl(R_{10});

R_8 is one to four substituents independently selected from the group consisting of hydrogen, -C_{1-8}alkyl(R_9), -C(=O)H, -C(=O)-C_{1-8}alkyl(R_9), -C(=O)-NH_2, -C(=O)-NH-C_{1-8}alkyl(R_9), -C(=O)-N(C_{1-8}alkyl(R_9))$_2$, -C(=O)-NH-aryl(R_{10}), -C(=O)-cycloalkyl(R_{10}), -C(=O)-heterocyclyl(R_{10}), -C(=O)-aryl(R_{10}), -C(=O)-heteroaryl(R_{10}), -CO_2H, -CO_2-C_{1-8}alkyl(R_9), -CO_2-aryl(R_{10}), -C(=NH)-NH_2,

$-SO_2-C_{1-8}alkyl(R_9)$, $-SO_2-NH_2$, $-SO_2-NH-C_{1-8}alkyl(R_9)$, $-SO_2-N(C_{1-8}alkyl(R_9))_2$, $-SO_2-aryl(R_{10})$, $-cycloalkyl(R_{10})$ and $-aryl(R_{10})$ when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, $-C_{1-8}alkyl(R_9)$, $-C_{1-8}alkoxy(R_9)$, $-O-cycloalkyl(R_{10})$, $-O-aryl(R_{10})$, $-C(=O)H$, $-C(=O)-C_{1-8}alkyl(R_9)$, $-NHC(=O)-C_{1-8}alkyl(R_9)$, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-8}alkyl(R_9)$, $-C(=O)-N(C_{1-8}alkyl(R_9))_2$, $-C(=O)-NH-aryl(R_{10})$, $-NHC(=O)-NH_2$, $-NHC(=O)-NH-C_{1-8}alkyl(R_9)$, $-NHC(=O)-N(C_{1-8}alkyl(R_9))_2$, $-NHC(=O)-NH-aryl(R_{10})$, $-NHC(=O)-O-C_{1-8}alkyl(R_9)$, $-NHC(=O)-O-aryl(R_{10})$, $-C(=O)-cycloalkyl(R_{10})$, $-C(=O)-heterocyclyl(R_{10})$, $-C(=O)-aryl(R_{10})$, $-C(=O)-heteroaryl(R_{10})$, $-NHC(=O)-cycloalkyl(R_{10})$, $-NHC(=O)-heterocyclyl(R_{10})$, $-NHC(=O)-aryl(R_{10})$, $-NHC(=O)-heteroaryl(R_{10})$, $-CO_2H$, $-CO_2-C_{1-8}alkyl(R_9)$, $-CO_2-aryl(R_{10})$, $-C(=NH)-NH_2$, $-SO_2-C_{1-8}alkyl(R_9)$, $-SO_2-NH_2$, $-SO_2-NH-C_{1-8}alkyl(R_9)$, $-SO_2-N(C_{1-8}alkyl(R_9))_2$, $-SO_2-aryl(R_{10})$, $-NHSO_2-C_{1-8}alkyl(R_9)$, $-NHSO_2-aryl(R_{10})$, $-SH$, $-S-C_{1-8}alkyl(R_9)$, $-S-C_{1-8}alkyl-S-C_{1-8}alkyl(R_9)$, $-S-C_{1-8}alkyl-C_{1-8}alkoxy(R_9)$, $-S-C_{1-8}alkyl-NH-C_{1-8}alkyl(R_9)$, $-NH_2$, $-NH-C_{1-8}alkyl(R_9)$, $-N(C_{1-8}alkyl(R_9))_2$, cyano, halo, hydroxy, nitro, oxo, $-cycloalkyl(R_{10})$, $-heterocyclyl(R_{10})$, $-aryl(R_{10})$, and $-heteroaryl(R_{10})$ when attached to a carbon atom;

R_9 is selected from the group consisting of hydrogen, $-C_{1-8}alkoxy$, $-NH_2$, $-NH-C_{1-8}alkyl$, $-N(C_{1-8}alkyl)_2$, $-C(=O)H$, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-8}alkyl$, $-C(=O)-N(C_{1-8}alkyl)_2$, $-CO_2H$, $-CO_2-C_{1-8}alkyl$, $-SO_2-C_{1-8}alkyl$, $-SO_2-NH_2$, $-SO_2-NH-C_{1-8}alkyl$, $-SO_2-N(C_{1-8}alkyl)_2$, cyano, $(halo)_{1-3}$, hydroxy, nitro and oxo;

R_{10} is one to four substituents independently selected from the group consisting of hydrogen, $-C_{1-8}alkyl$, $-C(=O)H$, $-C(=O)-C_{1-8}alkyl$, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-8}alkyl$, $-C(=O)-N(C_{1-8}alkyl)_2$, $-CO_2H$, $-CO_2-C_{1-4}alkyl$, $-SO_2-C_{1-8}alkyl$, $-SO_2-NH_2$, $-SO_2-NH-C_{1-8}alkyl$ and $-SO_2-N(C_{1-8}alkyl)_2$ when attached to a nitrogen atom; and, wherein R_{10} is one to four substituents independently selected from the group consisting of hydrogen, $-C_{1-8}alkyl$, $-C_{1-8}alkoxy$, $-C(=O)H$, $-C(=O)-C_{1-8}alkyl$, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-8}alkyl$, $-C(=O)-N(C_{1-8}alkyl)_2$, $-CO_2H$, $-CO_2-C_{1-4}alkyl$, $-SO_2-C_{1-8}alkyl$, $-SO_2-NH_2$,

$-SO_2$-NH-C_{1-8}alkyl, $-SO_2$-N(C_{1-8}alkyl)$_2$, $-NH_2$, -NH-C_{1-8}alkyl, -N(C_{1-8}alkyl)$_2$, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

R_{2a} is selected from the group consisting of -C_{1-8}alkyl(R_7)(R_{11}), -C_{2-8}alkenyl(R_7)(R_{11}), -C_{2-8}alkynyl(R_7)(R_{11}), -cycloalkyl(R_7)(R_{11}), -heterocyclyl(R_8)(R_{12}), -aryl(R_8)(R_{12}) and -heteroaryl(R_8)(R_{12});

R_{11} is selected from the group consisting of -C_{1-8}alkyl(R_{13}), -O-C_{1-8}alkyl(R_{13}), -NH-C_{1-8}alkyl(R_{13}), -S-C_{1-8}alkyl(R_{13}), -C(=O)C_{1-8}alkyl(R_{13}), -O-C(=O)C_{1-8}alkyl(R_{13}), -NH-C(=O)C_{1-8}alkyl(R_{13}), -C(=O)OC_{1-8}alkyl(R_{13}), -C(=O)NHC_{1-8}alkyl(R_{13}), -O-C(=O)OC_{1-8}alkyl(R_{13}), -O-C(=O)NHC_{1-8}alkyl(R_{13}), -NH-C(=O)OC_{1-8}alkyl(R_{13}), -NH-C(=O)NHC_{1-8}alkyl(R_{13}), -C(=O)C_{1-8}alkylC(=O)(R_{13}), -O-C(=O)C_{1-8}alkylC(=O)(R_{13}), -NH-C(=O)C_{1-8}alkylC(=O)(R_{13}), -C(=O)OC_{1-8}alkylC(=O)(R_{13}), -O-C(=O)OC_{1-8}alkylC(=O)(R_{13}), -NH-C(=O)OC_{1-8}alkylC(=O)(R_{13}), -C(=O)NHC_{1-8}alkylC(=O)(R_{13}), -O-C(=O)NHC_{1-8}alkylC(=O)(R_{13}), -NH-C(=O)NHC_{1-8}alkylC(=O)(R_{13}), -$OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -$NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -$SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -$OCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{13}$), -$NHCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{13}$), -$SCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{13}$), -OC(=O)$CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -OC(=O)O$CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -OC(=O)NH$CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -NH(C=O)$CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -NHC(=O)O$CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -NHC(=O)NH$CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -$SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$),

$-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-C(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-OC(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, and

$-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$;

R_{12} is selected from the group consisting of $-C_{1-8}alkyl(R_{13})$, $-O-C_{1-8}alkyl(R_{13})$, $-NH-C_{1-8}alkyl(R_{13})$, $-S-C_{1-8}alkyl(R_{13})$, $-CH_2O-C_{1-8}alkyl(R_{13})$, $-CH_2NH-C_{1-8}alkyl(R_{13})$, $-CH_2S-C_{1-8}alkyl(R_{13})$, $-C(=O)C_{1-8}alkyl(R_{13})$, $-O-C(=O)C_{1-8}alkyl(R_{13})$, $-NH-C(=O)C_{1-8}alkyl(R_{13})$, $-CH_2O-C(=O)C_{1-8}alkyl(R_{13})$, $-CH_2NH-C(=O)C_{1-8}alkyl(R_{13})$, $-C(=O)OC_{1-8}alkyl(R_{13})$, $-C(=O)NHC_{1-8}alkyl(R_{13})$, $-O-C(=O)OC_{1-8}alkyl(R_{13})$, $-O-C(=O)NHC_{1-8}alkyl(R_{13})$, $-NH-C(=O)OC_{1-8}alkyl(R_{13})$, $-NH-C(=O)NHC_{1-8}alkyl(R_{13})$, $-CH_2O-C(=O)OC_{1-8}alkyl(R_{13})$, $-CH_2O-C(=O)NHC_{1-8}alkyl(R_{13})$, $-CH_2NH-C(=O)OC_{1-8}alkyl(R_{13})$, $-CH_2NH-C(=O)NHC_{1-8}alkyl(R_{13})$, $-C(=O)C_{1-8}alkylC(=O)(R_{13})$, $-O-C(=O)C_{1-8}alkylC(=O)(R_{13})$, $-NH-C(=O)C_{1-8}alkylC(=O)(R_{13})$, $-CH_2O-C(=O)C_{1-8}alkylC(=O)(R_{13})$, $-CH_2NH-C(=O)C_{1-8}alkylC(=O)(R_{13})$, $-C(=O)OC_{1-8}alkylC(=O)(R_{13})$, $-O-C(=O)OC_{1-8}alkylC(=O)(R_{13})$, $-NH-C(=O)OC_{1-8}alkylC(=O)(R_{13})$, $-CH_2O-C(=O)OC_{1-8}alkylC(=O)(R_{13})$, $-CH_2NH-C(=O)OC_{1-8}alkylC(=O)(R_{13})$, $-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$, $-O-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$, $-NH-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$, $-CH_2O-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$, $-CH_2NH-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$,

$-OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-SCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-OC(=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-CH_2OC(=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2NH(C=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-CH_2NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

$-C(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-OC(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-CH_2OC(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-CH_2OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

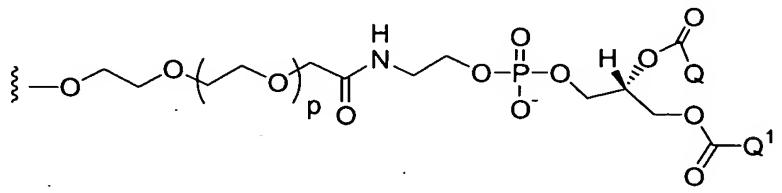
$-CH_2OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

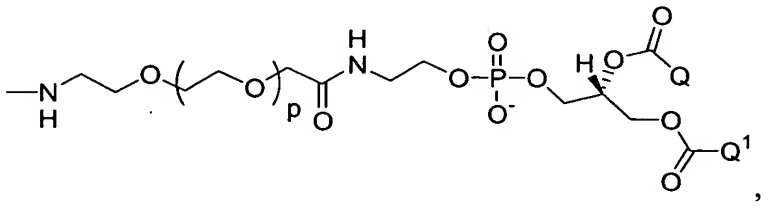
$-CH_2NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

$-CH_2NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, and

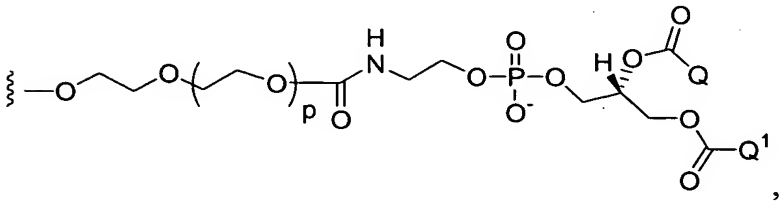
$-CH_2NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$;

wherein when R_{11} or R_{12} terminates with a –C(=O)-, R_{13} is selected from

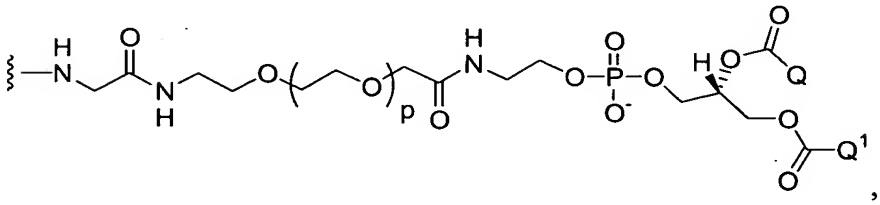




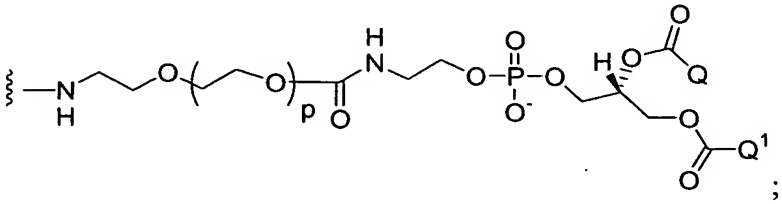
,



,

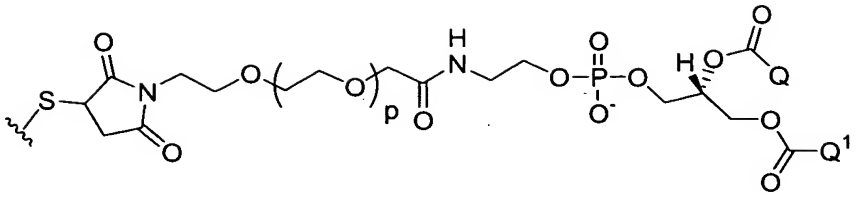


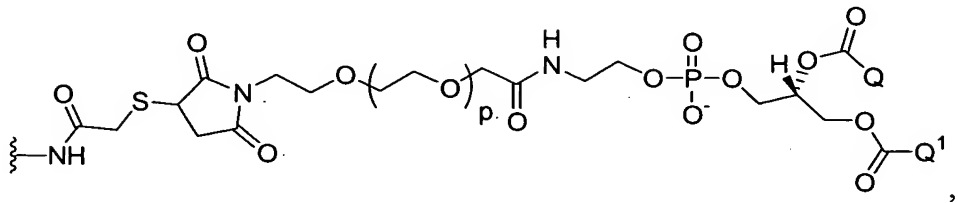
, or

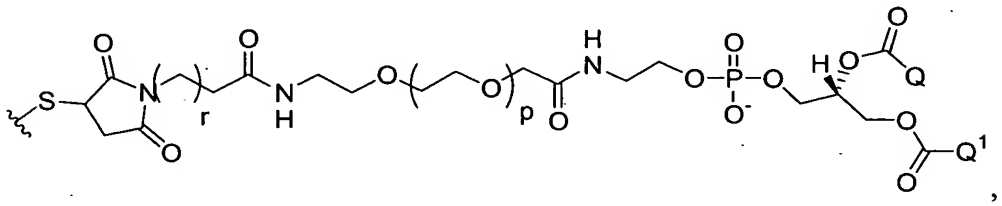


;

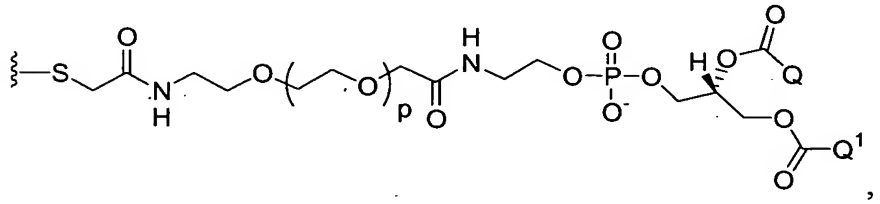
and when R_{11} or R_{12} does not terminate with a –C(=O)-, R_{13} is selected from the group consisting of

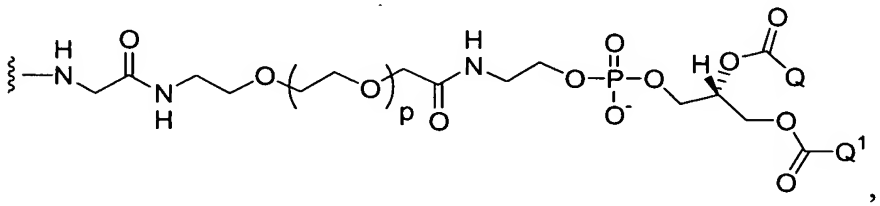


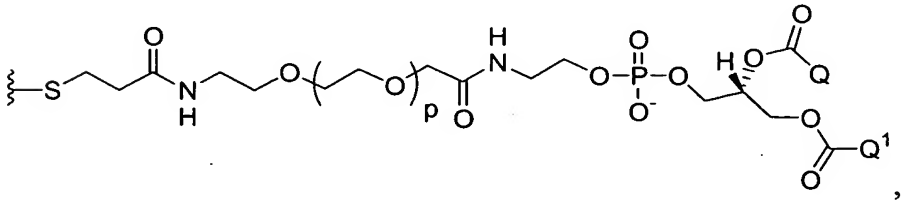


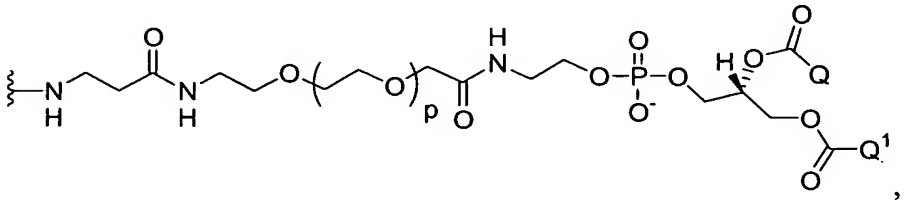


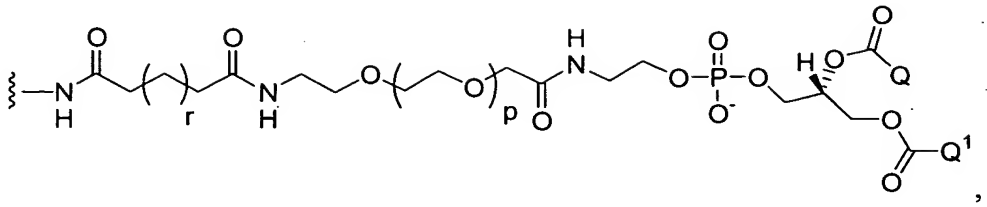
5



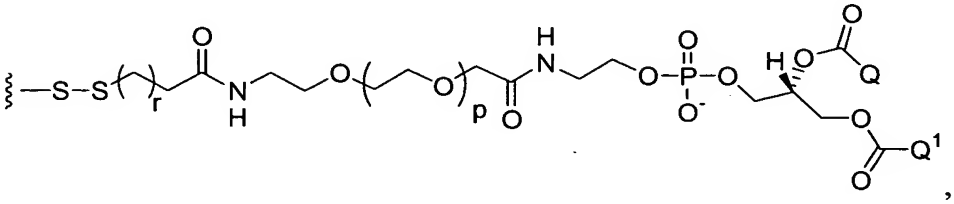




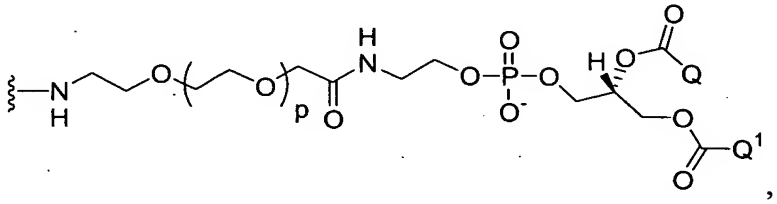




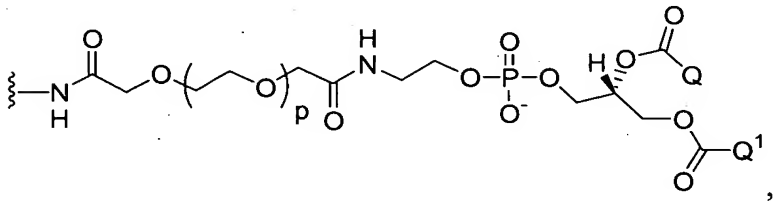
,



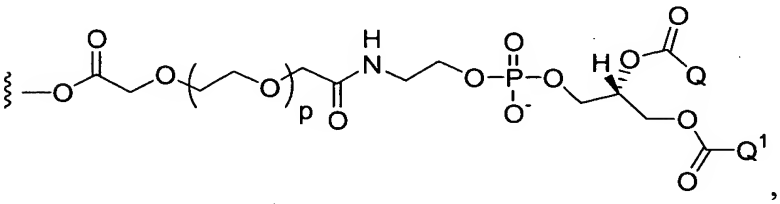
,



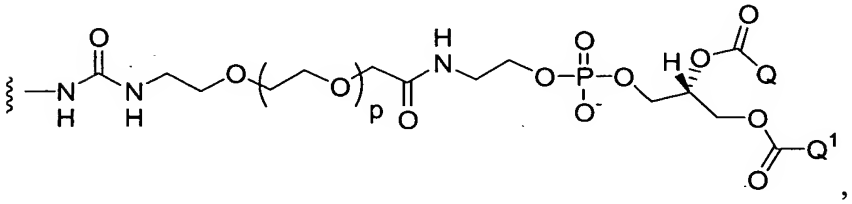
,



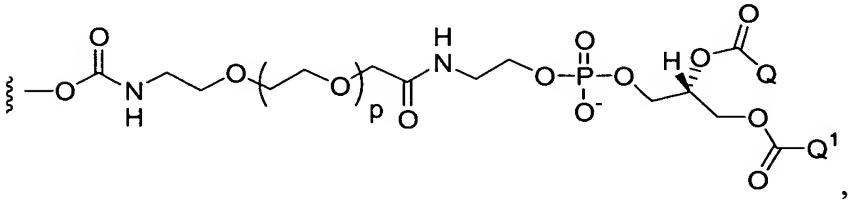
,



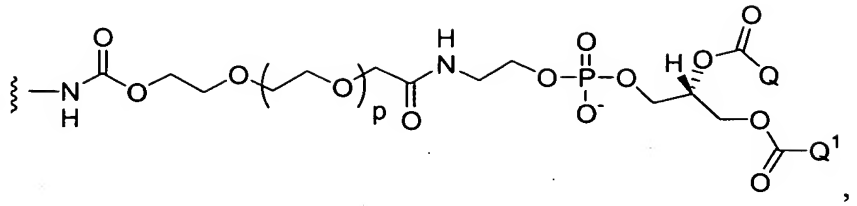
,



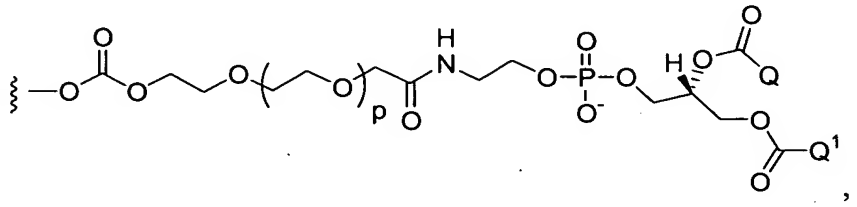
,



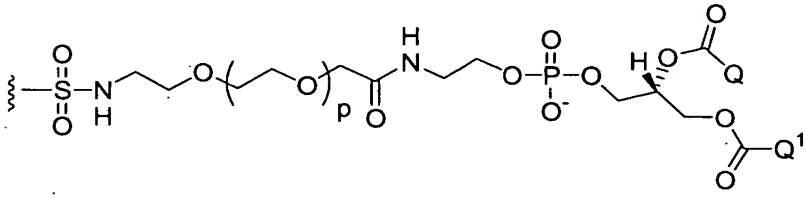
,

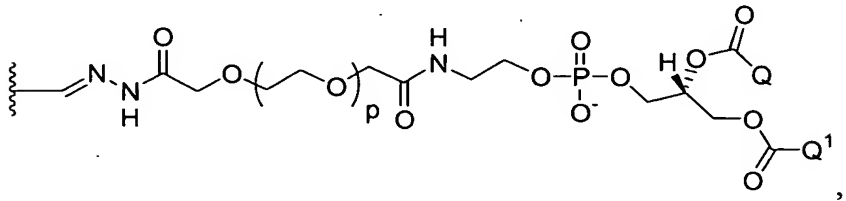


,

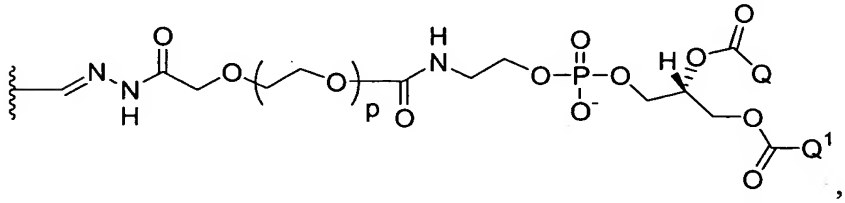


,

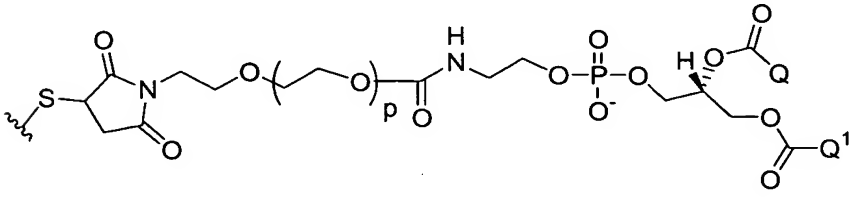




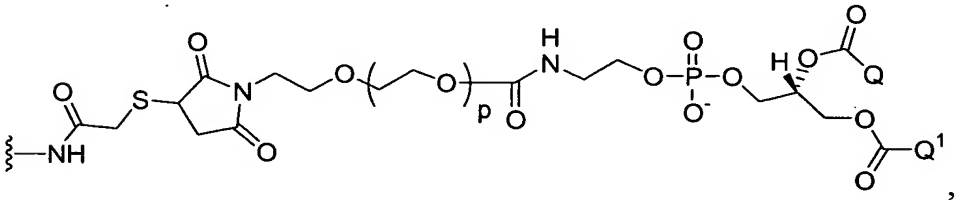
,



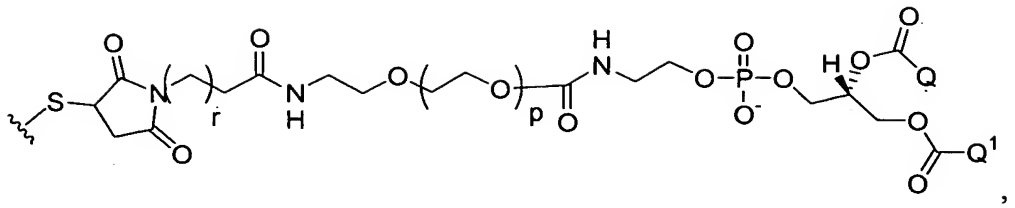
,

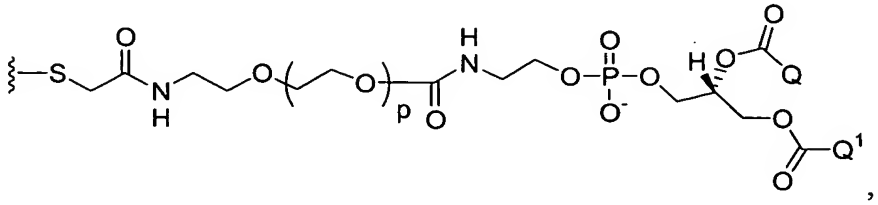


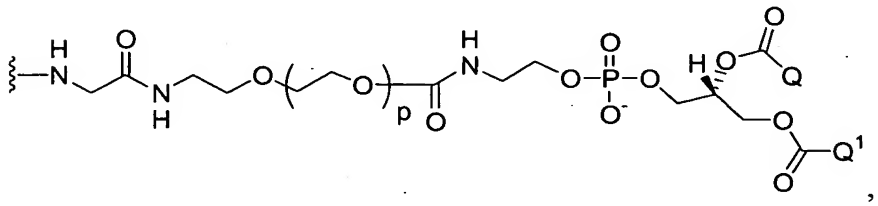
,

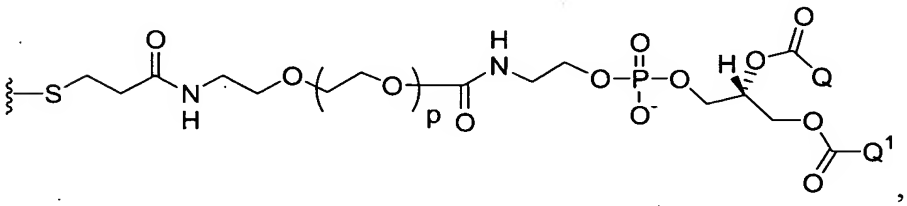


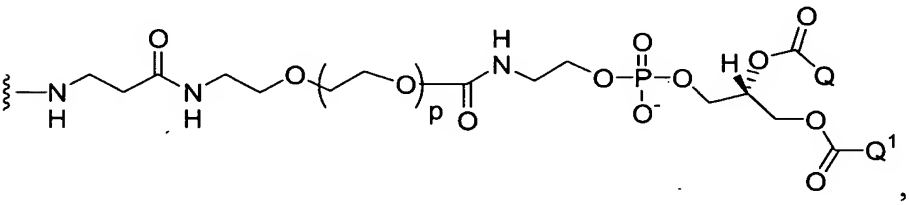
,

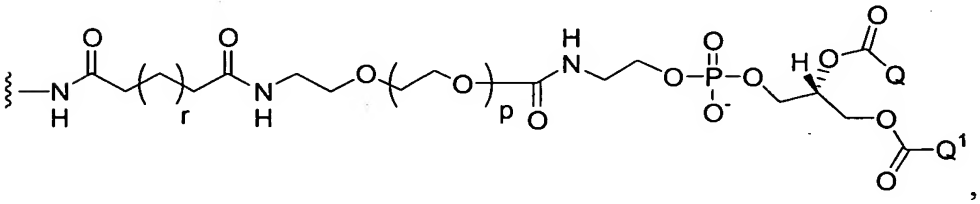


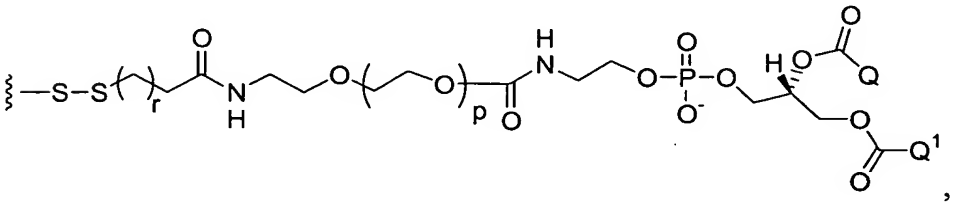


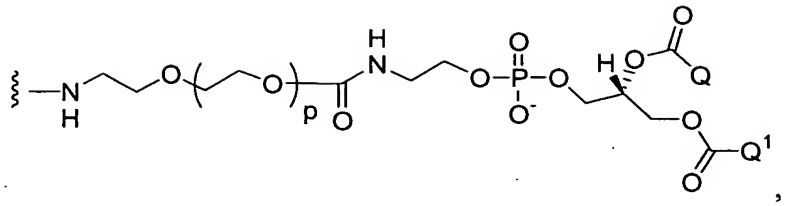


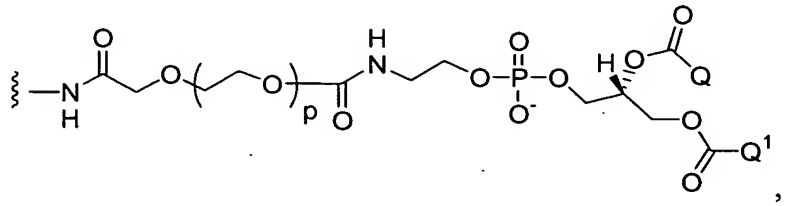


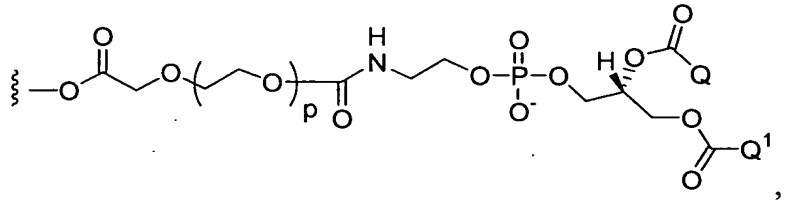


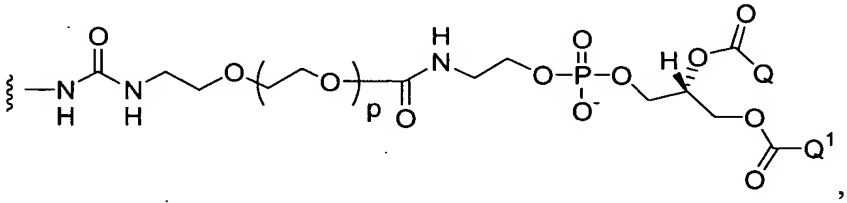


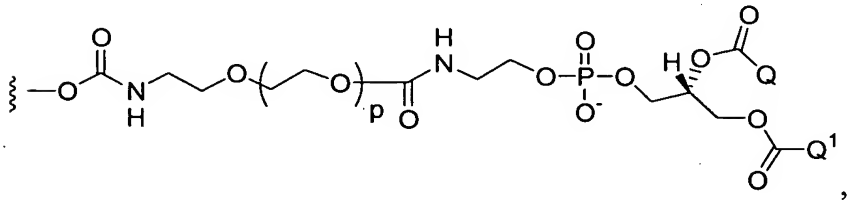


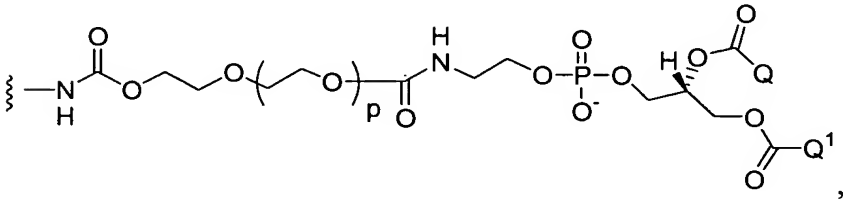

,

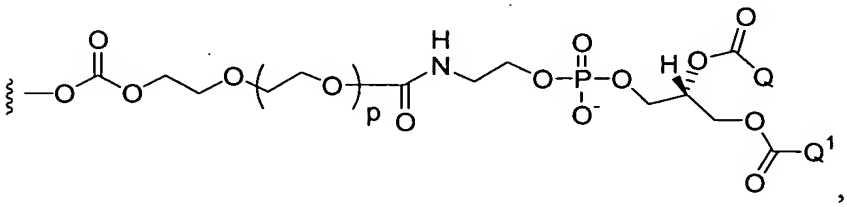

,

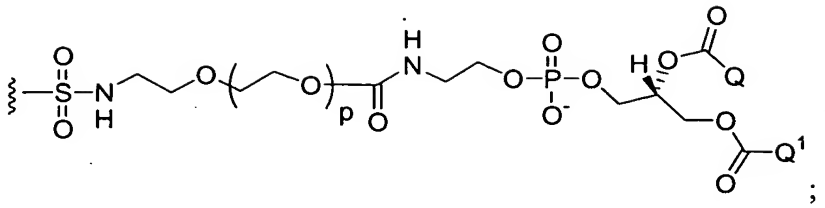

,

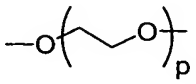

,


,


,


, and



wherein the unit $-O-(CH_2CH_2O-)_p-$ or  of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

r is an integer from 0 to 8;

Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and are selected from the group consisting of
the C_{11} saturated chain of lauric acid,
the C_{13} saturated chain of myristoic acid,
the C_{15} saturated chain of palmitoic acid,
the C_{17} saturated chain of stearoic acid,
the C_{17} mono-unsaturated chain of oleoic acid, and
the C_{17} di-unsaturated chain of linoleic acid;

Z is selected from the group consisting of hydroxy, $-NH_2$, $-NH-C_{1-8}alkyl$, $-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}alkyl$, $-O-C_{1-8}alkyl-OH$, $-O-C_{1-8}alkylC_{1-8}alkoxy$, $-O-C_{1-8}alkylcarbonylC_{1-8}alkyl$, $-O-C_{1-8}alkyl-CO_2H$, $-O-C_{1-8}alkyl-C(O)O-C_{1-8}alkyl$, $-O-C_{1-8}alkyl-O-C(O)C_{1-8}alkyl$, $-O-C_{1-8}alkyl-NH_2$, $-O-C_{1-8}alkyl-NH-C_{1-8}alkyl$, $-O-C_{1-8}alkyl-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}alkylamide$, $-O-C_{1-8}alkyl-C(O)-NH-C_{1-8}alkyl$, $-O-C_{1-8}alkyl-C(O)-N(C_{1-8}alkyl)_2$, and $-NHC(O)C_{1-8}alkyl$;

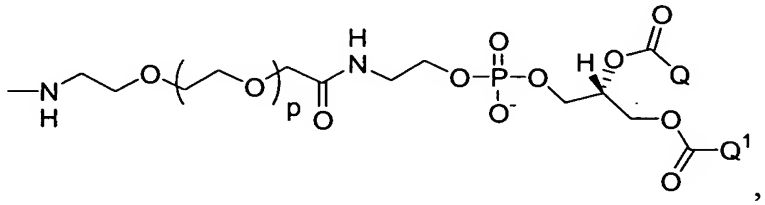
and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

80. The liposome of claim 79 wherein R_{12} is selected from the group consisting of $-C_{1-6}alkyl(R_{13})$, $-O-C_{1-6}alkyl(R_{13})$,

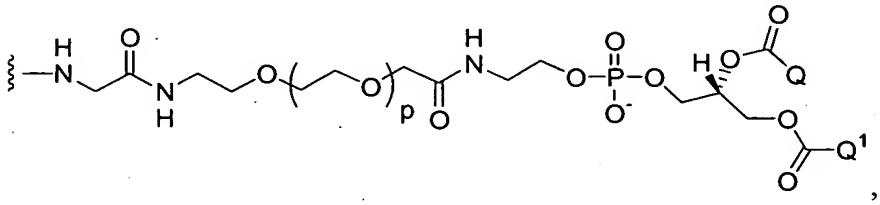
$-NH-C_{1-4}alkyl(R_{13})$, $-S-C_{1-6}alkyl(R_{13})$, $-CH_2O-C_{1-6}alkyl(R_{13})$,
$-CH_2NH-C_{1-6}alkyl(R_{13})$, $-CH_2S-C_{1-6}alkyl(R_{13})$, $-C(=O)C_{1-6}alkyl(R_{13})$,
$-O-C(=O)C_{1-6}alkyl(R_{13})$, $-NH-C(=O)C_{1-8}alkyl(R_{13})$,
$-CH_2O-C(=O)C_{1-8}alkyl(R_{13})$, $-CH_2NH-C(=O)C_{1-6}alkyl(R_{13})$,
$-C(=O)OC_{1-6}alkyl(R_{13})$, $-C(=O)NHC_{1-6}alkyl(R_{13})$,
$-O-C(=O)OC_{1-6}alkyl(R_{13})$, $-O-C(=O)NHC_{1-6}alkyl(R_{13})$,
$-NH-C(=O)OC_{1-6}alkyl(R_{13})$, $-NH-C(=O)NHC_{1-6}alkyl(R_{13})$,
$-NH-C(=O)C_{1-6}alkylC(=O)(R_{13})$, $-CH_2O-C(=O)C_{1-8}alkylC(=O)(R_{13})$,
$-NH-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$, $-CH_2O-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$,
$-CH_2NH-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$,
$-OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
$-NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
$-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,
$-CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
$-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
$-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
$-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
$-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
$-CH_2OC(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
$-CH_2NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,
$-CH_2NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, and

$-CH_2NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$;

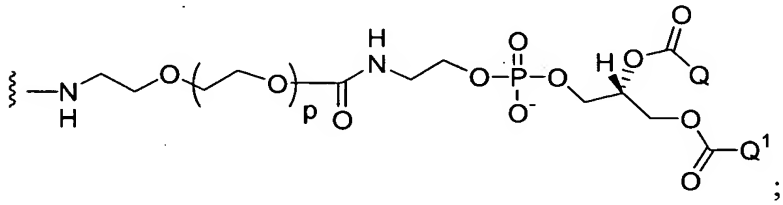
wherein when R_{11} or R_{12} terminates with a –C(=O)-, R_{13} is selected from the group consisting of



,

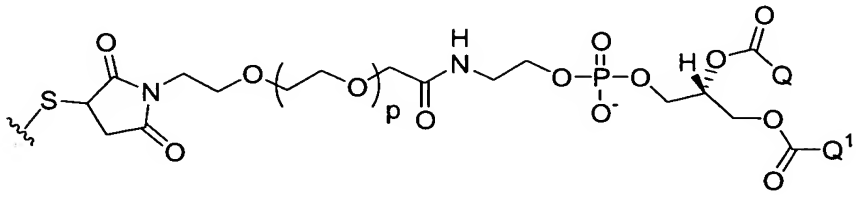


, and

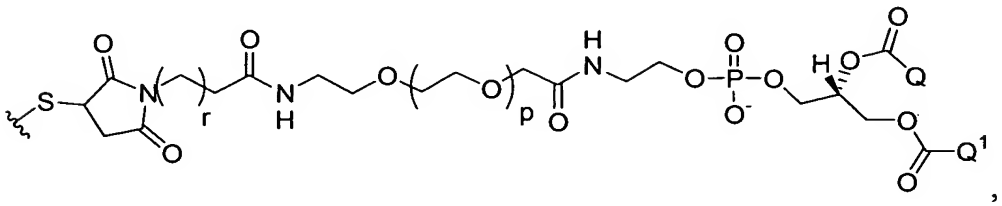


;

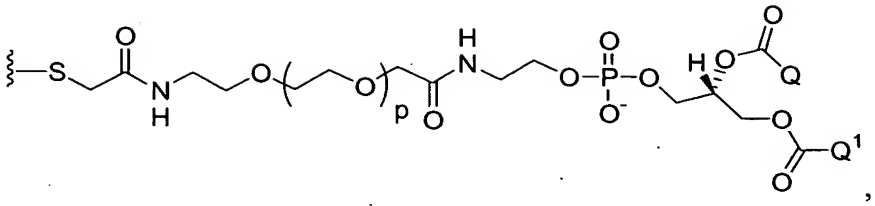
and when R_{11} or R_{12} does not terminate with a –C(=O)-, R_{13} is selected from the group consisting of



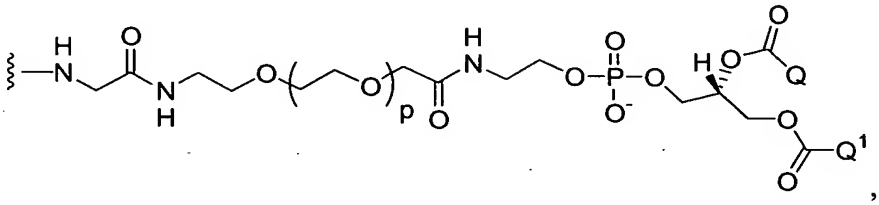
,



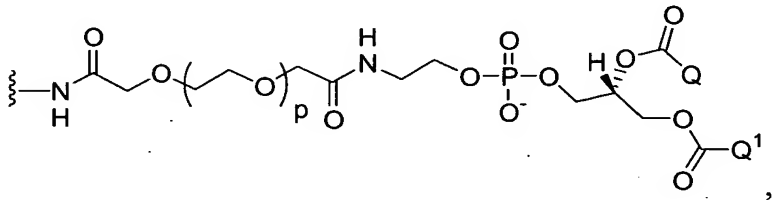
,



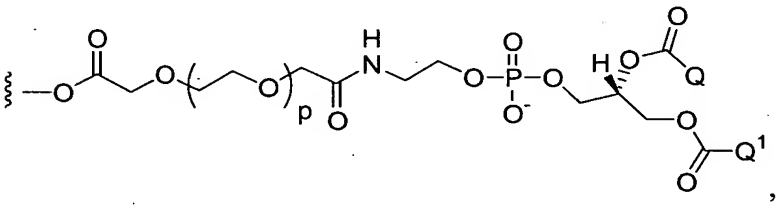
,



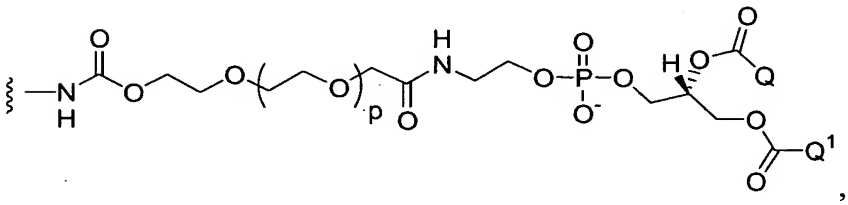
,



,

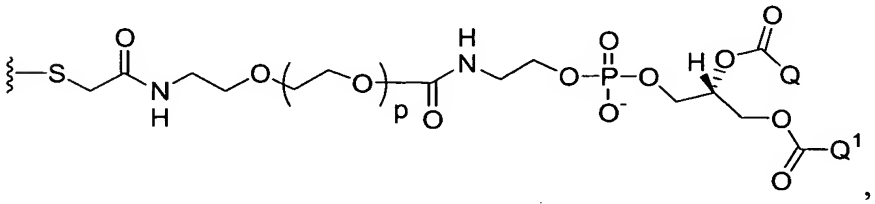


,

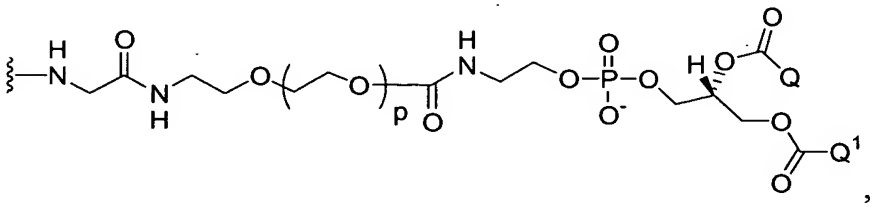


,

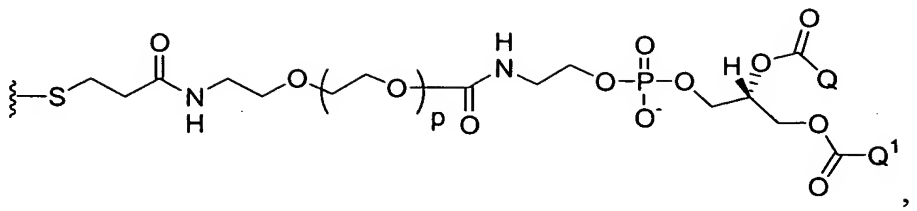
5



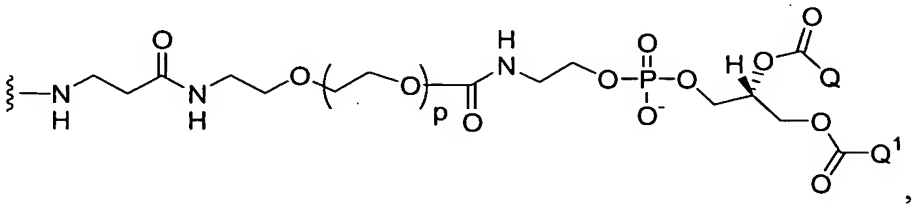
,



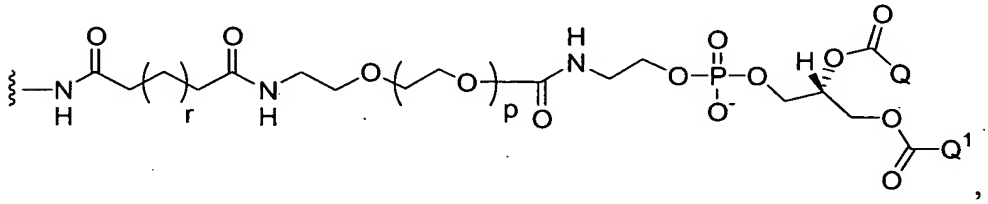
,



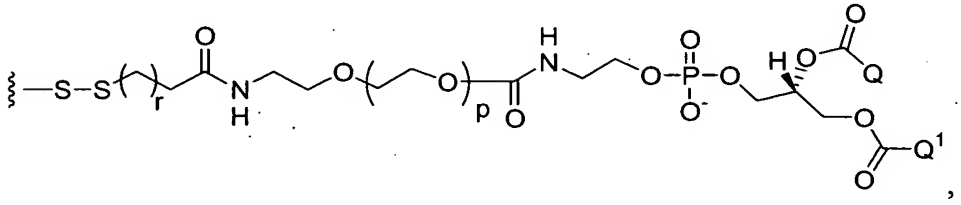
,



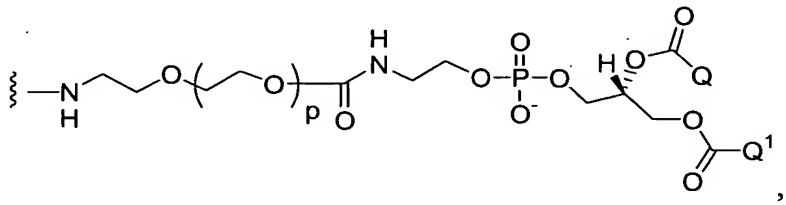
,



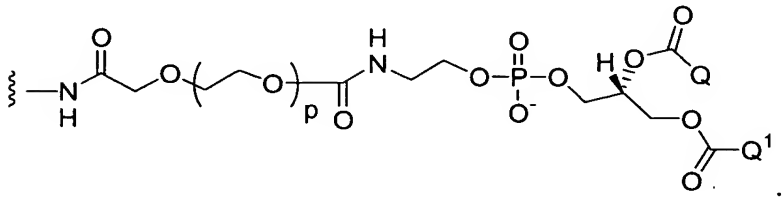
,



,



, and



.

81. The liposome of claim 79 wherein R_{12} is selected from the group consisting of -CH_2O-C_{1-6}alkyl(R_{13}), -CH_2NH-C_{1-6}alkyl(R_{13}), -CH_2S-C_{1-6}alkyl(R_{13}), -NH-C(=O)C_{1-8}alkyl(R_{13}), -CH_2NH-C(=O)C_{1-6}alkyl(R_{13}), -NH-C(=O)NHC_{1-6}alkyl(R_{13}),

-NH-C(=O)C_{1-6}alkylC(=O)(R_{13}),

-$OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

-$NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

-$OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$,

-$NH(C=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

-$CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

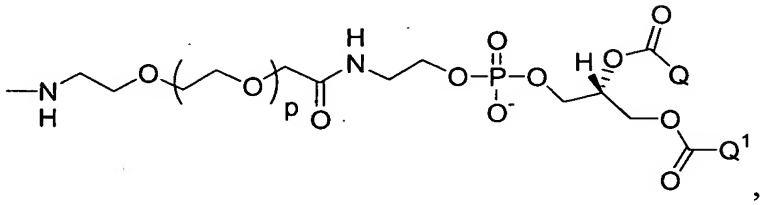
-$CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

-$CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$,

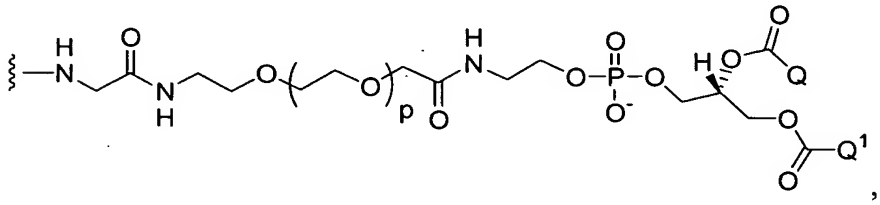
-$NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$, and

-$CH_2NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$.

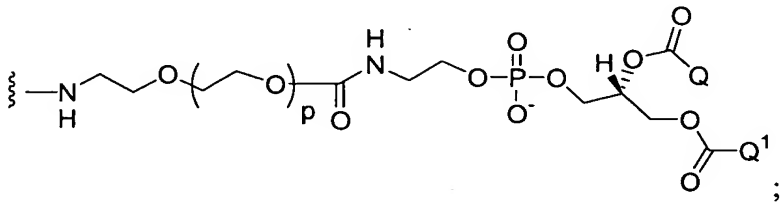
wherein when R_{11} or R_{12} terminates with a –C(=O)-, R_{13} is selected from the group consisting of



,

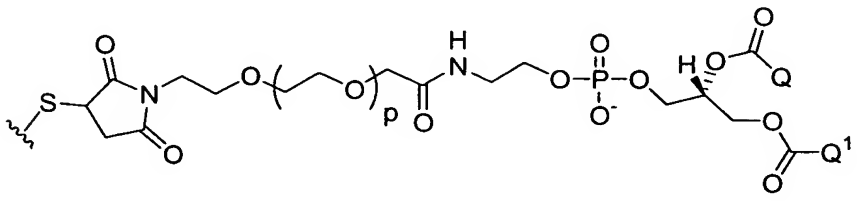


, and

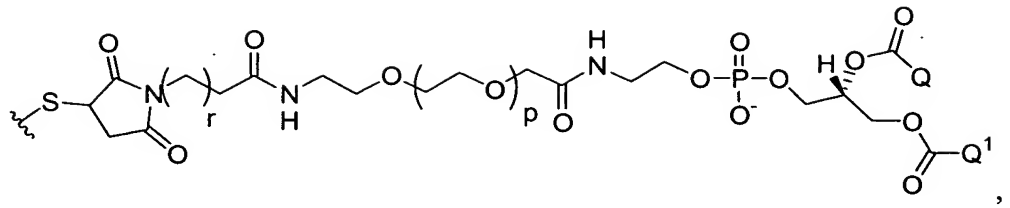


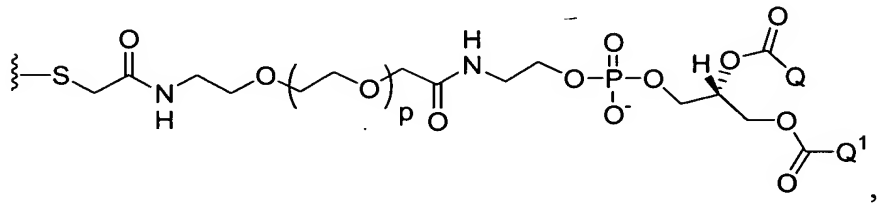
;

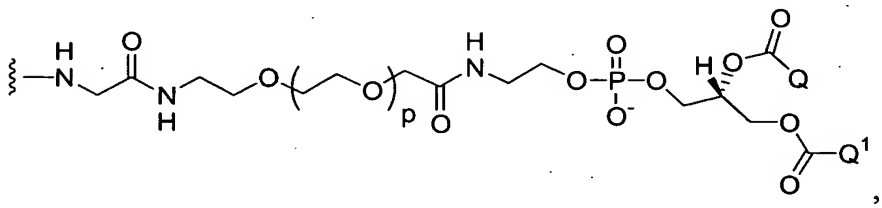
and when R_{11} or R_{12} does not terminate with a –C(=O)-, R_{13} is selected from the group consisting of

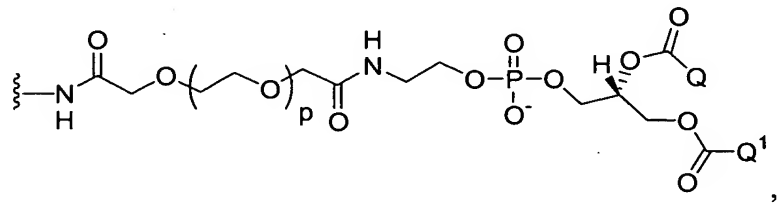


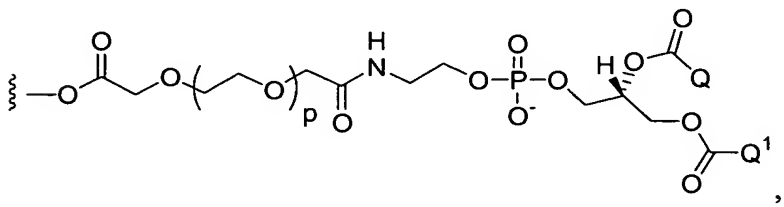
,

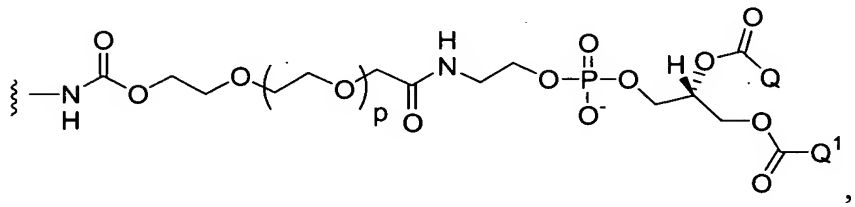


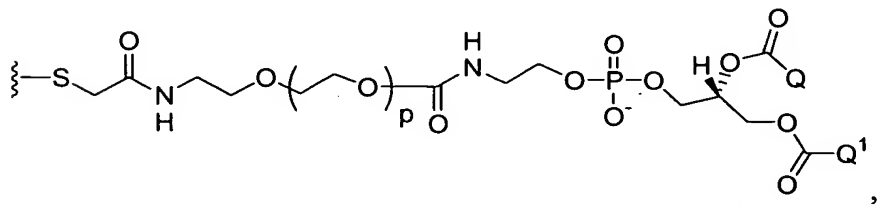


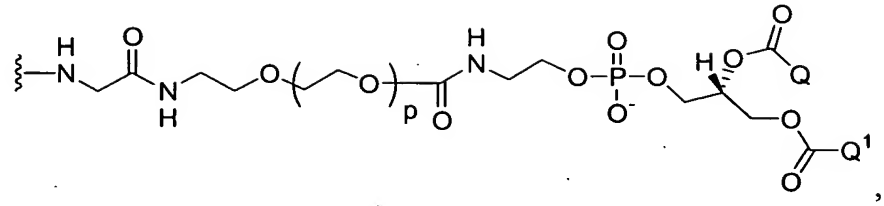


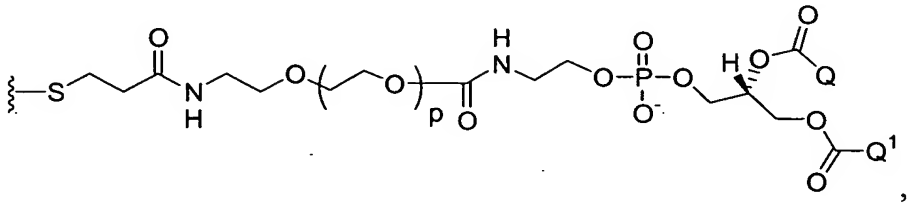


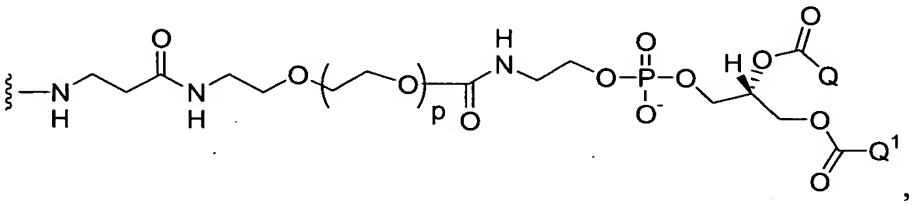


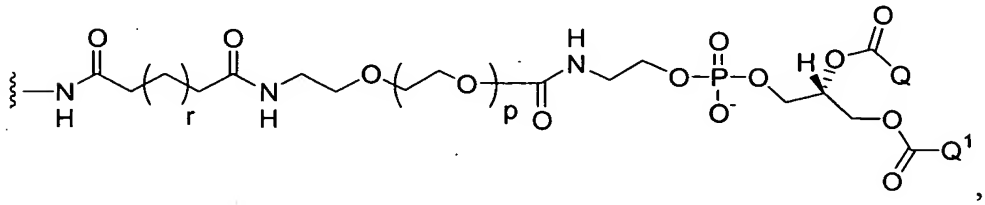


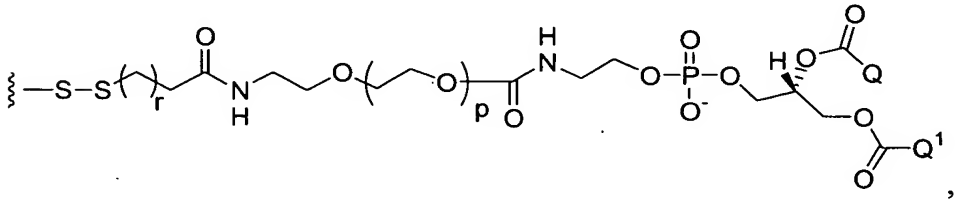


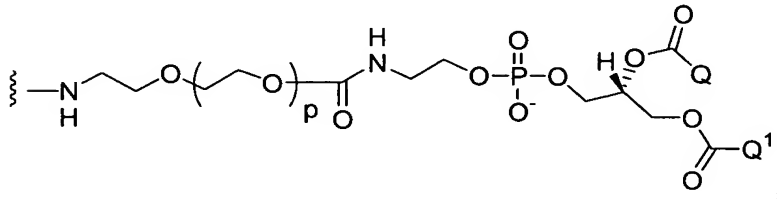

,

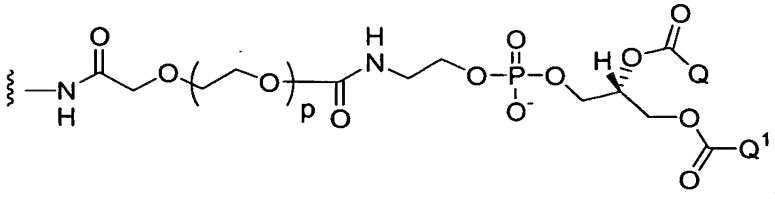

,

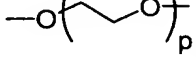

,


,


,


, and


.

82. The liposome of claim 79 wherein said –O-$(CH_2CH_2O-)_p$- or  of

R_{12} and R_{13} is a polyethylene glycol (PEG) polymer ranging in molecular weight from 2000 to 5000 daltons.

83. The liposome of claim 79 wherein wherein Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and are selected from the group consisting of the C_{15} saturated chain of palmitoic acid, the C_{17} saturated chain of stearoic acid, and the C_{17} mono-unsaturated chain of oleoic acid.

84. The liposome of claim 79 wherein

W is preferably is selected from the group consisting of -C_{0-4}alkyl(R_1), -C_{1-4}alkyl(R_{1a}), -C_{0-4}alkyl-aryl(R_1,R_8), -C_{0-4}alkyl-heterocyclyl(R_1,R_8), -C_{0-4}alkoxy(R_1), -C_{0-4}alkoxy-aryl(R_1,R_8), and -C_{0-4}alkoxy-heterocyclyl(R_1,R_8);

R_1 is -$N(R_4)(R_6)$, -heterocyclyl(R_8) or -heteroaryl(R_8);

R_{1a} is -$C(R_4)(=N\text{-}R_4)$, -$C(=N\text{-}R_4)\text{-}N(R_4)_2$, -$C(=N\text{-}R_4)\text{-}N(R_4)(R_6)$, -$C(=N\text{-}R_4)\text{-}N(R_4)\text{-}C(=O)\text{-}R_4$, -$C(=N\text{-}R_4)\text{-}N(R_4)\text{-}C(=O)\text{-}N(R_4)_2$, -$C(=N\text{-}R_4)\text{-}N(R_4)\text{-}CO_2\text{-}R_4$, -$C(=N\text{-}R_4)\text{-}N(R_4)\text{-}SO_2\text{-}C_{1-4}$alkyl($R_7$) or -$C(=N\text{-}R_4)\text{-}N(R_4)\text{-}SO_2\text{-}N(R_4)_2$;

R_4 is hydrogen or -C_{1-4}alkyl(R_7);

R_5 is -$C(=O)\text{-}R_4$, -$C(=O)\text{-}N(R_4)_2$, -C(=O)-cycloalkyl(R_8), -C(=O)-heterocyclyl(R_8), -C(=O)-aryl(R_8), -C(=O)-heteroaryl(R_8), -$C(=O)\text{-}N(R_4)$-cycloalkyl(R_8), -$C(=O)\text{-}N(R_4)$-aryl(R_8), -$CO_2\text{-}R_4$, -CO_2-cycloalkyl(R_8), -CO_2-aryl(R_8), -$C(R_4)(=N\text{-}R_4)$, -$C(=N\text{-}R_4)\text{-}N(R_4)_2$, -$C(=N\text{-}R_4)\text{-}N(R_4)(R_6)$, -$C(=N\text{-}R_4)\text{-}N(R_4)\text{-}C(=O)\text{-}R_4$, -$C(=N\text{-}R_4)\text{-}N(R_4)\text{-}C(=O)\text{-}N(R_4)_2$, -$C(=N\text{-}R_4)\text{-}N(R_4)\text{-}CO_2\text{-}R_4$, -$C(=N\text{-}R_4)\text{-}N(R_4)\text{-}SO_2\text{-}C_{1-4}$alkyl($R_7$), -$C(=N\text{-}R_4)\text{-}N(R_4)\text{-}SO_2\text{-}N(R_4)_2$, -$N(R_4)\text{-}C(R_4)(=N\text{-}R_4)$, -$N(R_4)\text{-}C(=N\text{-}R_4)\text{-}N(R_4)_2$, -$N(R_4)\text{-}C(=N\text{-}R_4)\text{-}N(R_4)(R_6)$, -$N(R_4)\text{-}C(=N\text{-}R_4)\text{-}N(R_4)\text{-}C(=O)\text{-}R_4$, -$N(R_4)\text{-}C(=N\text{-}R_4)\text{-}N(R_4)\text{-}C(=O)\text{-}N(R_4)_2$,

$-N(R_4)-C(=N-R_4)-N(R_4)-CO_2-R_4$, $-N(R_4)-C(=N-R_4)-N(R_4)-SO_2-C_{1-4}alkyl(R_7)$, $-N(R_4)-C(=N-R_4)-N(R_4)-SO_2-N(R_4)_2$, $-SO_2-C_{1-4}alkyl(R_7)$, $-SO_2-N(R_4)_2$, $-SO_2-cycloalkyl(R_8)$ or $-SO_2-aryl(R_8)$;

R_6 is $-heterocyclyl(R_8)$ or $-heteroaryl(R_8)$;

R_7 is one to two substituents independently selected from hydrogen, $-C_{1-4}alkoxy(R_9)$, $-NH_2$, $-NH-C_{1-4}alkyl(R_9)$, $-N(C_{1-4}alkyl(R_9))_2$, $-C(=O)H$, $-C(=O)-C_{1-4}alkyl(R_9)$, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-4}alkyl(R_9)$, $-C(=O)-N(C_{1-4}alkyl(R_9))_2$, $-C(=O)-NH-aryl(R_{10})$, $-C(=O)-cycloalkyl(R_{10})$, $-C(=O)-heterocyclyl(R_{10})$, $-C(=O)-aryl(R_{10})$, $-C(=O)-heteroaryl(R_{10})$, $-CO_2H$, $-CO_2-C_{1-4}alkyl(R_9)$, $-CO_2-aryl(R_{10})$, $-C(=NH)-NH_2$, $-SH$, $-S-C_{1-4}alkyl(R_9)$, $-S-C_{1-4}alkyl-S-C_{1-4}alkyl(R_9)$, $-S-C_{1-4}alkyl-C_{1-4}alkoxy(R_9)$, $-S-C_{1-4}alkyl-NH-C_{1-4}alkyl(R_9)$, $-SO_2-C_{1-4}alkyl(R_9)$, $-SO_2-NH_2$, $-SO_2-NH-C_{1-4}alkyl(R_9)$, $-SO_2-N(C_{1-4}alkyl(R_9))_2$, $-SO_2-aryl(R_{10})$, cyano, $(halo)_{1-3}$, hydroxy, nitro, oxo, $-cycloalkyl(R_{10})$, $-heterocyclyl(R_{10})$, $-aryl(R_{10})$ or $-heteroaryl(R_{10})$;

R_8 is one to four substituents independently selected from hydrogen, $-C_{1-4}alkyl(R_9)$, $-C(=O)H$, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-4}alkyl(R_9)$, $-C(=O)-N(C_{1-4}alkyl(R_9))_2$, $-CO_2H$, $-CO_2-C_{1-4}alkyl(R_9)$ or $-SO_2-NH_2$ when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from hydrogen, $-C_{1-4}alkyl(R_9)$, $-C_{1-4}alkoxy(R_9)$, $-O-aryl(R_{10})$, $-C(=O)H$, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-4}alkyl(R_9)$, $-C(=O)-N(C_{1-4}alkyl(R_9))_2$, $-CO_2H$, $-CO_2-C_{1-4}alkyl(R_9)$, $-SO_2-NH_2$, $-NH_2$, $-NH-C_{1-4}alkyl(R_9)$, $-N(C_{1-4}alkyl(R_9))_2$, cyano, halo, hydroxy, nitro or oxo when attached to a carbon atom;

R_9 is hydrogen, $-C_{1-4}alkoxy$, $-NH_2$, $-NH-C_{1-4}alkyl$, $-N(C_{1-4}alkyl)_2$, $-C(=O)H$, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-4}alkyl$, $-C(=O)-N(C_{1-4}alkyl)_2$, $-CO_2H$, $-CO_2-C_{1-4}alkyl$, $-SO_2-C_{1-4}alkyl$, $-SO_2-NH_2$, $-SO_2-NH-C_{1-4}alkyl$, $-SO_2-N(C_{1-4}alkyl)_2$, cyano, $(halo)_{1-3}$, hydroxy, nitro or oxo;

R_{10} is one to four substituents independently selected from hydrogen, -C_{1-4}alkyl, -C(=O)H, -C(=O)-C_{1-4}alkyl, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl, -C(=O)-N(C_{1-4}alkyl)$_2$, -CO_2H, -CO_2-C_{1-4}alkyl, -SO_2-C_{1-4}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl or -SO_2-N(C_{1-4}alkyl)$_2$ when attached to a nitrogen atom; and, wherein R_{10} is one to four substituents independently selected from hydrogen, -C_{1-4}alkyl, -C_{1-4}alkoxy, -C(=O)H, -C(=O)-C_{1-4}alkyl, -C(=O)-NH_2, -C(=O)-NH-C_{1-4}alkyl, -C(=O)-N(C_{1-4}alkyl)$_2$, -CO_2H, -CO_2-C_{1-4}alkyl, -SO_2-C_{1-4}alkyl, -SO_2-NH_2, -SO_2-NH-C_{1-4}alkyl, -SO_2-N(C_{1-4}alkyl)$_2$, -NH_2, -NH-C_{1-4}alkyl, -N(C_{1-4}alkyl)$_2$, cyano, halo, hydroxy, nitro or oxo when attached to a carbon atom;

R_{2a} is -cycloalkyl(R_8)(R_{11}), -heterocyclyl(R_8)(R_{12}), -aryl(R_8)(R_{12}) or -heteroaryl(R_8)(R_{12});

q is 1, 2 or 3.

R_{11} is selected from the group consisting of -C_{1-8}alkyl(R_{13}), -O-C_{1-8}alkyl(R_{13}), -NH-C_{1-8}alkyl(R_{13}), -S-C_{1-8}alkyl(R_{13}), -C(=O)C_{1-8}alkyl(R_{13}), -O-C(=O)C_{1-8}alkyl(R_{13}), -NH-C(=O)C_{1-8}alkyl(R_{13}), -C(=O)OC_{1-8}alkyl(R_{13}), -C(=O)NHC_{1-8}alkyl(R_{13}), -O-C(=O)OC_{1-8}alkyl(R_{13}), -O-C(=O)NHC_{1-8}alkyl(R_{13}), -O-C(=O)C_{1-8}alkylC(=O)(R_{13}), -NH-C(=O)C_{1-8}alkylC(=O)(R_{13}), -C(=O)OC_{1-8}alkylC(=O)(R_{13}), -O-C(=O)OC_{1-8}alkylC(=O)(R_{13}), -NH-C(=O)OC_{1-8}alkylC(=O)(R_{13}), -C(=O)NHC_{1-8}alkylC(=O)(R_{13}), -O-C(=O)NHC_{1-8}alkylC(=O)(R_{13}), -NH-C(=O)NHC_{1-8}alkylC(=O)(R_{13}), -$SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -$NHCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{13}$), -$SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2$($R_{13}$), -C(=O)$CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{13}$), -OC(=O)$OCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{13}$), -OC(=O)$NHCH_2CH_2O(CH_2CH_2O)_rCH_2C$(=O)($R_{13}$),

-NHC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$),

and -SO$_2$NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$);

R$_{12}$ is selected from the group consisting of

-C$_{1-6}$alkyl(R$_{13}$), -O-C$_{1-6}$alkyl(R$_{13}$),

-NH-C$_{1-4}$alkyl(R$_{13}$), -S-C$_{1-6}$alkyl(R$_{13}$), -CH$_2$O-C$_{1-6}$alkyl(R$_{13}$),

-CH$_2$NH-C$_{1-6}$alkyl(R$_{13}$), -CH$_2$S-C$_{1-6}$alkyl(R$_{13}$), -C(=O)C$_{1-6}$alkyl(R$_{13}$),

-O-C(=O)C$_{1-6}$alkyl(R$_{13}$), -NH-C(=O)C$_{1-8}$alkyl(R$_{13}$),

-CH$_2$O-C(=O)C$_{1-8}$alkyl(R$_{13}$), -CH$_2$NH-C(=O)C$_{1-6}$alkyl(R$_{13}$),

-C(=O)OC$_{1-6}$alkyl(R$_{13}$), -C(=O)NHC$_{1-6}$alkyl(R$_{13}$),

-O-C(=O)OC$_{1-6}$alkyl(R$_{13}$), -O-C(=O)NHC$_{1-6}$alkyl(R$_{13}$),

-NH-C(=O)OC$_{1-6}$alkyl(R$_{13}$), -NH-C(=O)NHC$_{1-6}$alkyl(R$_{13}$),

-NH-C(=O)C$_{1-6}$alkylC(=O)(R$_{13}$), -CH$_2$O-C(=O)C$_{1-8}$alkylC(=O)(R$_{13}$),

-NH-C(=O)NHC$_{1-8}$alkylC(=O)(R$_{13}$), -CH$_2$O-C(=O)NHC$_{1-8}$alkylC(=O)(R$_{13}$),

-CH$_2$NH-C(=O)NHC$_{1-8}$alkylC(=O)(R$_{13}$),

-OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-SCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$),

-NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$),

-OC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-NH(C=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-NHC(=O)OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-NHC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-SO$_2$CH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-SO$_2$NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-CH$_2$OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-CH$_2$NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-CH$_2$SCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$CH$_2$(R$_{13}$),

-CH$_2$OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$),

-OC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$),

-NH(C=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$),

-NHC(=O)OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$),

-NHC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$),

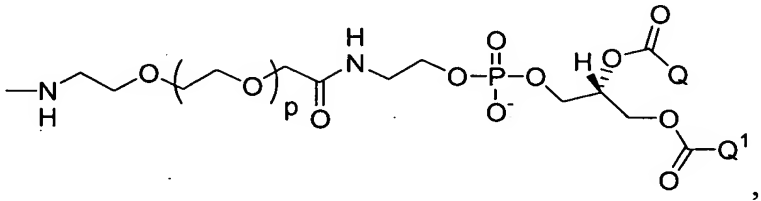
-CH$_2$OC(=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$),

-CH$_2$NH(C=O)CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$),

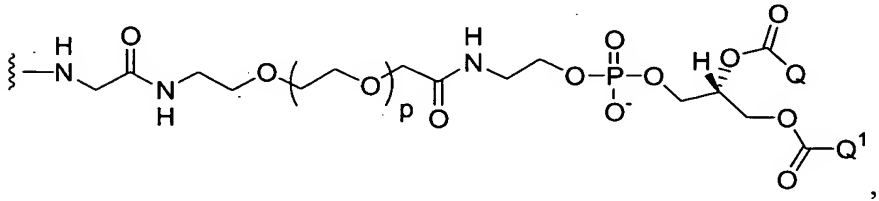
-CH$_2$NHC(=O)OCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$), and

-CH$_2$NHC(=O)NHCH$_2$CH$_2$O(CH$_2$CH$_2$O)$_r$CH$_2$C(=O)(R$_{13}$);

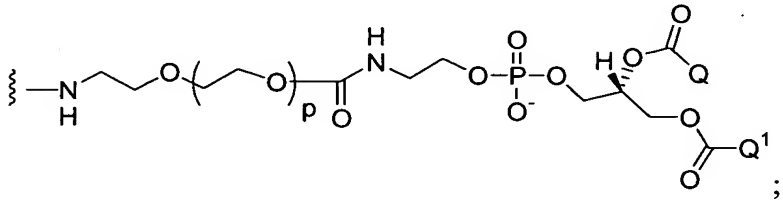
wherein when R$_{11}$ or R$_{12}$ terminates with a –C(=O)-, R$_{13}$ is selected from the group consisting of



,

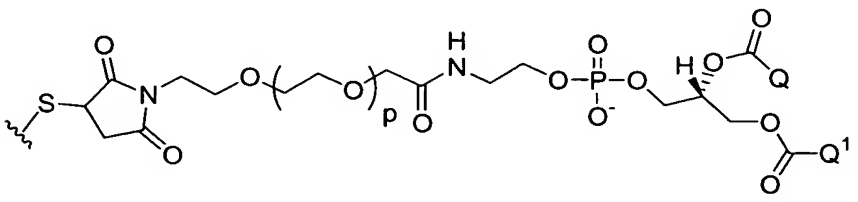


, and

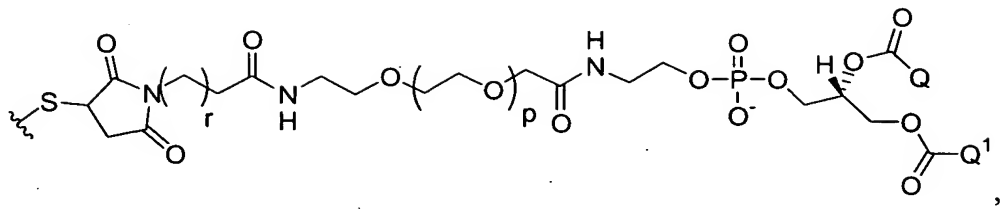


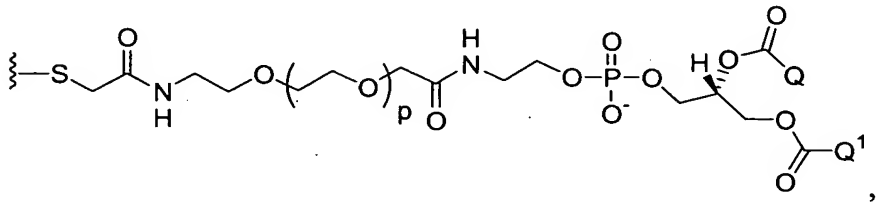
;

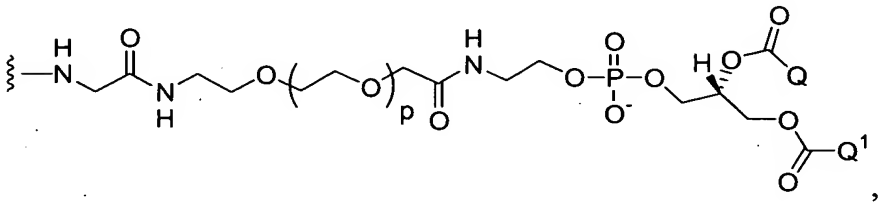
and when R$_{11}$ or R$_{12}$ does not terminate with a –C(=O)-, R$_{13}$ is selected from the group consisting of

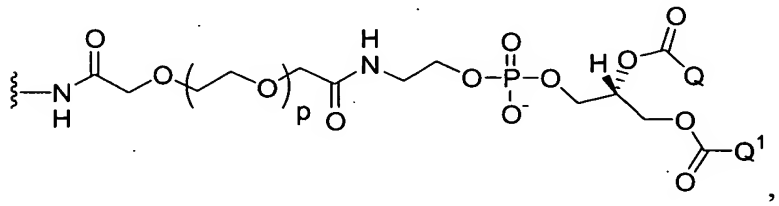


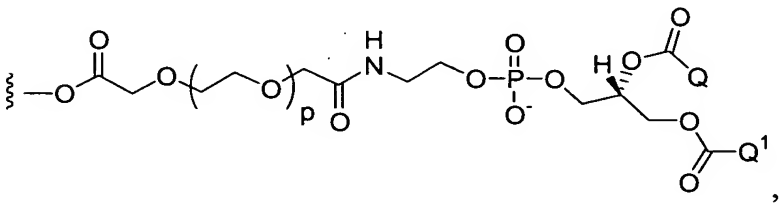
,

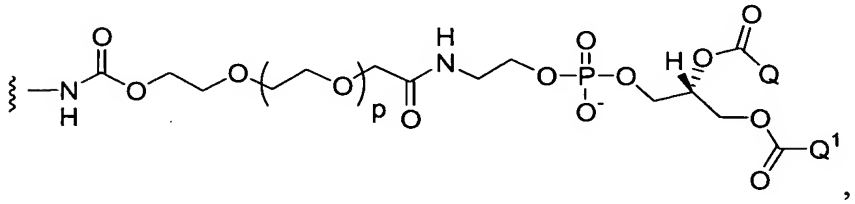


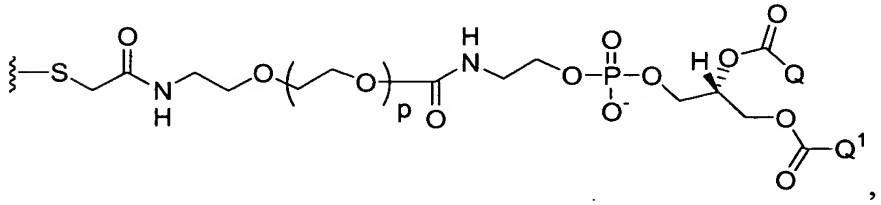


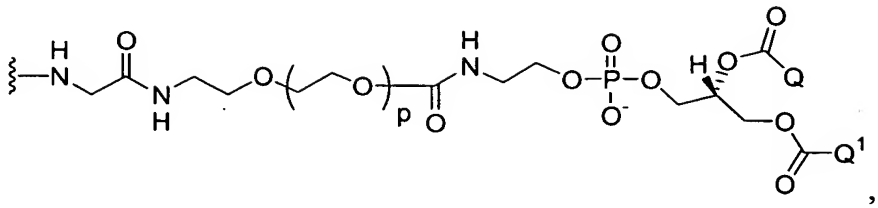


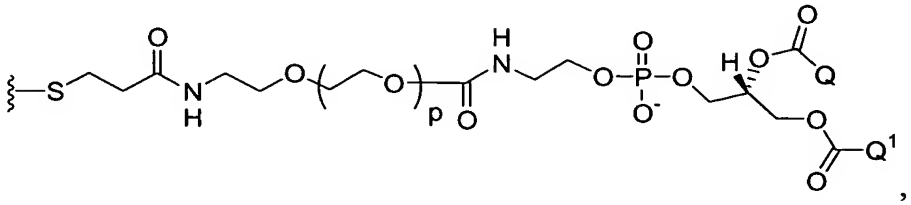


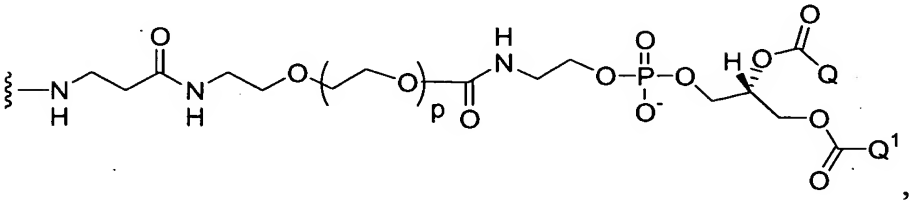


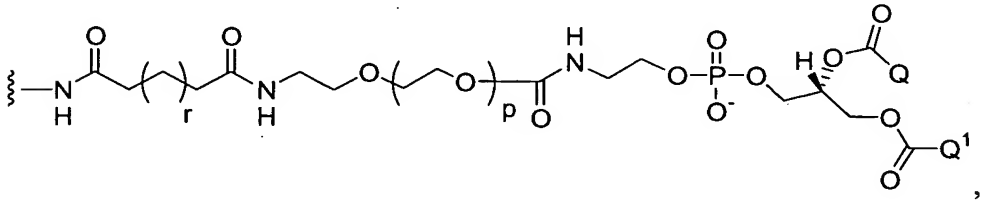


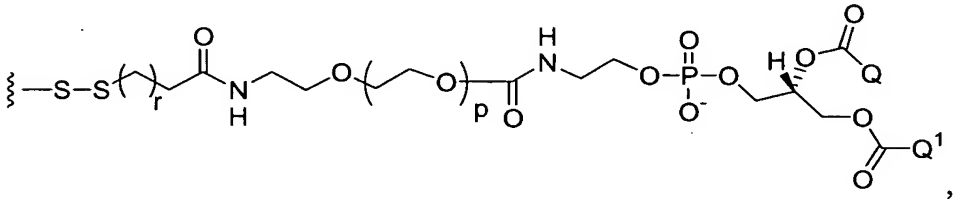



,

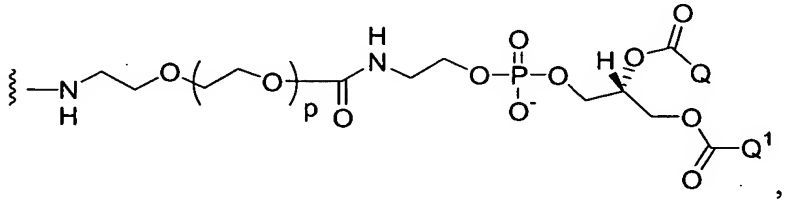

,

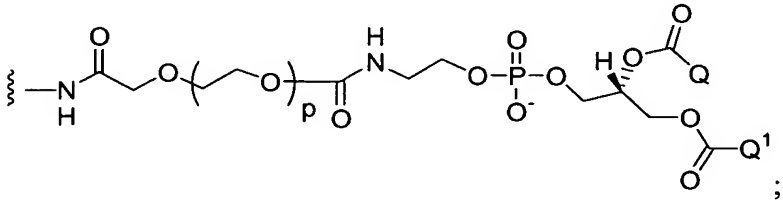

,

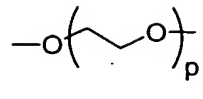

,


,

5


, and


;

said $-O-(CH_2CH_2O-)_p-$ or  of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

r is an integer from 0 to 8;

Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and are selected from the group consisting of
the C_{11} saturated chain of lauric acid,
the C_{15} saturated chain of palmitoic acid,
the C_{17} saturated chain of stearoic acid,
the C_{17} mono-unsaturated chain of oleoic acid, and
the C_{17} di-unsaturated chain of linoleic acid;

Z is selected from the group consisting of hydroxy, $-NH_2$, $-NH-C_{1-8}$alkyl, $-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-OH, $-O-C_{1-8}$alkylC_{1-4}alkoxy, $-O-C_{1-8}$alkylcarbonylC_{1-4}alkyl, $-O-C_{1-8}$alkyl-CO_2H, $-O-C_{1-8}$alkyl-$C(O)O-C_{1-6}$alkyl, $-O-C_{1-8}$alkyl-$O-C(O)C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-NH_2, $-O-C_{1-8}$alkyl-$NH-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-$N(C_{1-8}alkyl)_2$, $-O-C_{1-8}$alkylamide $-O-C_{1-8}$alkyl-$C(O)-NH-C_{1-8}$alkyl, $-O-C_{1-8}$alkyl-$C(O)-N(C_{1-8}alkyl)_2$ and
$-NHC(O)C_{1-8}$alkyl.

85. The liposome of claim 79 wherein

W is preferably $-C_{0-4}$alkyl(R_1) or $-C_{0-4}$alkyl-phenyl(R_1,R_8);

R_1 is $-N(R_4)(R_6)$, -tetrahydropyrimidinyl(R_8) or
-tetrahydro-1,8-naphthyridinyl(R_8);

R_{1a} is $-C(R_4)(=N-R_4)$, $-C(=N-R_4)-N(R_4)_2$, $-C(=N-R_4)-N(R_4)(R_6)$, $-C(=N-R_4)-N(R_4)-C(=O)-R_4$, $-C(=N-R_4)-N(R_4)-C(=O)-N(R_4)_2$, $-C(=N-R_4)-N(R_4)-CO_2-R_4$, $-C(=N-R_4)-N(R_4)-SO_2-C_{1-4}$alkyl($R_7$) or

$-C(=N-R_4)-N(R_4)-SO_2-N(R_4)_2$;

R_4 is hydrogen;

R_5 is $-C(=O)-R_4$, $-C(=O)-N(R_4)_2$, $-CO_2-R_4$, $-C(R_4)(=N-R_4)$, $-C(=N-R_4)-N(R_4)_2$, $-C(=N-R_4)-N(R_4)(R_6)$, $-N(R_4)-C(R_4)(=N-R_4)$, $-N(R_4)-C(=N-R_4)-N(R_4)_2$, $-N(R_4)-C(=N-R_4)-N(R_4)(R_6)$, $-SO_2-C_{1-4}alkyl(R_7)$ or $-SO_2-N(R_4)_2$;

R_6 is -dihydroimidazolyl(R_8), -tetrahydropyridinyl(R_8), -tetrahydropyrimidinyl(R_8) or -pyridinyl(R_8);

R_7 is hydrogen;

R_8 is one to four substituents independently selected from hydrogen or $-C_{1-4}alkyl(R_9)$ when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from hydrogen, $-C_{1-4}alkyl(R_9)$, $-C_{1-4}alkoxy(R_9)$ -O-aryl(R_{10}) or hydroxy when attached to a carbon atom;

R_9 is hydrogen, $-C_{1-4}alkoxy$, $-NH_2$, $-NH-C_{1-4}alkyl$, $-N(C_{1-4}alkyl)_2$, $(halo)_{1-3}$ or hydroxy;

R_{10} is hydrogen;

R_{2a} is -tetrahydropyrimidinyl(R_8)(R_{12}) , -1,3-benzodioxolyl(R_8)(R_{12}), -dihydrobenzofuranyl(R_8)(R_{12}), -tetrahydroquinolinyl(R_8)(R_{12}), -phenyl(R_8)(R_{12}), -naphthalenyl(R_8)(R_{12}), -pyridinyl(R_8)(R_{12}), -pyrimidinyl(R_8)(R_{12}) or -quinolinyl(R_8)(R_{12});

q is 1 or 2;

R_{12} is selected from the group consisting of

$-CH_2-O-(CH_2)_4(R_{13})-$,

$-CH_2-NH-(CH_2)_4(R_{13})-$,

$-CH_2-S-(CH_2)_4(R_{13})-$,

$-CH_2-O-(CH_2)_6(R_{13})-$,

$-CH_2-NH-(CH_2)_6(R_{13})-$,

$-CH_2-S-(CH_2)_6(R_{13})-$,

$-NH-C(=O)-(CH_2)_4(R_{13})-$,

$-NH-C(=O)-(CH_2)_7(R_{13})-$,

$-NH-C(=O)NH-(CH_2)_3(R_{13})-$,

$-NH-C(=O)NH-(CH_2)_6(R_{13})-$,

$-CH_2NH-C(=O)NH-(CH_2)_2(R_{13})-$,

$-CH_2NH-C(=O)NH-(CH_2)_5(R_{13})-$,

$-NHC(=O)-(CH_2)_2-C(=O)(R_{13})-$,

$-NHC(=O)-(CH_2)_3-C(=O)(R_{13})-$,

$-NHC(=O)-(CH_2)_4-C(=O)(R_{13})-$,

$-OCH_2CH_2OCH_2CH_2(R_{13})-$,

$-NHCH_2CH_2OCH_2CH_2(R_{13})-$,

$-OCH_2CH_2OCH_2CH_2OCH_2CH_2(R_{13})-$,

$-NHCH_2CH_2OCH_2CH_2OCH_2CH_2(R_{13})-$,

$-OCH_2CH_2OCH_2C(=O)(R_{13})-$,

$-OCH_2CH_2OCH_2CH_2OCH_2C(=O)(R_{13})-$,

$-NHC(=O)CH_2OCH_2CH_2(R_{13})-$,

$-NHC(=O)CH_2OCH_2CH_2OCH_2CH_2(R_{13})-$,

$-CH_2OCH_2CH_2OCH_2CH_2(R_{13})-$,

$-CH_2NHCH_2CH_2OCH_2CH_2(R_{13})-$,

$-CH_2SCH_2CH_2OCH_2CH_2(R_{13})-$,

$-CH_2OCH_2CH_2OCH_2CH_2OCH_2CH_2(R_{13})-$,

$-CH_2NHCH_2CH_2OCH_2CH_2OCH_2CH_2(R_{13})-$,

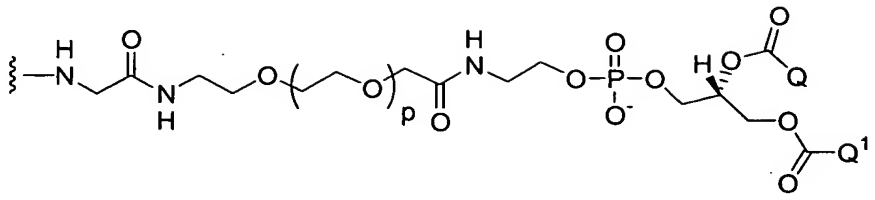
$-CH_2SCH_2CH_2OCH_2CH_2OCH_2CH_2(R_{13})-$,

$-CH_2NHC(=O)CH_2OCH_2C(=O)(R_{13})-$, and

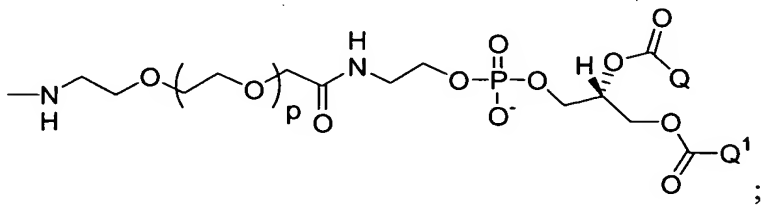
$-NHC(=O)CH_2OCH_2C(=O)(R_{13})-$;

wherein when R_{11} or R_{12} terminates with a $-C(=O)-$, R_{13} is selected from the

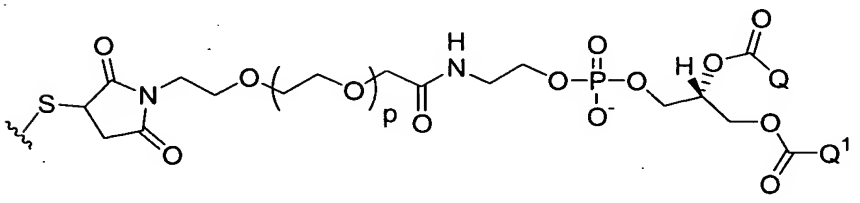
group consisting of

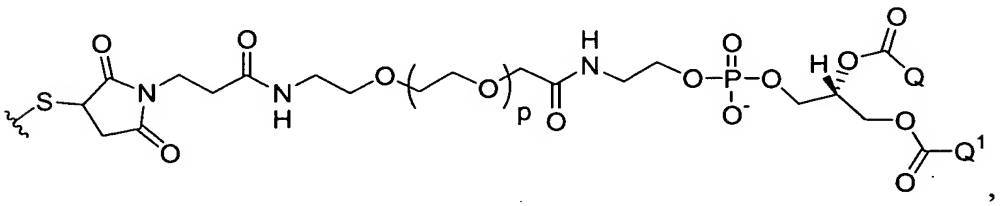


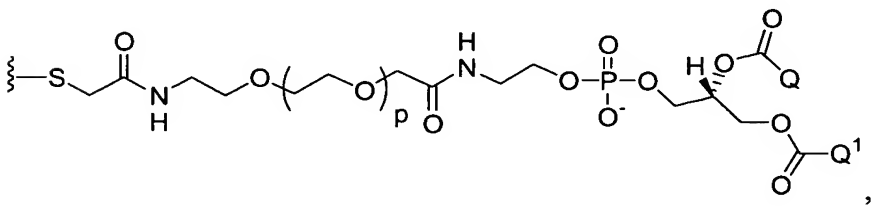
and

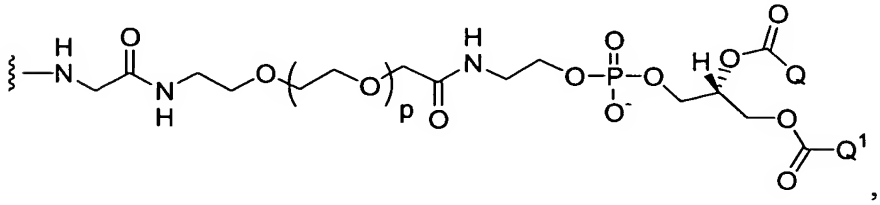

;

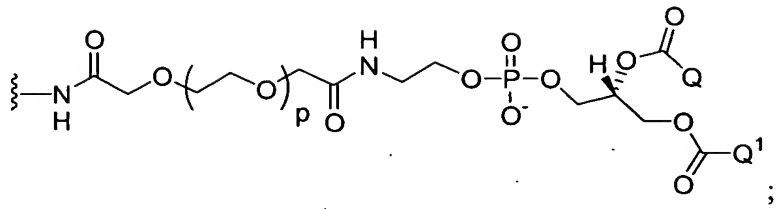
and when R_{11} or R_{12} does not terminate with a –C(=O)-, R_{13} is selected from the group consisting of

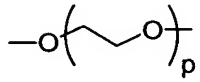

,


,


,


, and



wherein said $-O-(CH_2CH_2O-)_p-$ or  of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer selected from 2000 (PEG 2000), 3400 (PEG 3400), or 5000 (PEG 5000) Daltons;

r is an integer from 0 to 8;

Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and is the C_{17} saturated chain of stearoic acid;

Z is selected from the group consisting of hydroxy, $-NH_2$, $-NH-C_{1-8}alkyl$, $-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}alkyl$, $-O-C_{1-8}alkyl-OH$, $-O-C_{1-8}alkylC_{1-4}alkoxy$, $-O-C_{1-8}alkylcarbonylC_{1-4}alkyl$, $-O-C_{1-8}alkyl-CO_2H$, $-O-C_{1-8}alkyl-C(O)O-C_{1-6}alkyl$, $-O-C_{1-8}alkyl-O-C(O)C_{1-8}alkyl$, $-O-C_{1-8}alkyl-NH_2$, $-O-C_{1-8}alkyl-NH-C_{1-8}alkyl$, $-O-C_{1-8}alkyl-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}alkylamide$ $-O-C_{1-8}alkyl-C(O)-NH-C_{1-8}alkyl$, $-O-C_{1-8}alkyl-C(O)-N(C_{1-8}alkyl)_2$ and $-NHC(O)C_{1-8}alkyl$.

86. The therapeutic liposome composition of claim 78 wherein the therapeutic agent is selected from the group consisting of steroids, immunosuppressants, antihistamines, non-steroidal anti-asthamtics, non-steroidal anti-inflammatory agents, cyclooxygenase-2 inhibitors, cytotoxic agents, gene therapy agents, radiotherapy agents, and imaging agents.

87. The therapeutic liposome composition of claim 78 wherein the therapeutic agent is a cytotoxic drug.

88. The therapeutic liposome composition of claim 87 wherein the cytotoxic drug is selected from the group consisting of anthracycline antibiotics, platinum compounds, topoisomerase 1 inhibitors, and vinca alkaloids.

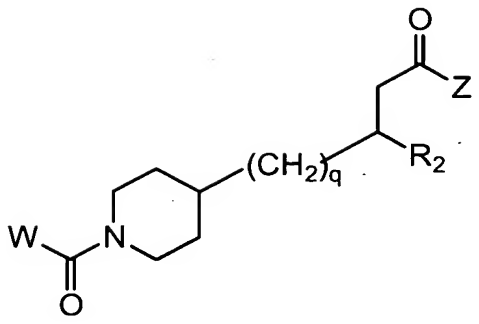
89. The therapeutic liposome composition of claim 87 wherein the cytotoxic agent is selected from the group consisting of doxorubicin, daunorubicin, epirubicin, idarubicin, cisplatin, carboplatin, ormaplatin, oxaliplatin, zeniplatin, enloplatin, lobaplatin, spiroplatin, ((-)-(R)-2-aminomethylpyrrolidine (1,1-cyclobutane dicarboxylato)platinum), (SP-4-3(R)-1,1-cyclobutane-dicarboxylato(2-)-(2-methyl-1,4-butanediamine-N ,N')platinum), nedaplatin, (bis-acetato-ammine-dichloro-cyclohexylamine-platinum(IV), topotecan, irinotecan, (7-(4-methylpiperazino-methylene)-10,11-ethylenedioxy-20(S)-camptothecin), 7-(2-(N-isopropylamino)ethyl)-(20S)-camptothecin, 9-aminocamptothecin, 9-nitrocamptothecin, vincristine, vinblastine, vinleurosine, vinrodisine, vinorelbine, and vindesine.

90. The therapeutic liposome composition of claim 87 wherein the cytotoxic agent is selected from the group consisting of doxorubicin, daunorubicin, epirubicin, idarubicin, cisplatin, including salts.

ABSTRACT OF THE INVENTION

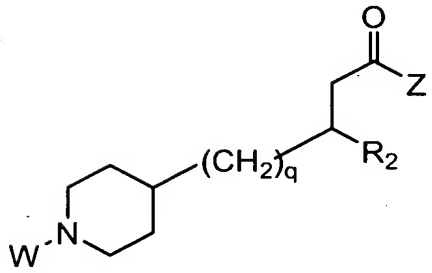
ABSTRACT

The present invention relates to the synthesis and biological application of piperidinoyl carboxylic acid integrin antagonists affinity moiety of IFormula (I):



Formula (I)

and Formula (II):



Formula (II)

These affinity moieties maybe used with imaging agents or liposomes to target cells that express the $\alpha_v\beta_3$, $\alpha_v\beta_5$, or $\alpha_v\beta_6$ integrin receptors.